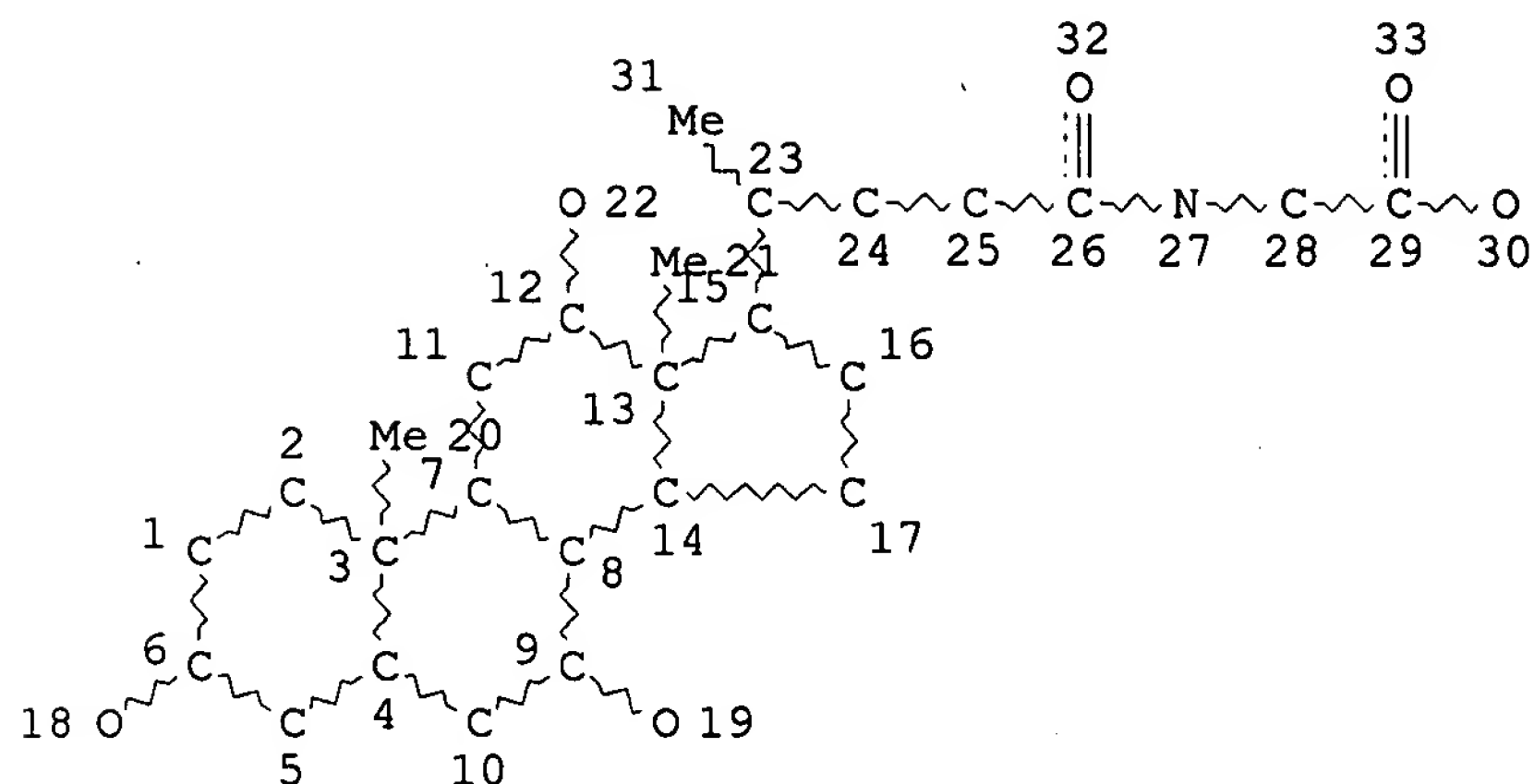


=&gt; d que

L1

STR



## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

## STEREO ATTRIBUTES: NONE

L3 452 SEA FILE=REGISTRY SSS FUL L1

L5 23410 SEA FILE=HCAPLUS ABB=ON PLU=ON TRANSPORT PROTEINS+OLD/CT

L12 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND LUCIFER?

~~L14 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND (FLUORESC? OR~~  
~~LUMINESC?-OR BIOLUM?-OR LINKER OR REPORTER OR L5)~~

=&gt; d ibib abs hitstr l14 1-7.

L14 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:617869 HCAPLUS

DOCUMENT NUMBER: 135:200446

TITLE: Methods and polymer compositions for gene delivery

INVENTOR(S): Lollo, Charles Peter; Banaszczyk, Mariusz; Chiou,  
 Henry C.; Wu, Dongpei; Mullen, Patricia M.; Carlo,  
 Alison T.

PATENT ASSIGNEE(S): The Immune Response Corporation, USA

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060415	A1	20010823	WO 2001-US5234	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2000-183516P P 20000218

AB The present invention provides novel compns. and formulations for delivering anionic compds., particularly polynucleotides (DNA and RNA), across cellular boundaries (e.g., cellular membranes) either in vivo or in vitro. Thus, polylysine-graft PEG was allowed to react with 4-hydroxybenzylimino Me ester-HCl in MeOH and water. The compds. can be used as **fluorescent** probes.

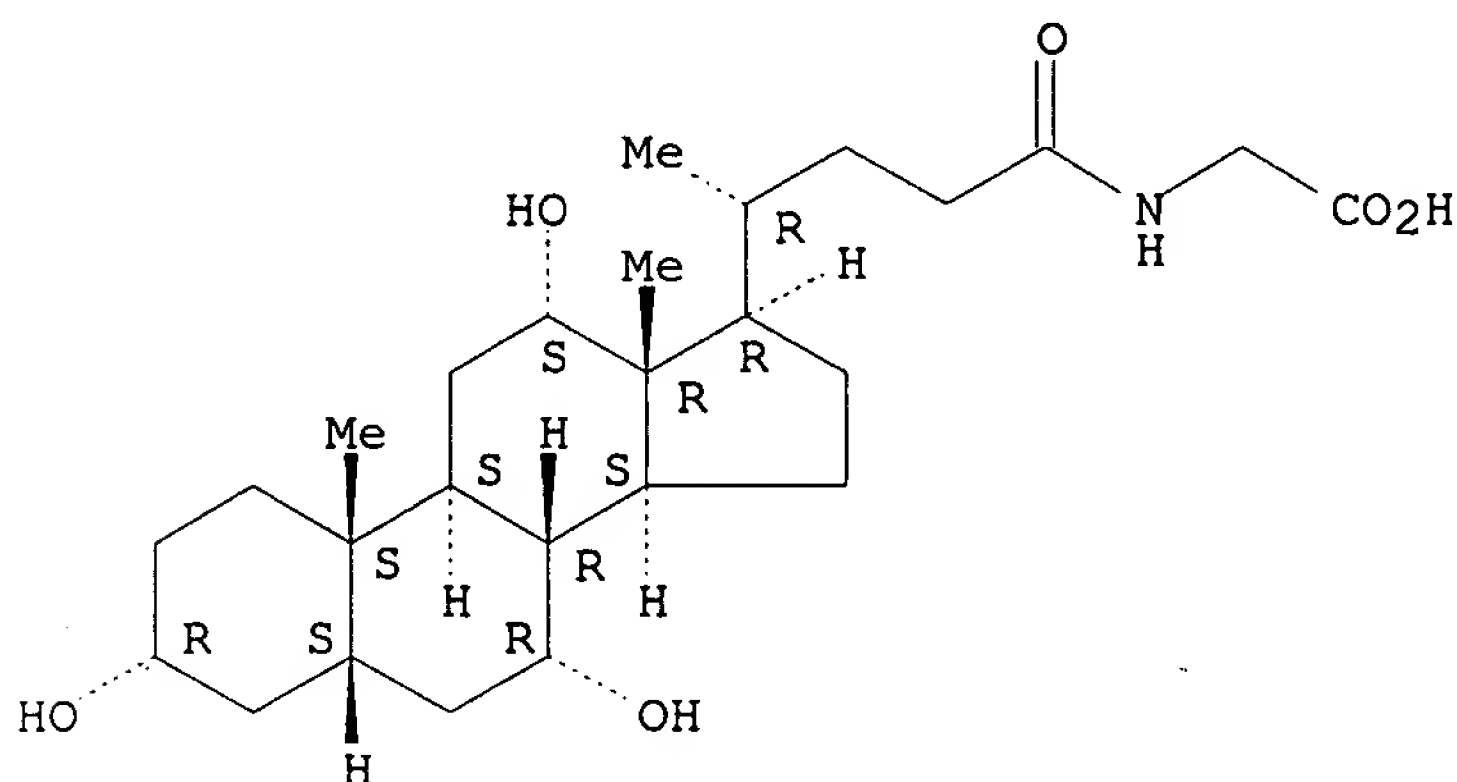
IT 475-31-0 68753-51-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (polymer compns. for gene delivery)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

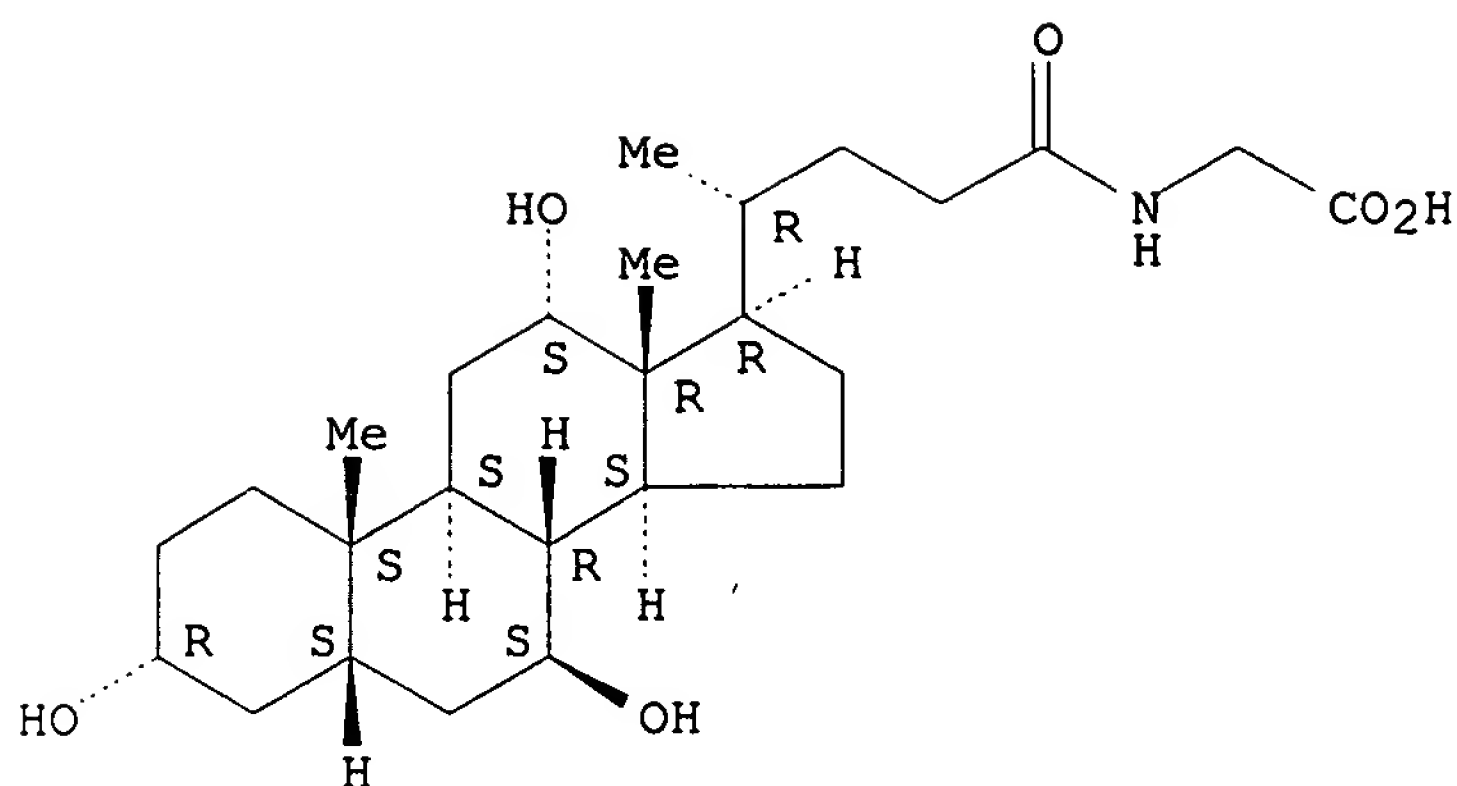
Absolute stereochemistry.



RN 68753-51-5 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.beta.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208508 HCAPLUS

DOCUMENT NUMBER: 134:249215

TITLE: Substrates and screening methods for transport proteins

INVENTOR(S): Dower, William J.; Gallop, Mark; Barrett, Ronald W.; Cundy, Kenneth C.; Chernov-Rogan, Tania

PATENT ASSIGNEE(S): Xenoport, Inc., USA

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001020331	A1	20010322	WO 2000-US25439	20000914
WO 2001020331	C2	20021003		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1212619	A1	20020612	EP 2000-966735	20000914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-154071P P 19990914

WO 2000-US25439 W 20000914

AB A variety of methods for assaying libraries of test compds. as ligands and/or substrates of transport proteins, including both carrier-type and receptor-type transport proteins, are provided. Both in vitro and in vivo screening methods are disclosed. Also provided are methods for screening DNA libraries to identify members that encode transport proteins.

Pharmaceutical compns. including compds. identified via the screening methods are also provided. CHO K1 cells expressing PEPT1 transporter of human or rat were prepd. **Fluorescent** XP10486 was synthesized and used as PEPT1 substrate.

IT **330829-85-1P**, CZ 15-73

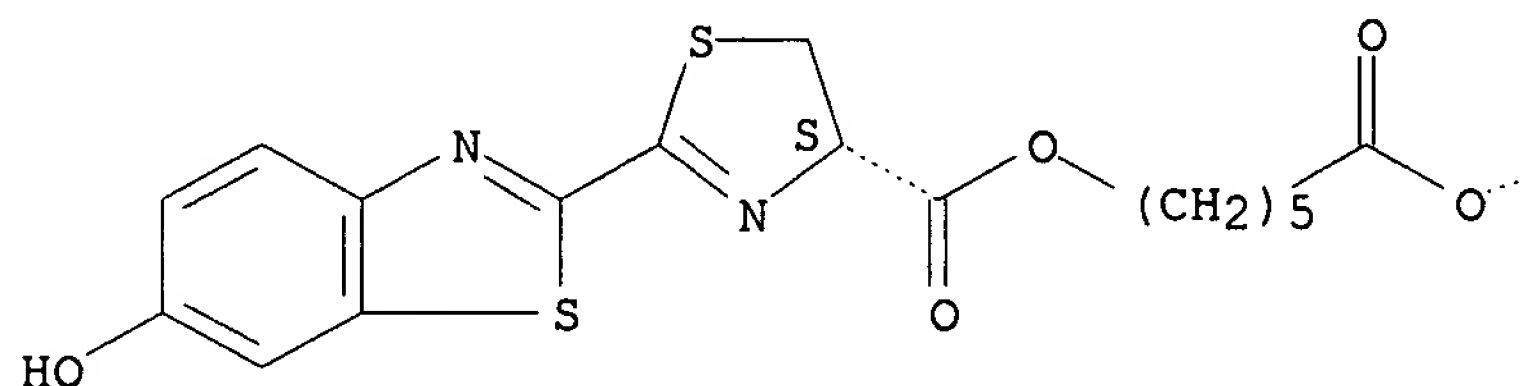
RL: SPN (Synthetic preparation); PREP (Preparation)  
(glycocholate ester-**luciferin** conjugate; substrates and screening methods for transport proteins)

RN 330829-85-1 HCAPLUS

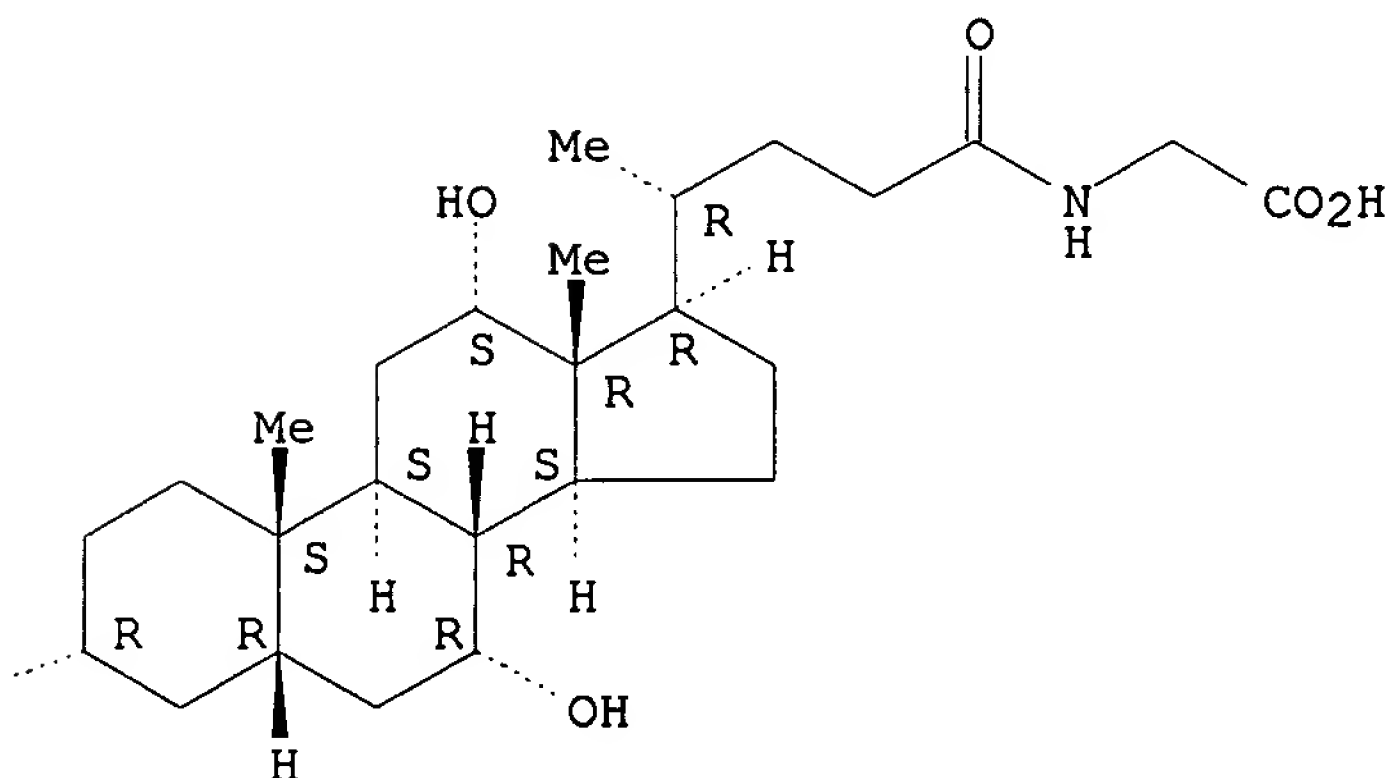
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



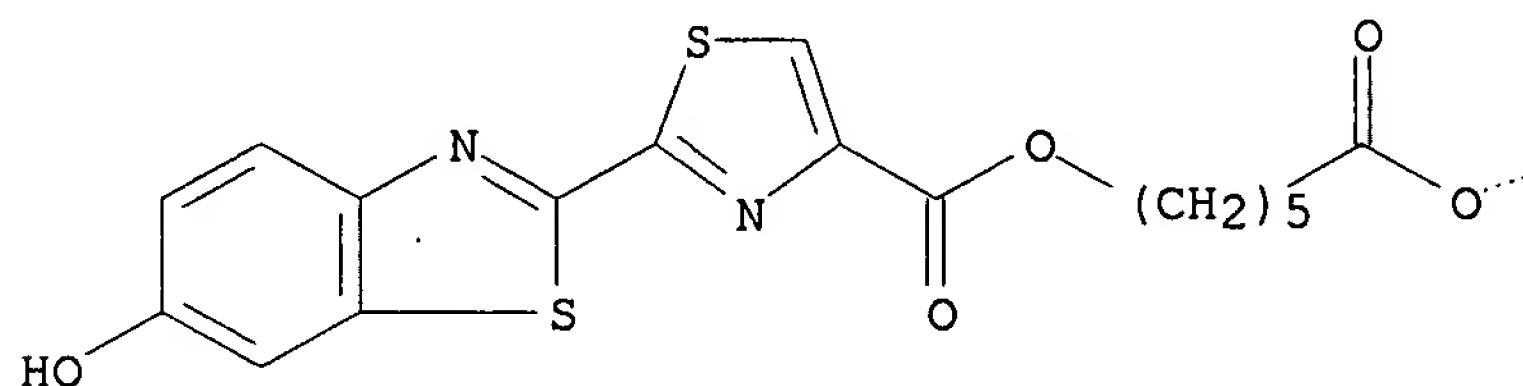
IT **330795-52-3P**

RL: BYP (Byproduct); PREP (Preparation)  
(substrates and screening methods for transport proteins)

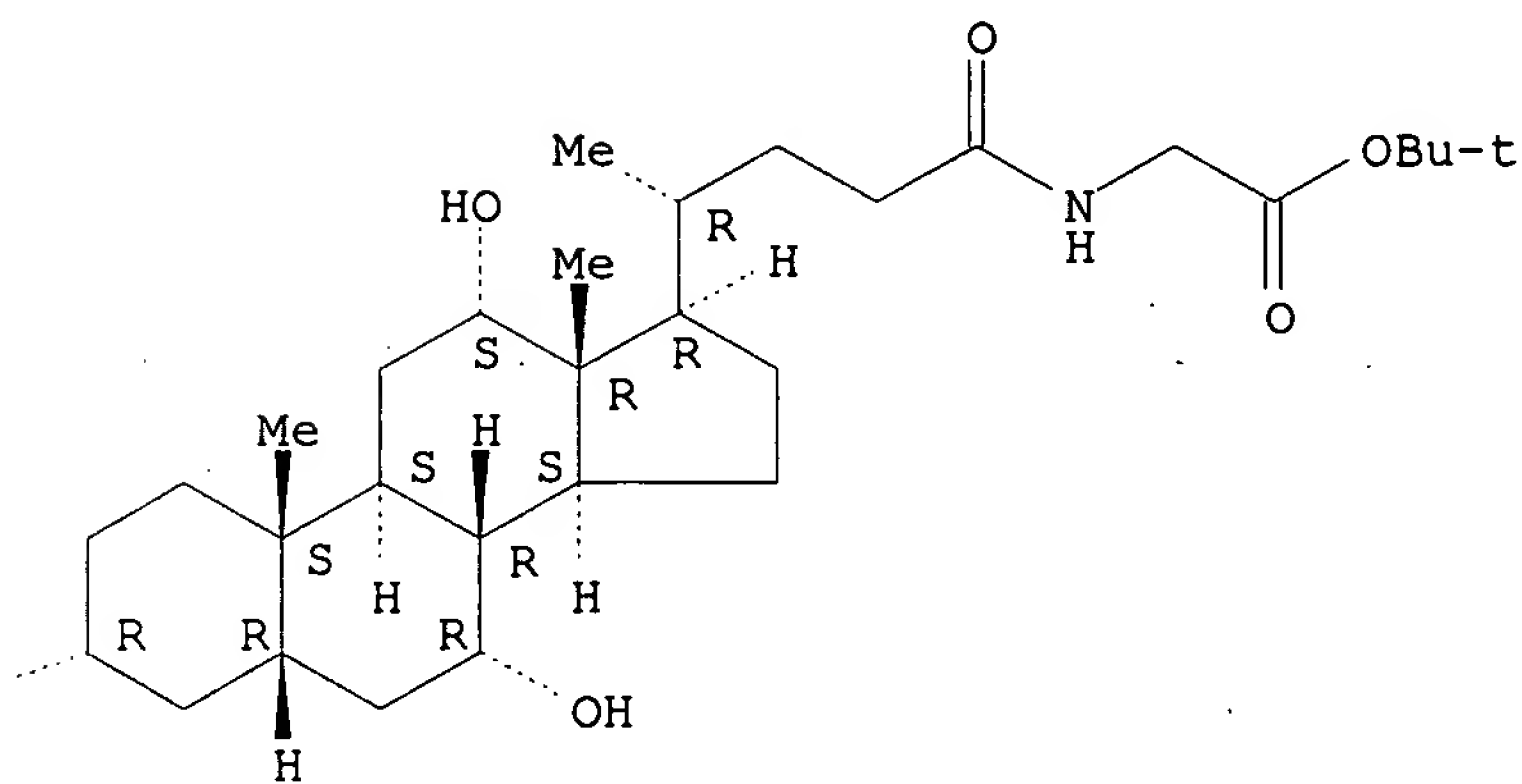
RN 330795-52-3 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[[6-[[[2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

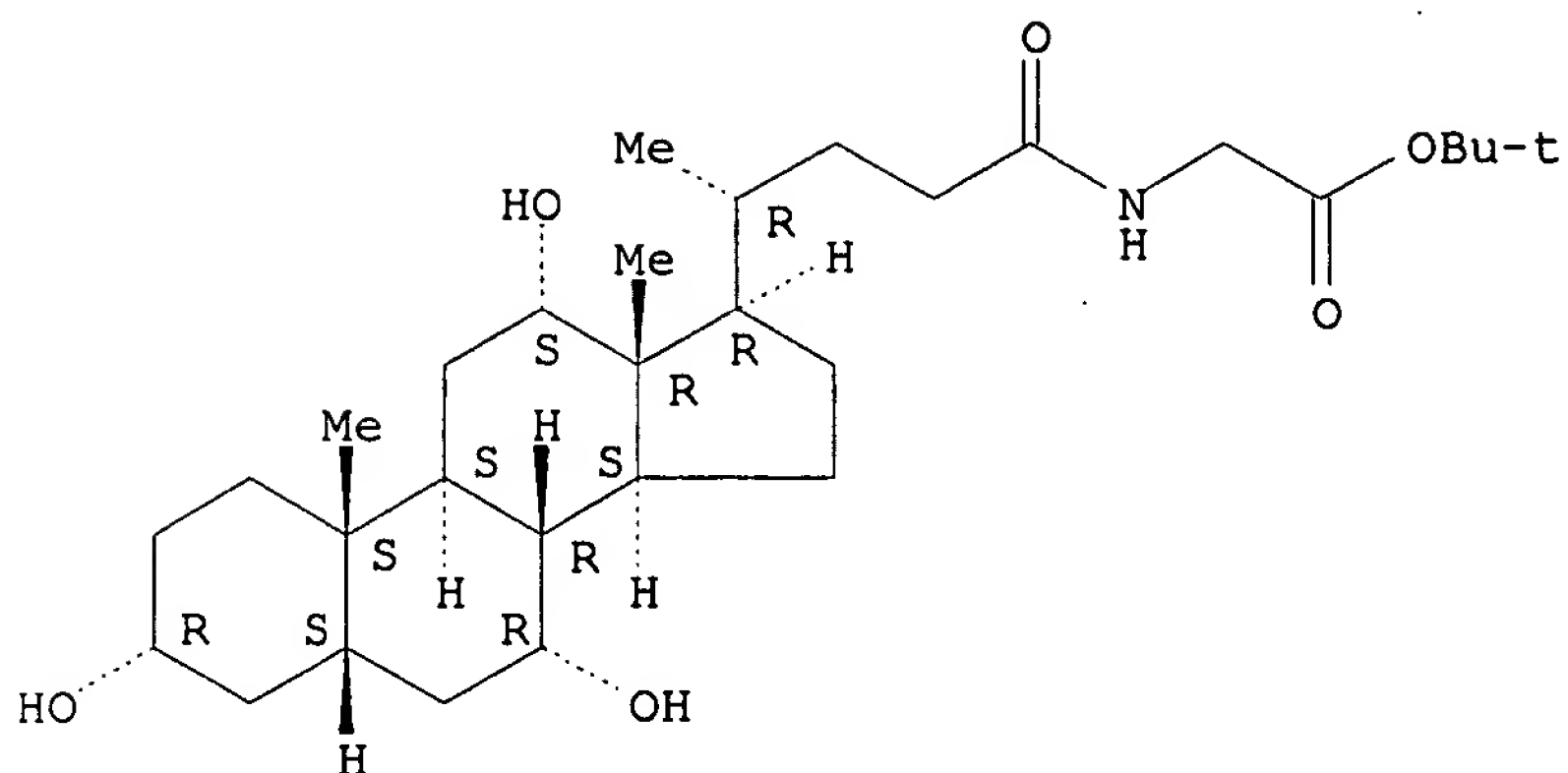


PAGE 1-B



IT 330795-48-7P 330795-49-8P 330795-50-1P  
 330795-51-2P 330795-58-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (substrates and screening methods for transport proteins)  
 RN 330795-48-7 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

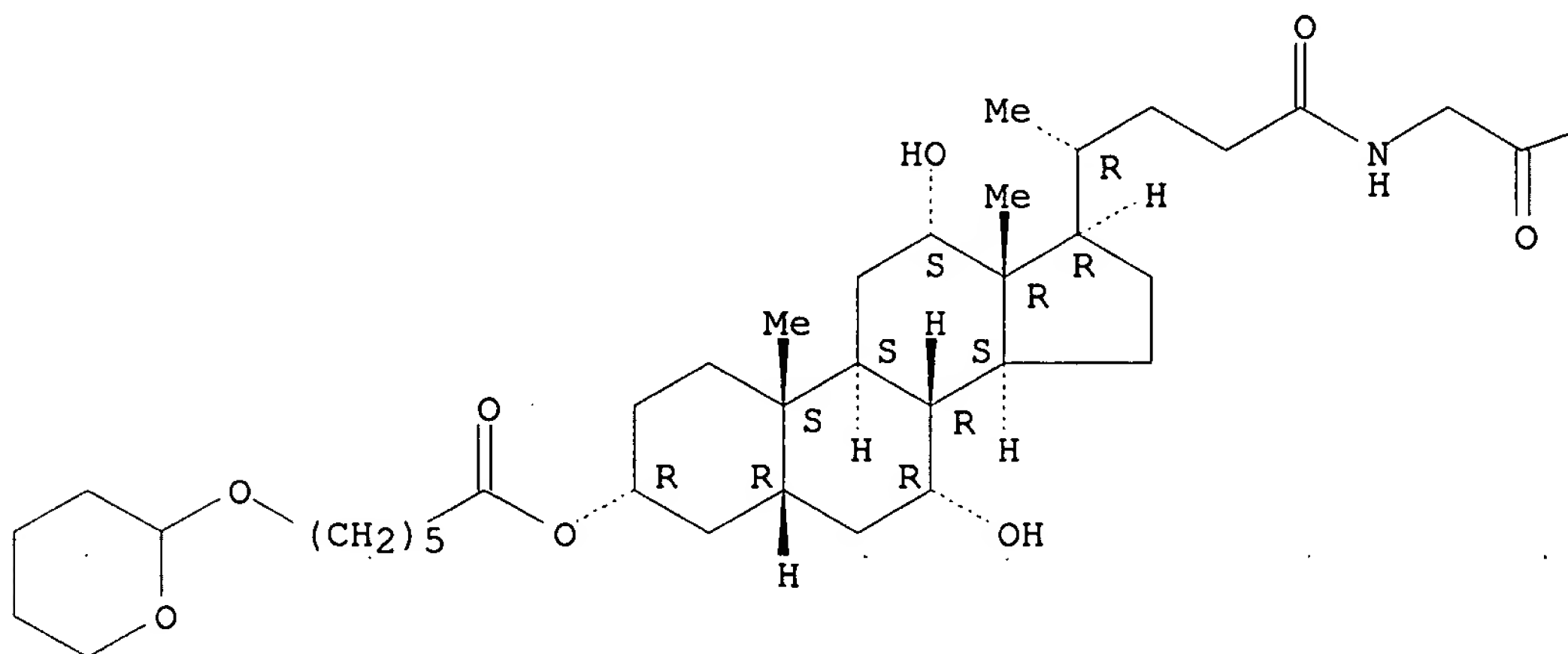


RN 330795-49-8 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-24-oxo-3-[[1-oxo-6-[(tetrahydro-2H-pyran-2-yl)oxy]hexyl]oxy]cholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



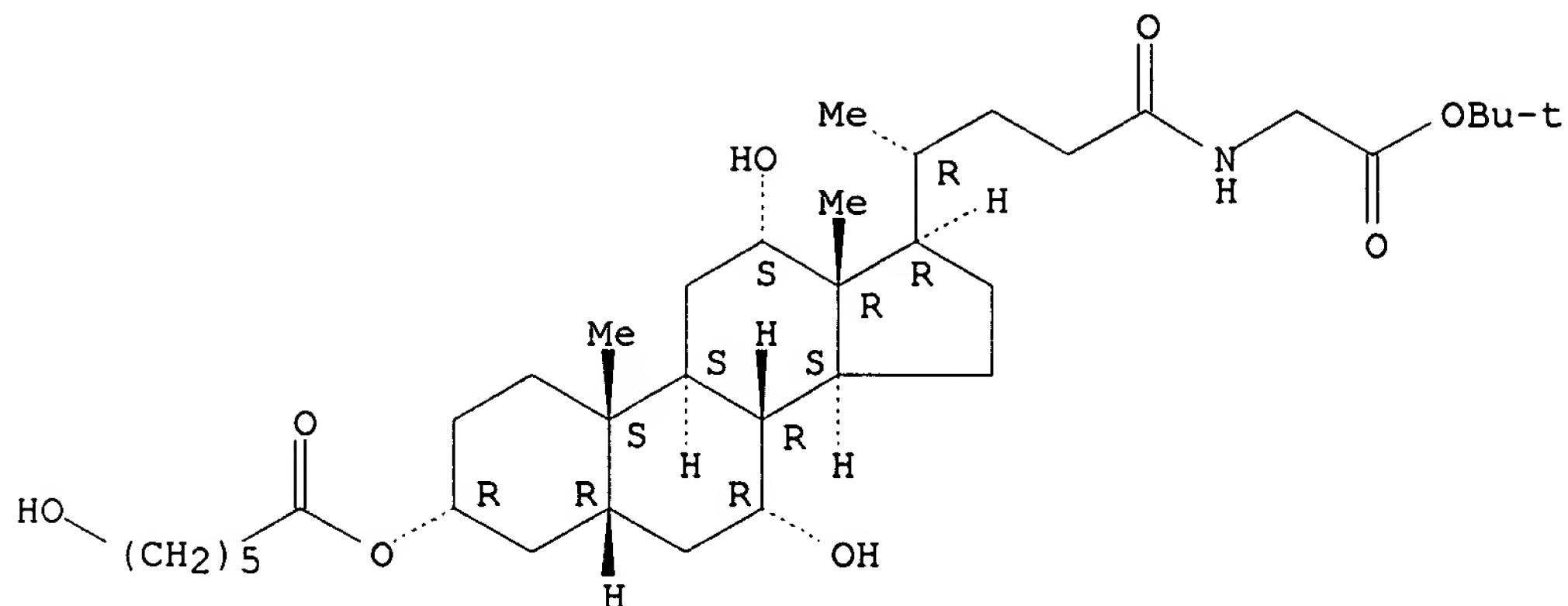
PAGE 1-B

OBu-t

RN 330795-50-1 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[(6-hydroxy-1-oxohexyl)oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

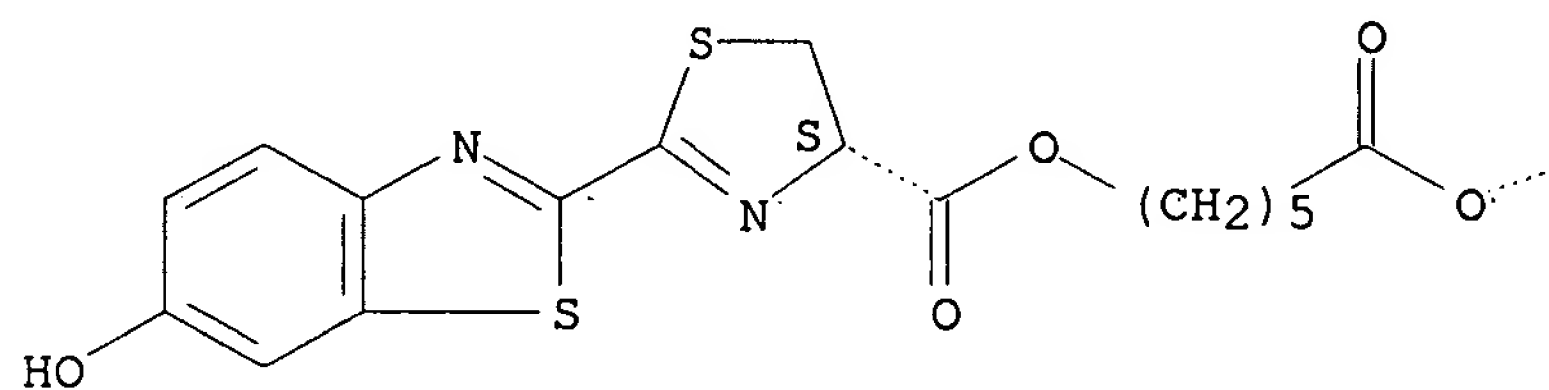


RN 330795-51-2 HCAPLUS

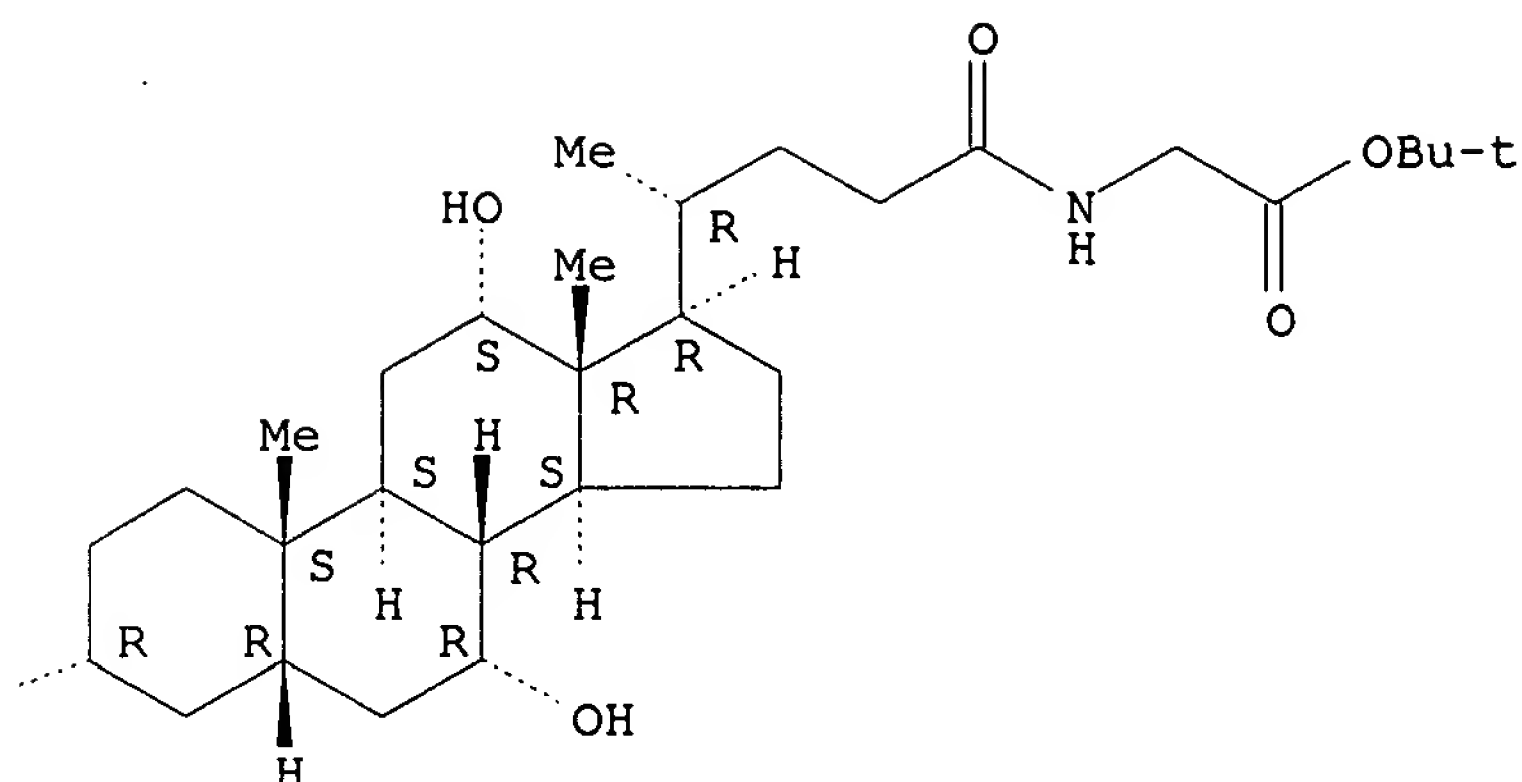
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



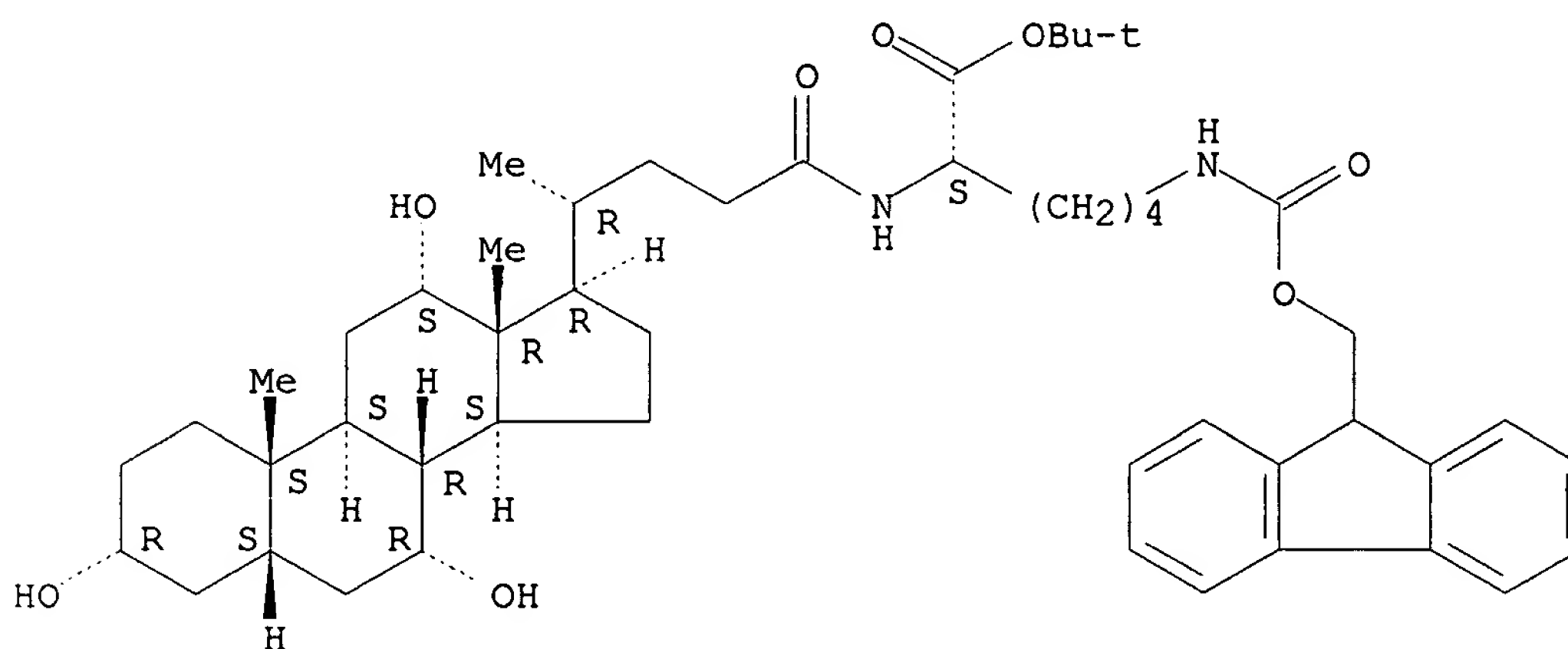
PAGE 1-B



RN 330795-58-9 HCAPLUS

CN L-Lysine, N6-[(9H-fluoren-9-ylmethoxy)carbonyl]-N2-  
 [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
 yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 166301-16-2P 330795-59-0P 330795-60-3P

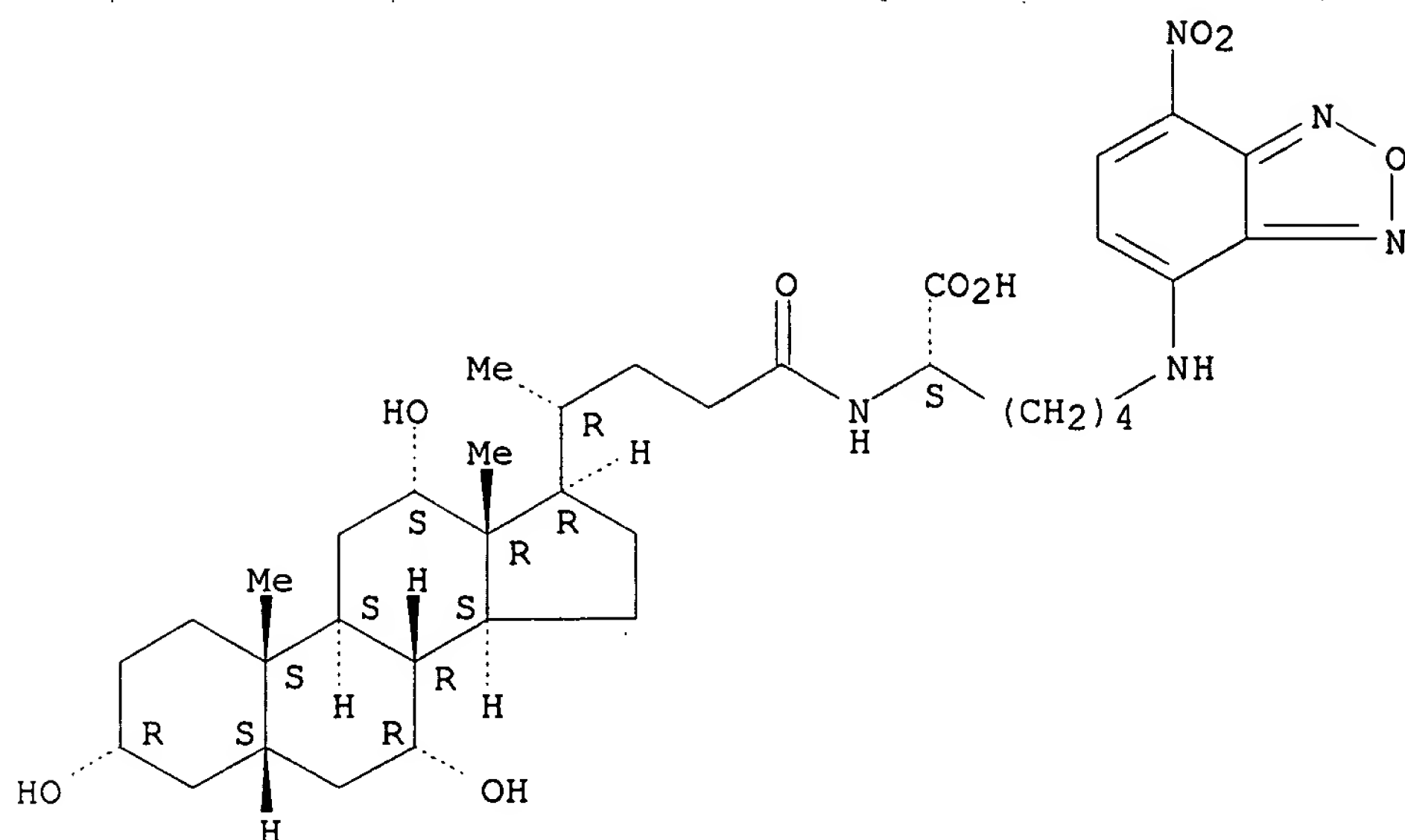
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (substrates and screening methods for transport proteins)

RN 166301-16-2 HCAPLUS

CN L-Lysine, N6-(7-nitro-2,1,3-benzoxadiazol-4-yl)-N2-  
 [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
 yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



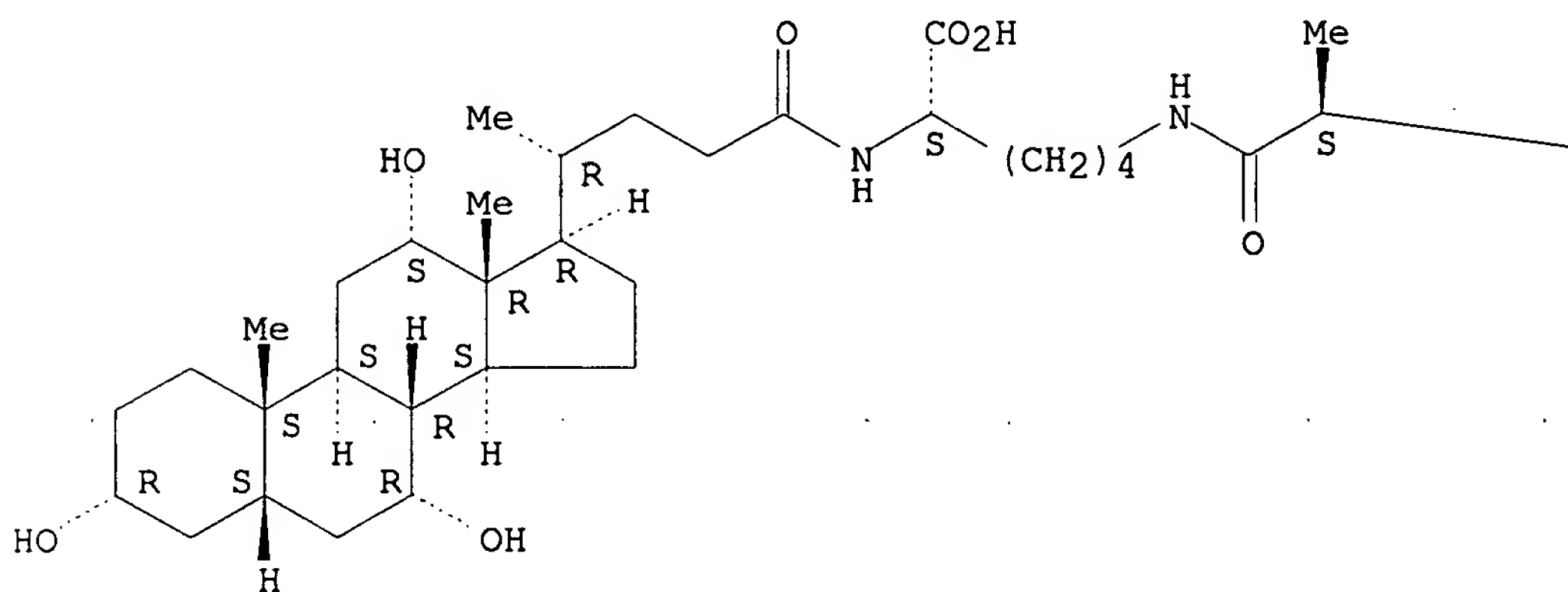


RN 330795-59-0 HCAPLUS

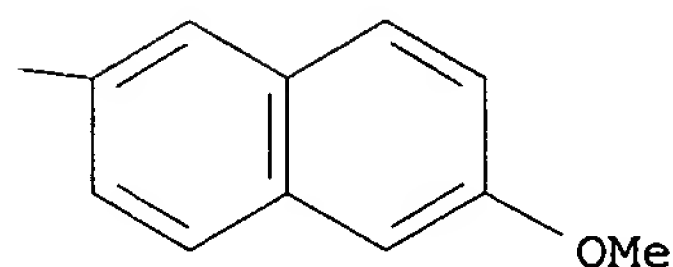
CN L-Lysine, N6-[(2S)-2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]-N2-  
[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

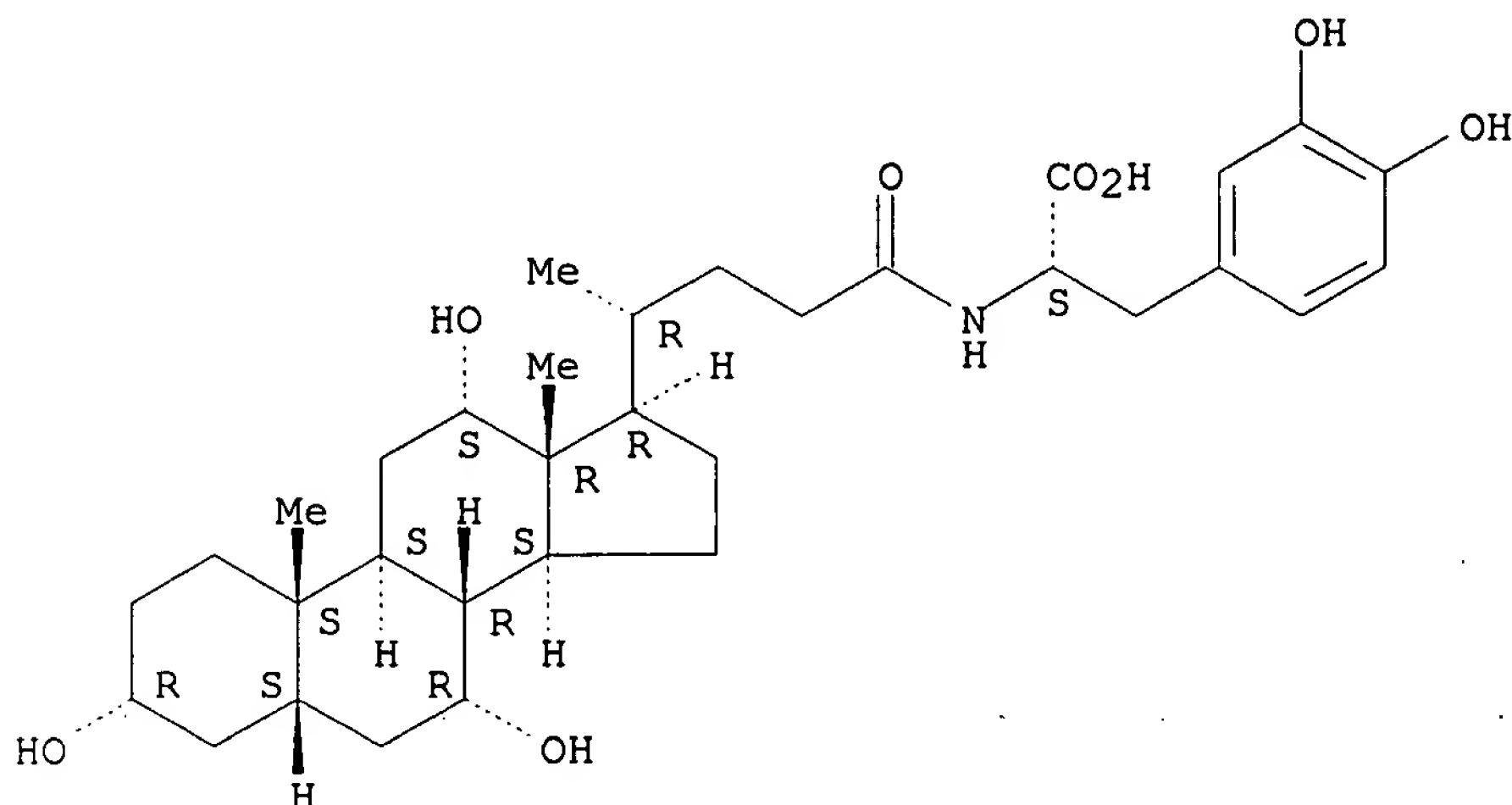


PAGE 1-B



RN 330795-60-3 HCAPLUS  
 CN L-Tyrosine, 3-hydroxy-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:159641 HCAPLUS

DOCUMENT NUMBER: 120:159641

TITLE: Effects of bile acids and steroid/thyroid hormones on the expression of cholesterol 7.alpha.-hydroxylase mRNA and the CYP7 gene in HepG2 cells

AUTHOR(S): Crestani, Maurizio; Karam, Walid G.; Chiang, John Y. L.

CORPORATE SOURCE: Coll. Med., Northeast. Ohio Univ., Rootstown, OH, 44272, USA

SOURCE: Biochemical and Biophysical Research Communications (1994), 198(2), 546-53  
 CODEN: BBRCA9; ISSN: 0006-291X

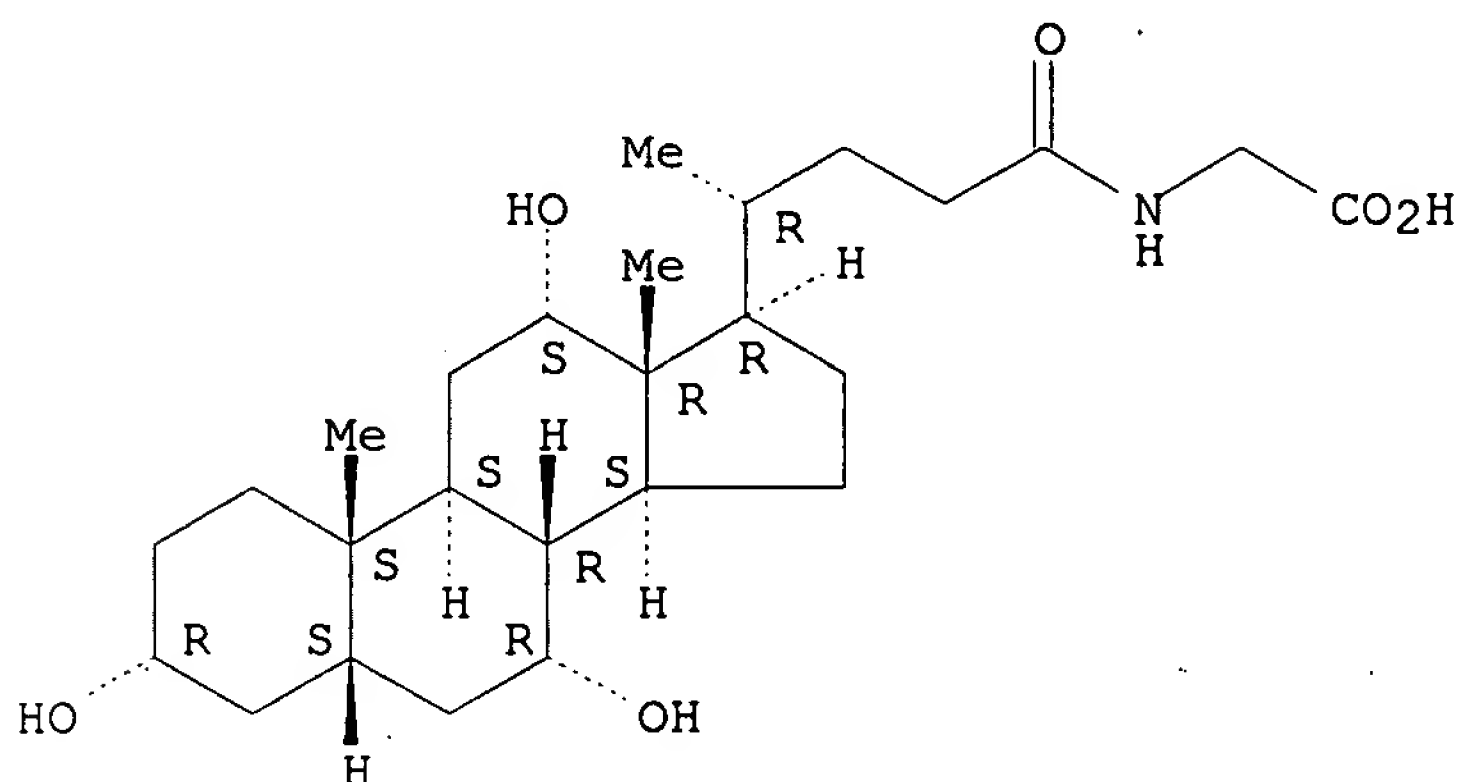
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The expression of cholesterol 7.alpha.-hydroxylase mRNA levels in confluent HepG2 cultures was reduced by tauro- or glyco-conjugates of deoxycholate and chenodeoxycholate, but not by cholate. Ursodeoxycholates, stimulated the mRNA level. The 5'-upstream regions of rat cholesterol 7.alpha.-hydroxylase gene (CYP7) were fused to **luciferase reporter** gene and the constructs, p-3616/Luc, p-224/Luc and p-160/Luc, were transiently transfected into HepG2 cells. Tauro-conjugates of deoxycholate and chenodeoxycholate inhibited the transcriptional activities of the gene constructs in the confluent cells, but not in subconfluent cells. These results reveal that bile acid responsive elements are located in the -160 fragment and also between nt -3616 and -224. Thyroid and steroid hormones stimulated transcriptional activity expressed in the confluent cells and their responsive elements are located upstream of nt -224. It appears that adult phenotypes are

responsible for bile acid feedback and hormone response in HepG2 cells.  
 IT 475-31-0, Glycocholate  
 RL: BIOL (Biological study)  
 (cholesterol hydroxylase mRNA in hepatocyte in response to)  
 RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



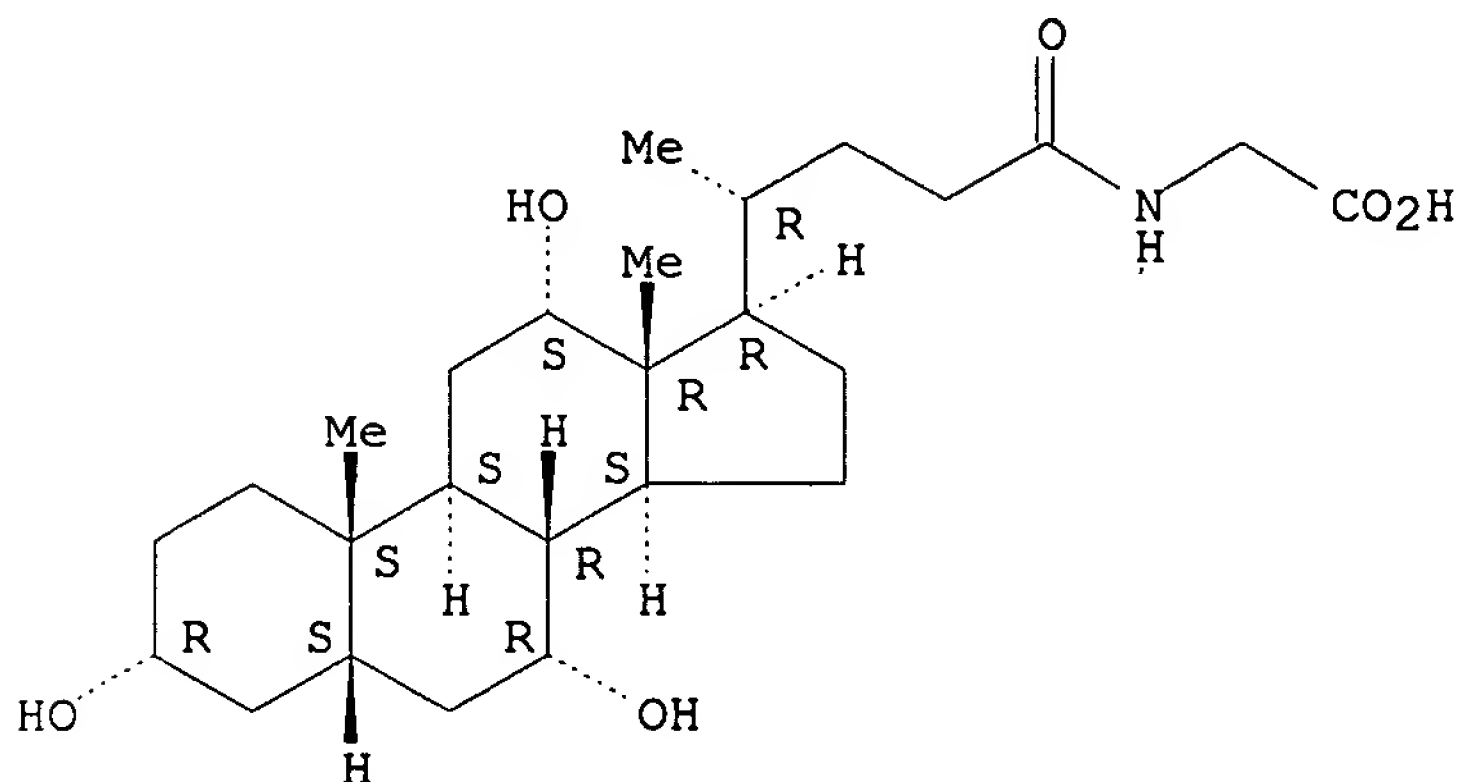
L14 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1989:589961 HCAPLUS  
 DOCUMENT NUMBER: 111:189961  
 TITLE: Chemiluminescent assay of cofactors  
 AUTHOR(S): Tsuji, Akio; Maeda, Masako; Arakawa, Hidetoshi  
 CORPORATE SOURCE: Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan  
 SOURCE: Journal of Bioluminescence and Chemiluminescence  
 (1989), 4(1), 454-62  
 CODEN: JBCHE7; ISSN: 0884-3996  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB A chemiluminescent method was developed for the assay of NADH using the 1-methoxy-5-methylphenazinium Me sulfate (1-MPMS)/isoluminol(IL)/microperoxidase(m-POD) system. To increase the sensitivity of this method, enzymic cycling system was coupled to the chemiluminescent assay of NADH. Alc. dehydrogenase and malate dehydrogenase were used as the cycling enzyme. The std. curve was obtained at 3 .times. 10<sup>-14</sup> to 5 .times. 10<sup>-12</sup> mol/assay. The detection limit of NADH was 30 fmol/assay which was comparable to that of the **bioluminescent** method using bacterial **luciferase**. Two chemiluminescent methods for the assay of ATP have been developed. Method 1 is the system using hexokinase/glucose-6-phosphate dehydrogenase and 1-PMS/IL/m-POD, and method 2 is the system based on the enzymic cycling reaction of ATP using hexokinase/pyruvate kinase. Method 2 is 1000-fold more sensitive than method 1. The detection limit of ATP was 10 fmol/assay. Bile acids sepn. using chemiluminescence and HPLC is also described.

IT 475-31-0  
 RL: BIOL (Biological study)  
 (sepn. of bile acids mixt. and, by chemiluminescence HPLC using immobilized enzyme reactor)

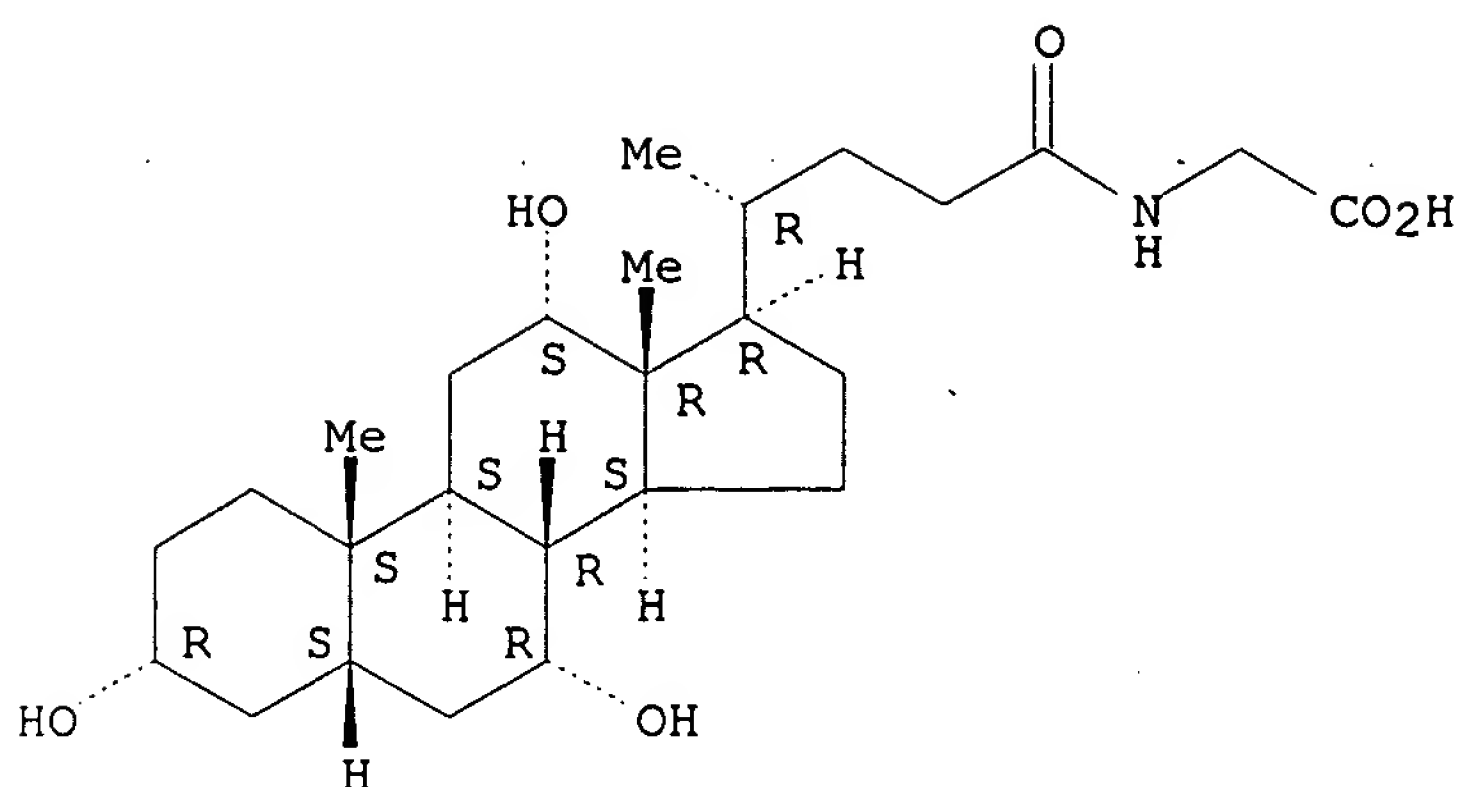
RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1986:221490 HCAPLUS  
 DOCUMENT NUMBER: 104:221490  
 TITLE: Steroid analysis with aid of **bioluminescence** assays  
 AUTHOR(S): Schoelmerich, J.; DeLuca, M.  
 CORPORATE SOURCE: Dep. Intern. Med., Univ. Freiburg, Freiburg, Fed. Rep. Ger.  
 SOURCE: Analytical Chemistry Symposia Series (1985), 23(Adv. Steroid Anal. '84), 573-7  
 CODEN: ACSSDR; ISSN: 0167-6350  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB **Bioluminescence** assays are described which use NAD(P)H-generating hydroxysteroid dehydrogenases in combination with oxidoreductase and bacterial **luciferase**. Bile acids were detd. with detection limits ranging 0.1-0.5 pmole, relative std. deviations ranging 5-8%, and recoveries ranging 90-105%. Results detd. in serum, urine, and bile by the title assay and gas chromatog. were related. Preliminary data are shown for ketosteroids.  
 IT **475-31-0**  
 RL: ANT (Analyte); ANST (Analytical study)  
 (detn. of, by **bioluminescence** assay)  
 RN 475-31-0 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:188262 HCAPLUS

DOCUMENT NUMBER: 100:188262

TITLE: Rapid assays based on immobilized  
**bioluminescent** enzymes and photographic  
detection of light emission

AUTHOR(S): Green, K.; Kricka, L. J.; Thorpe, G. H. G.; Whitehead,  
T. P.

CORPORATE SOURCE: Dep. Clin. Chem., Univ. Birmingham, Birmingham, B15  
2TH, UK

SOURCE: Talanta (1984), 31(3), 173-6  
CODEN: TLNTA2; ISSN: 0039-9140

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A sensitive assay method was developed for ATP, NADH, cholyglycine, and  
EtOH with immobilized and coimmobilized preps. of bacterial and firefly  
**luciferase** as reagents. With high-speed (ASA 20,000) instant  
photog. film as detector, picomole amts. of the various analytes can be  
detected rapidly. The simplicity and convenience of the anal. combination  
of coimmobilized **bioluminescent** enzymes and photog. film for the  
detection of light make this an ideal technique for rapid screening tests.

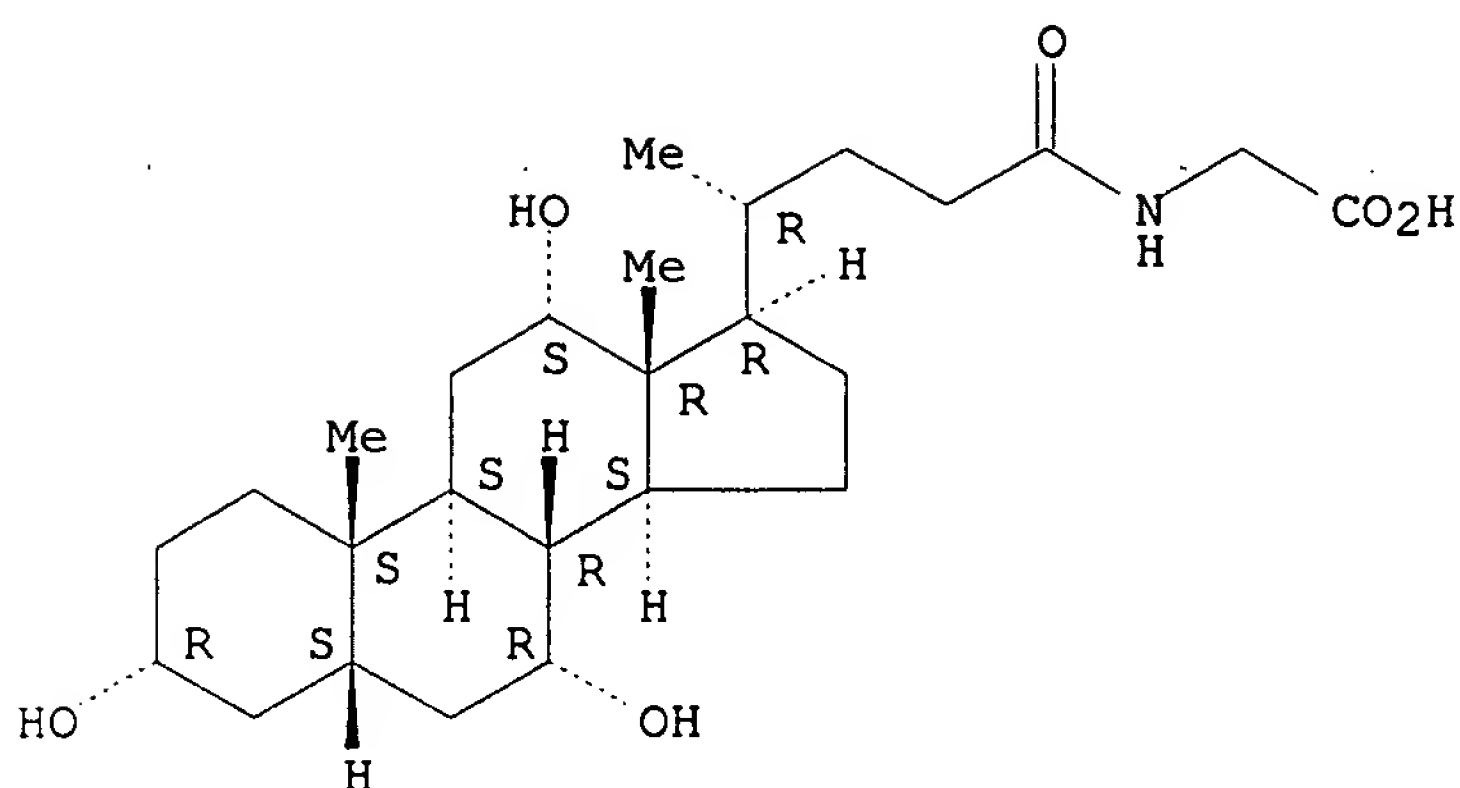
IT 475-31-0

RL: ANT (Analyte); ANST (Analytical study)  
(detn. of, with immobilized **luciferase** and photog. detection)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-  
oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:153228 HCAPLUS

DOCUMENT NUMBER: 100:153228

TITLE: A **bioluminescence** assay for total  
3.alpha.-hydroxy bile acids in serum using immobilized  
enzymes

AUTHOR(S): Schoelmerich, Juergen; Van Berge Henegouwen, Gerard  
P.; Hofmann, Alan F.; DeLuca, Marlene

CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, La Jolla, CA,  
92093, USA

SOURCE: Clinica Chimica Acta (1984), 137(1), 21-32

CODEN: CCATAR; ISSN: 0009-8981

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A **bioluminescence** assay for bile acids was developed which uses  
coimmobilized 3.alpha.-hydroxy steroid dehydrogenase, diaphorase, and  
bacterial **luciferase**. The assay was specific for bile acids  
contg. a free 3.alpha.-hydroxyl group as well as androsterone. Light  
output was linear over a bile acid concn. range of 1-20,000 pmol.  
Intra-assay precision was 6.2-8.2%, and the recovery of added stds. was  
92-110%. Comparison of results from the **bioluminescence** assay  
with those from gas chromatog. revealed an excellent correlation. Since  
the **bioluminescence** assay is rapid, sensitive, specific, and  
uses inexpensive reagents, it appears to be an ideal method for the  
measurement of total bile acids in serum.

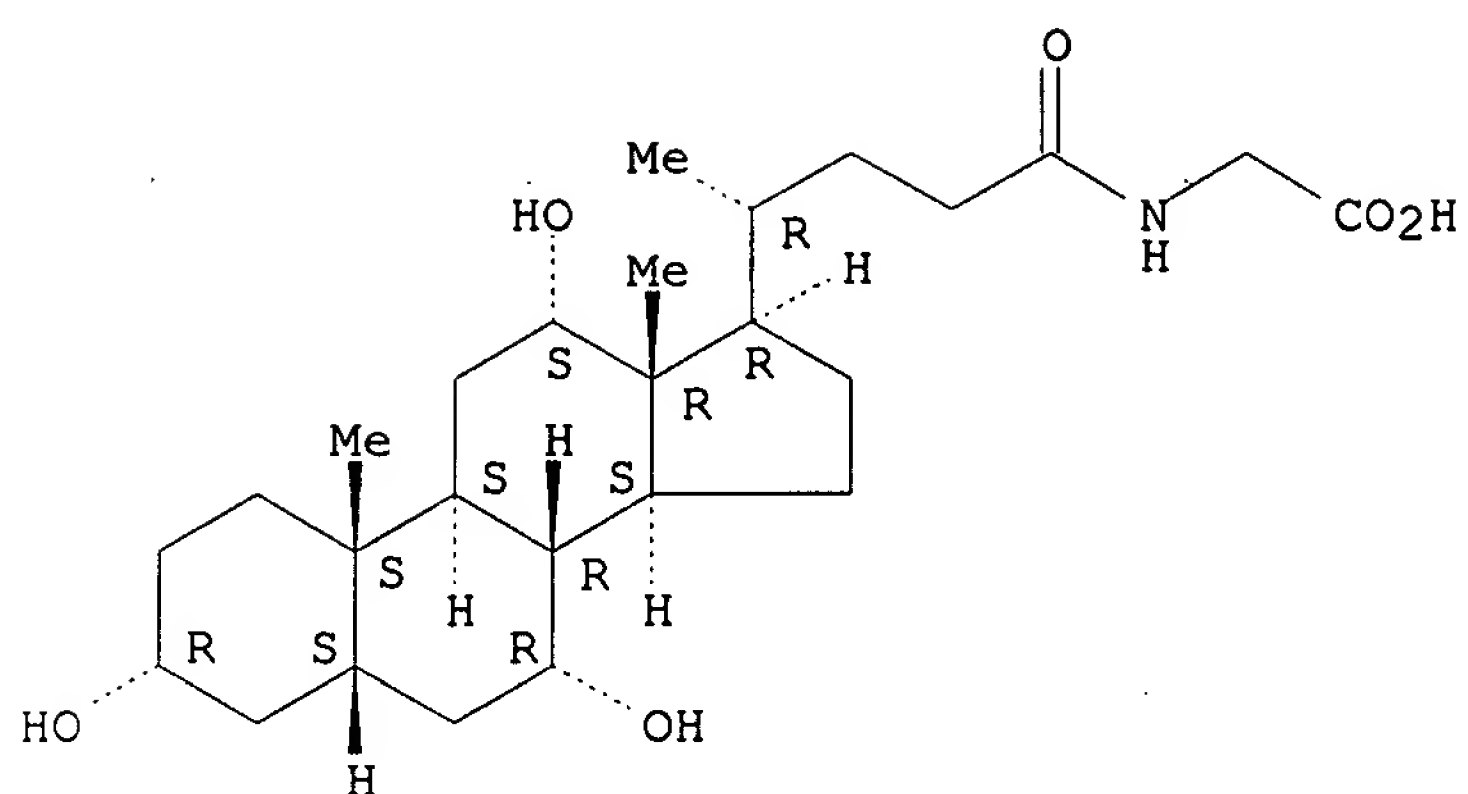
IT 475-31-0

RL: ANT (Analyte); ANST (Analytical study)  
(detn. of, in human serum by enzymic-**bioluminescence** assay)

RN 475-31-0 HCAPLUS

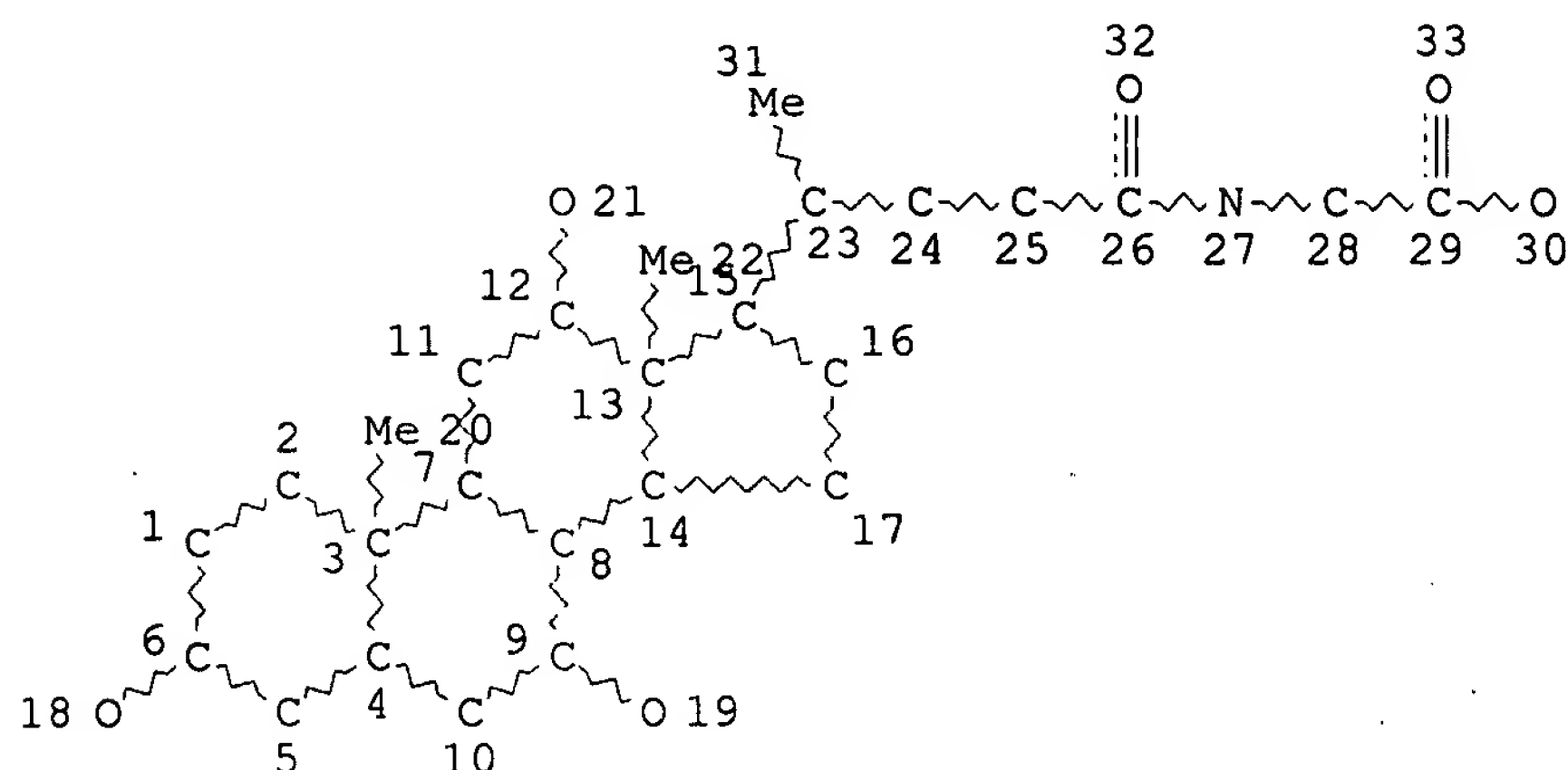
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-  
oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=&gt; d que

L11 23410 SEA FILE=HCAPLUS ABB=ON PLU=ON TRANSPORT PROTEINS+OLD/CT  
 L28 STR



## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

## STEREO ATTRIBUTES: NONE

L30 452 SEA FILE=REGISTRY SSS FUL L28

L32 32 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND L30

L33 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L32 AND SCREEN?

=&gt; d l33 ibib abs hitstr 1-5

L33 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:716924 HCAPLUS

DOCUMENT NUMBER: 137:242183

TITLE: Methods for modulating activity of the FXR nuclear receptor

INVENTOR(S): Forman, Barry M.; Wang, Haibo

PATENT ASSIGNEE(S): City of Hope, USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S. Ser. No. 533,862.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002132223	A1	20020919	US 2001-971067	20011005
PRIORITY APPLN. INFO.:			US 1999-126334P	P 19990326
			US 2000-533862	A2 20000324



OTHER SOURCE(S): MARPAT 137:242183

AB The present invention relates to methods and compns. for modulating genes which are controlled by the FXR nuclear hormone receptor such as Cyp7a, Cyp8b, phospholipid transfer protein, ileal bile acid binding protein, sodium taurocholate cotransporter protein, liver fatty acid binding protein and bile salt export pump. In a preferred embodiment, the method involves modulation of the gene encoding Cyp7a, the enzyme responsible for a major pathway in the elimination of cholesterol. The invention also relates to methods for **screening** compds. which bind to and activate or inhibit the FXR nuclear hormone receptor and compds. which activate or inhibit the FXR nuclear hormone receptor.

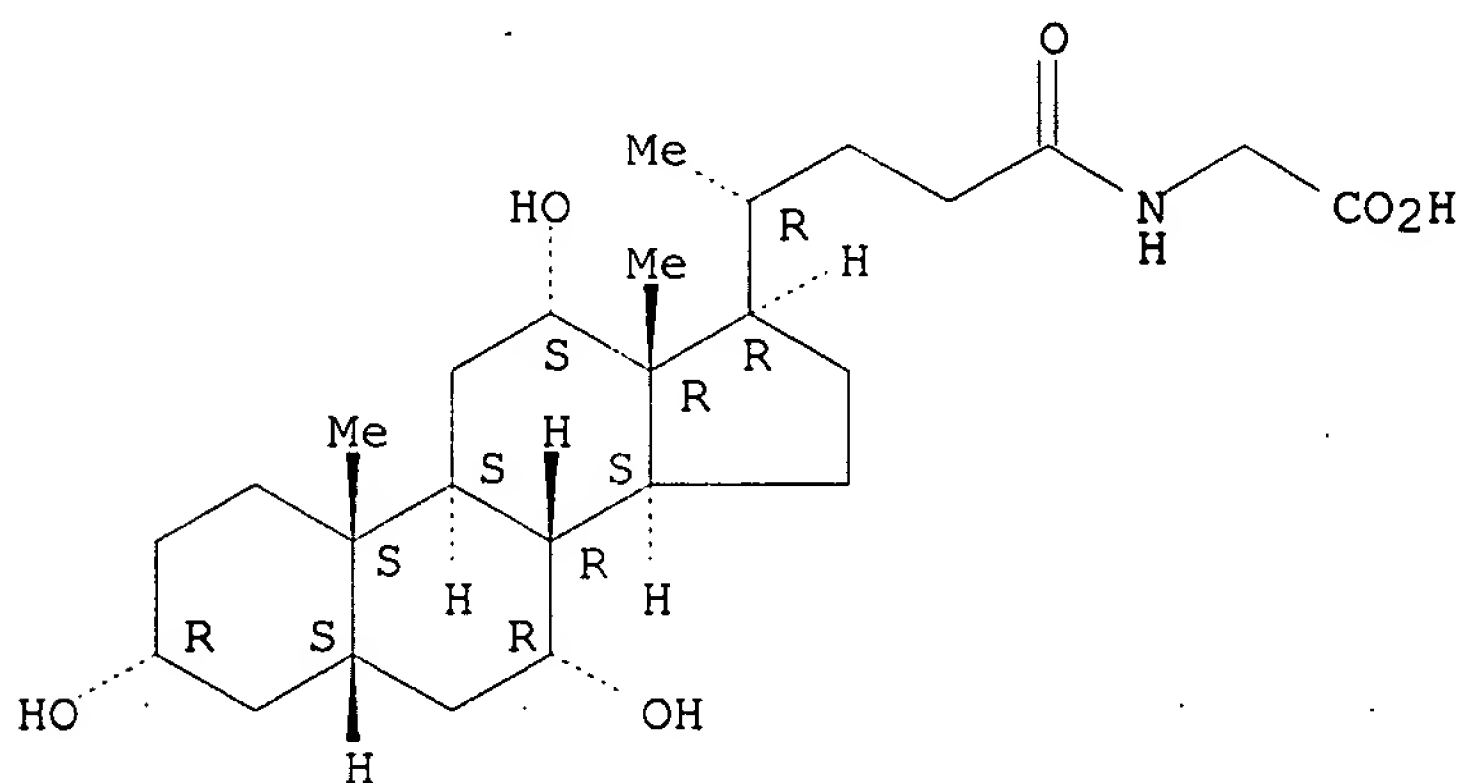
IT 475-31-0, Glycocholic acid

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(FXR-RXR mutant activation response to; methods for modulating activity of FXR nuclear receptor)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:3138 HCAPLUS

DOCUMENT NUMBER: 136:198278

TITLE: Analysis of the ileal bile acid transporter gene, SLC10A2, in subjects with familial hypertriglyceridemia

AUTHOR(S): Love, Martha W.; Craddock, Ann L.; Angelin, Bo; Brunzell, John D.; Duane, William C.; Dawson, Paul A.  
CORPORATE SOURCE: Dep. Internal Med., Wake Forest Univ. Sch. Med., Winston-Salem, NC, USA

SOURCE: Arteriosclerosis, Thrombosis, and Vascular Biology (2001), 21(12), 2039-2045

CODEN: ATVBFA; ISSN: 1079-5642

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Familial hypertriglyceridemia (FHTG), a disease characterized by elevated plasma very low d. lipoprotein triglyceride levels, has been assocd. with impaired intestinal absorption of bile acids. The aim of this study was

to test the hypothesis that defects in the active ileal absorption of bile acids are a primary cause of FHTG. Single-stranded conformation polymorphism anal. was used to **screen** the ileal Na<sup>+</sup>/bile acid cotransporter gene (SLC10A2) for FHTG-assocd. mutations. Anal. of 20 hypertriglyceridemic patients with abnormal bile acid metab. revealed 3 missense mutations (V98I, V159I, and A171S), a frame-shift mutation (646insG) at codon 216, and 4 polymorphisms in the 5' flanking sequence of SLC10A2. The SLC10A2 missense mutations and 5' flanking sequence polymorphisms were not correlated with bile acid prodn. or turnover in the hypertriglyceridemic patients and were equally prevalent in the unaffected control subjects. In transfected COS cells, the V98I, V159I, and A171S isoforms all transported bile acids similar to the wild-type SLC10A2. The 646insG frame-shift mutation abolished bile acid transport activity in transfected COS cells but was found in only a single FHTG patient. These findings indicate that the decreased intestinal bile acid absorption in FHTG patients is not commonly assocd. with inherited defects in SLC10A2.

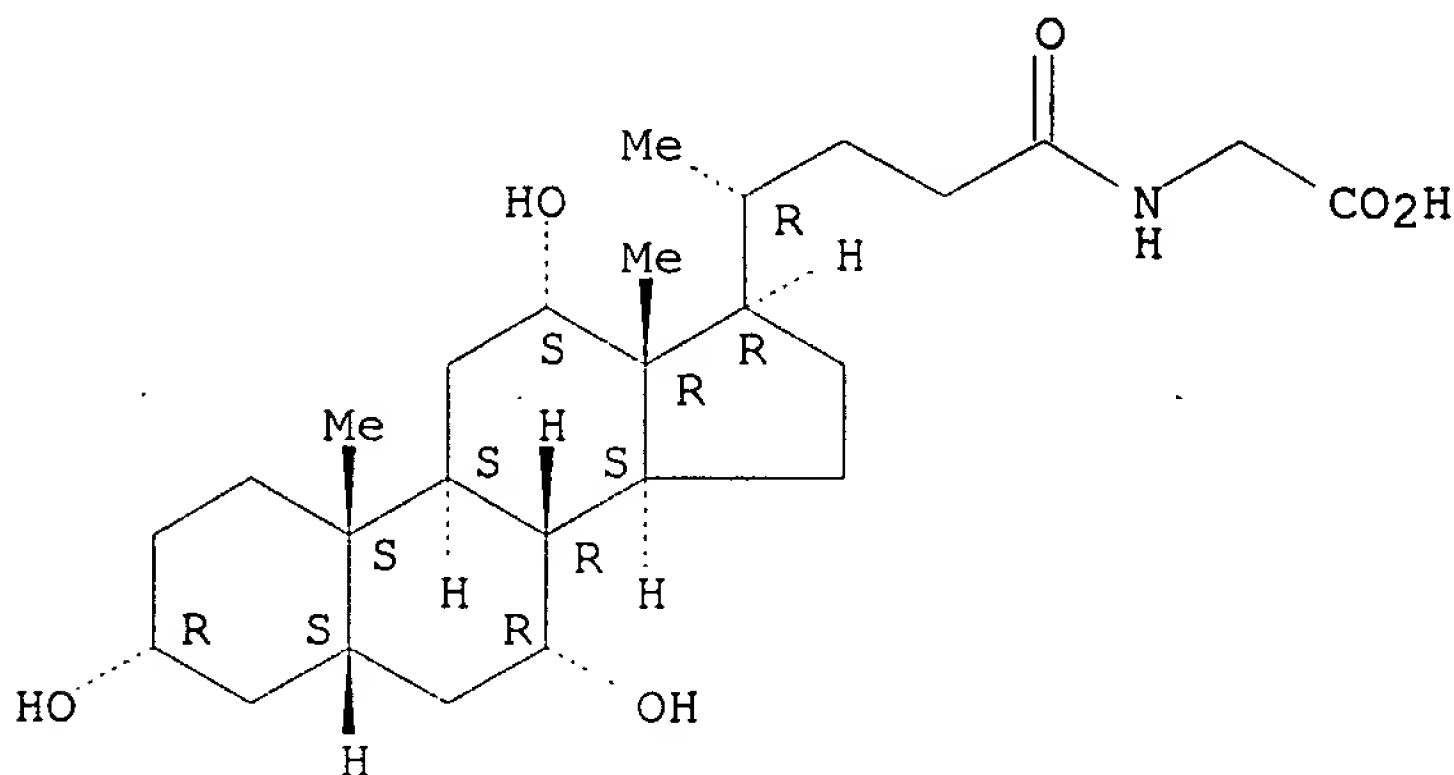
IT 475-31-0

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(SLC10A2 gene mutation assocd. with bile acid malabsorption in human with familial hypertriglyceridemia)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208508 HCAPLUS

DOCUMENT NUMBER: 134:249215

TITLE: Substrates and **screening** methods for transport proteins

INVENTOR(S): Dower, William J.; Gallop, Mark; Barrett, Ronald W.; Cundy, Kenneth C.; Chernov-Rogan, Tania

PATENT ASSIGNEE(S): Xenoport, Inc., USA

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001020331	A1	20010322	WO 2000-US25439	20000914
WO 2001020331	C2	20021003		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1212619	A1	20020612	EP 2000-966735	20000914
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			

## PRIORITY APPLN. INFO.:

US 1999-154071P P 19990914

WO 2000-US25439 W 20000914

AB A variety of methods for assaying libraries of test compds. as ligands and/or substrates of transport proteins, including both carrier-type and receptor-type transport proteins, are provided. Both in vitro and in vivo **screening** methods are disclosed. Also provided are methods for **screening** DNA libraries to identify members that encode transport proteins. Pharmaceutical compns. including compds. identified via the **screening** methods are also provided. CHO K1 cells expressing PEPT1 transporter of human or rat were prepd. Fluorescent XP10486 was synthesized and used as PEPT1 substrate.

IT 330829-85-1P, CZ 15-73

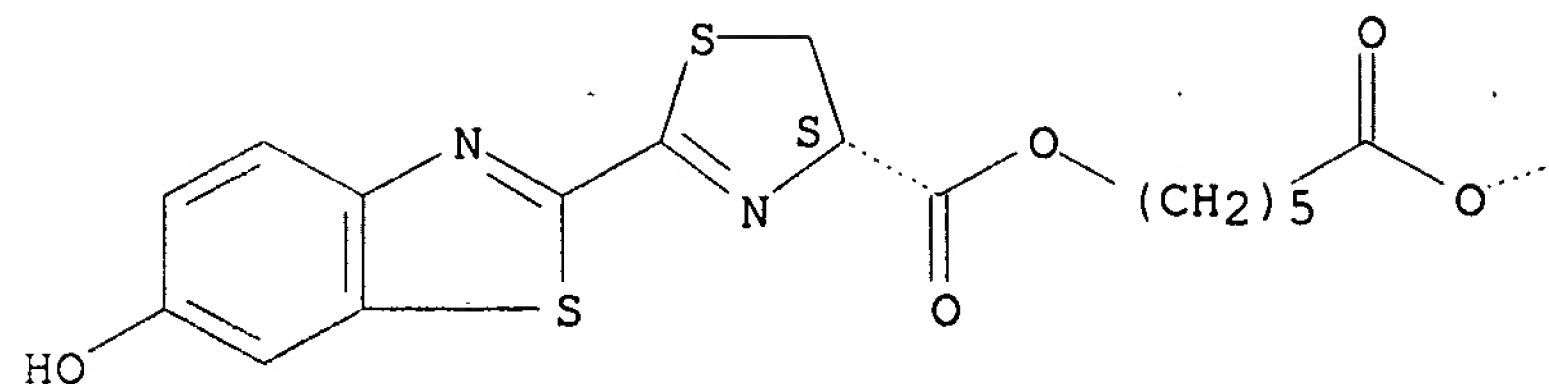
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (glycocholate ester-luciferin conjugate; substrates and  
**screening** methods for transport proteins)

RN 330829-85-1 HCAPLUS

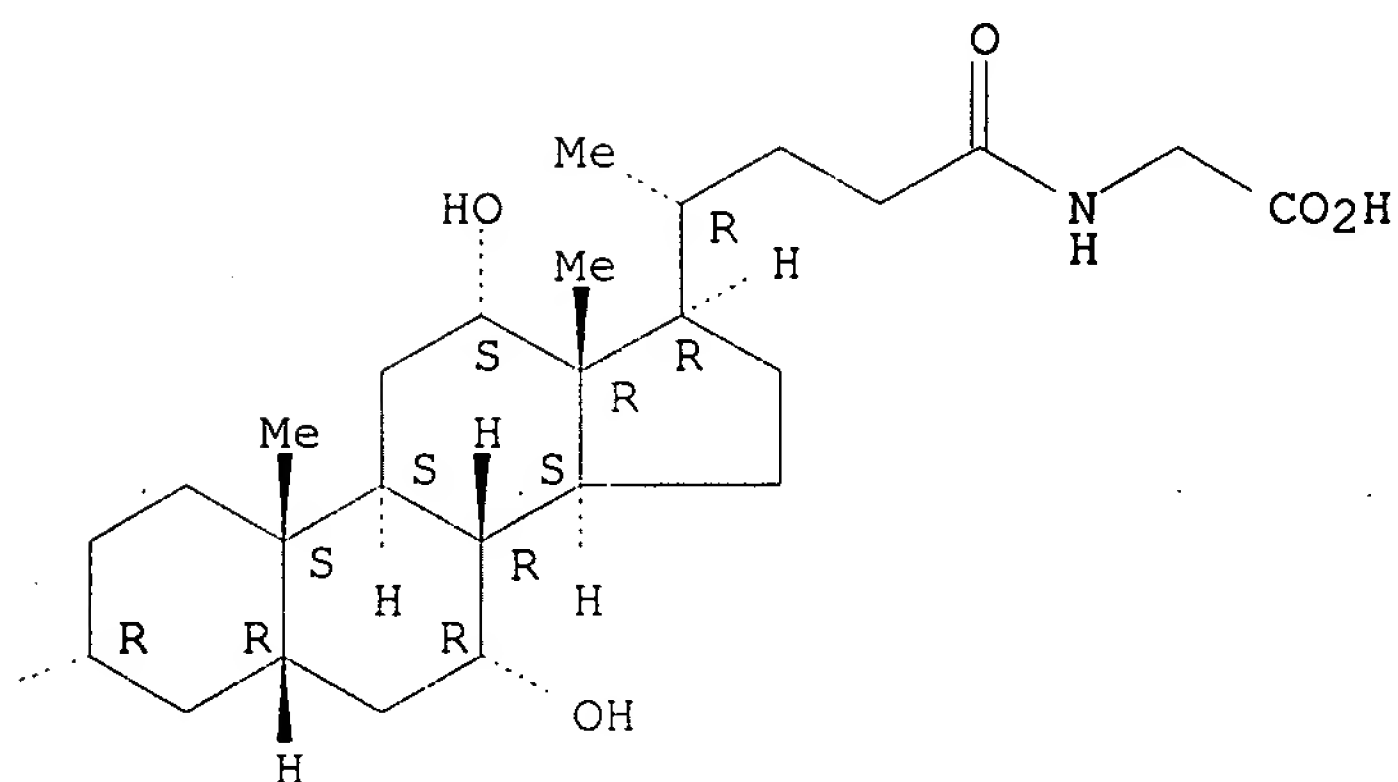
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 330795-52-3P

RL: BYP (Byproduct); PREP (Preparation)

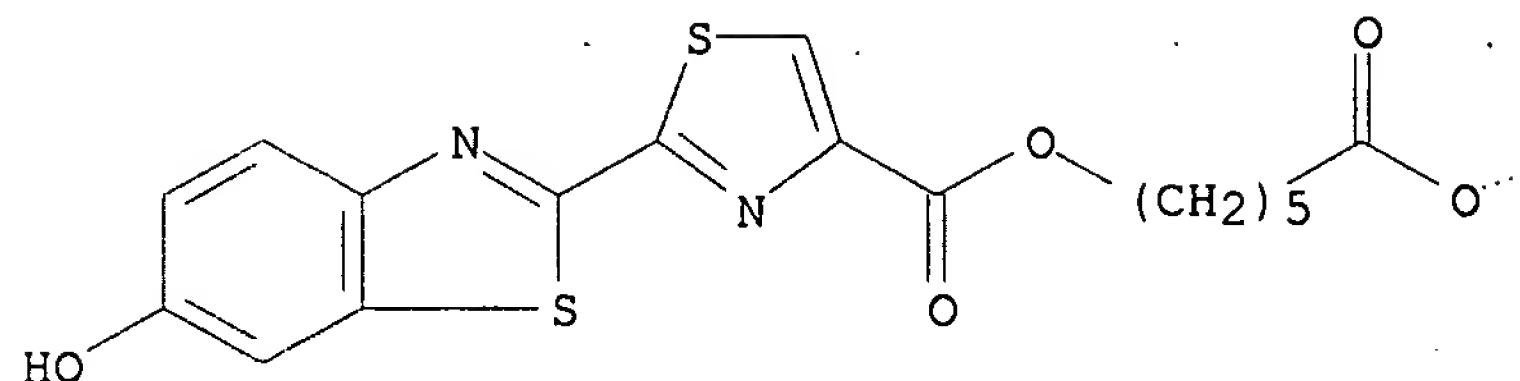
(substrates and **screening** methods for transport proteins)

RN 330795-52-3 HCAPLUS

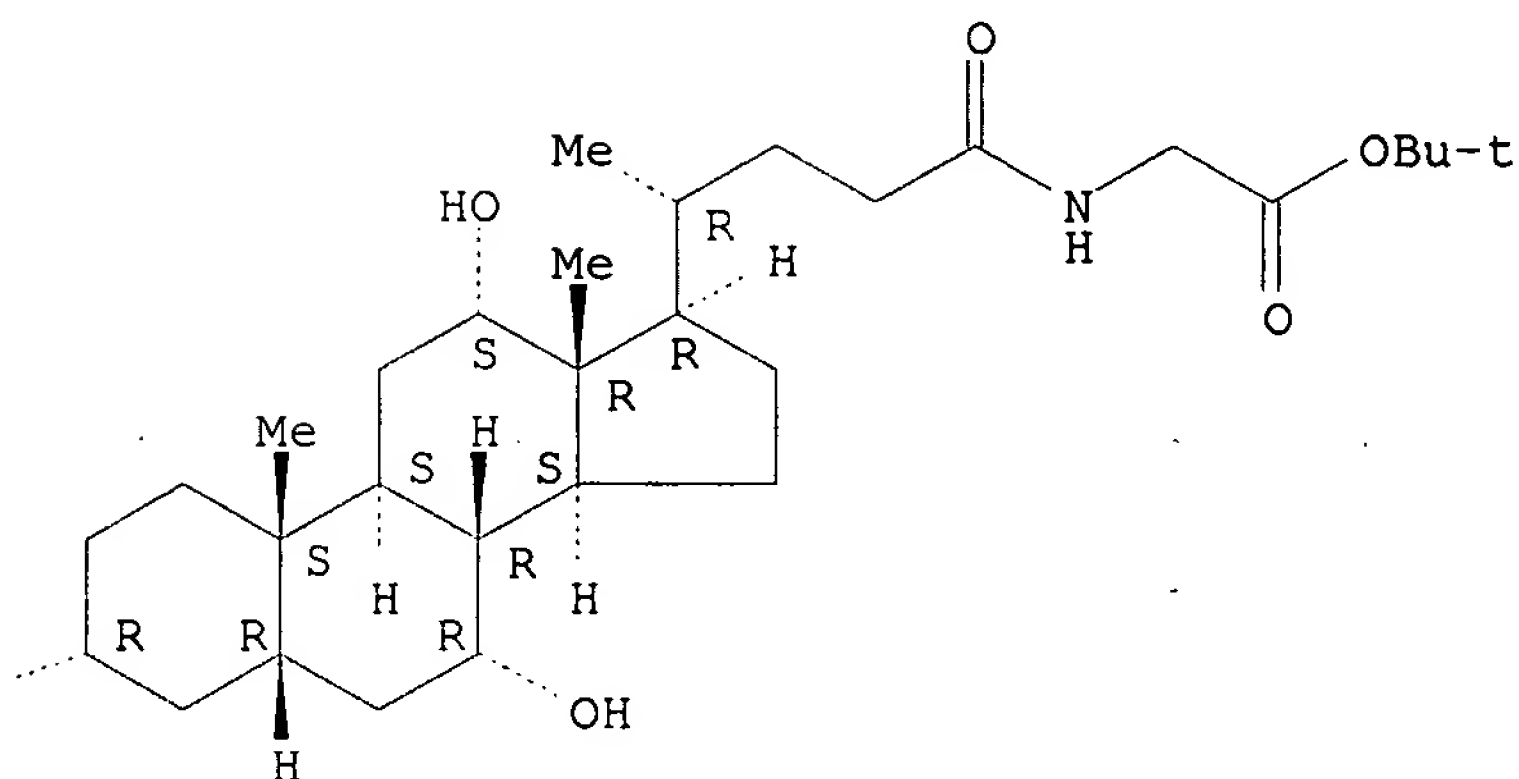
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[[6-  
 [[[2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-  
 oxohexyl]oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 330795-48-7P 330795-49-8P 330795-50-1P

330795-51-2P 330795-58-9P

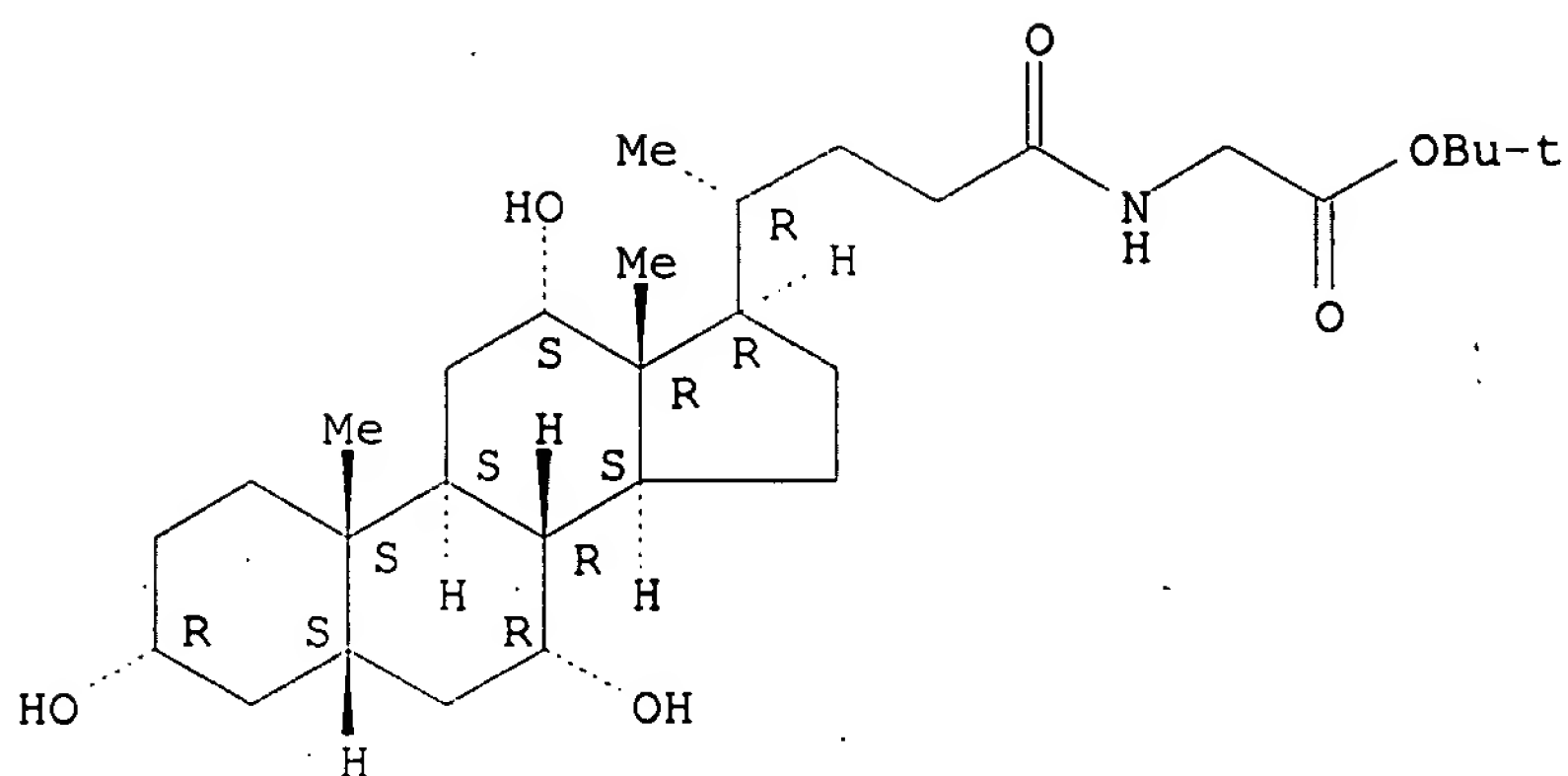
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(substrates and **screening** methods for transport proteins)

RN 330795-48-7 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

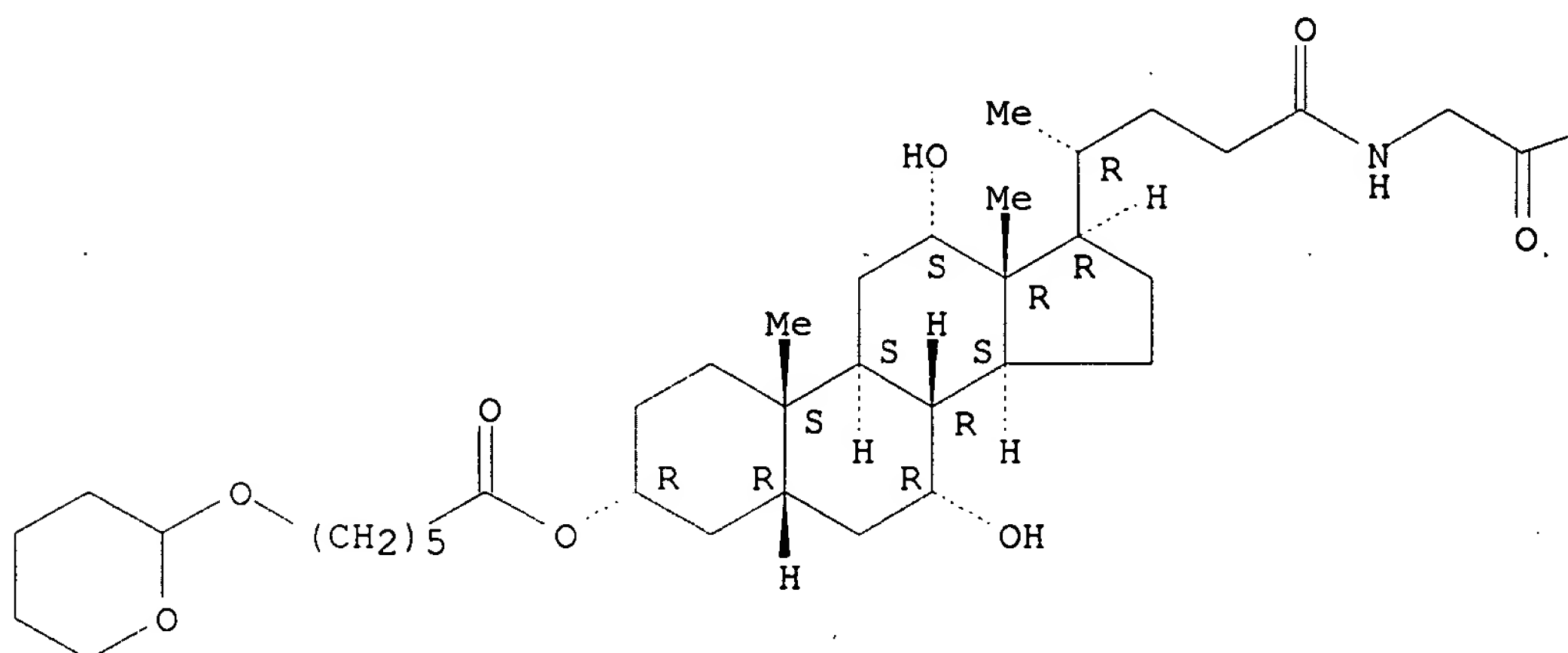


RN 330795-49-8 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-24-oxo-3-[[1-oxo-6-[(tetrahydro-2H-pyran-2-yl)oxy]hexyl]oxy]cholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



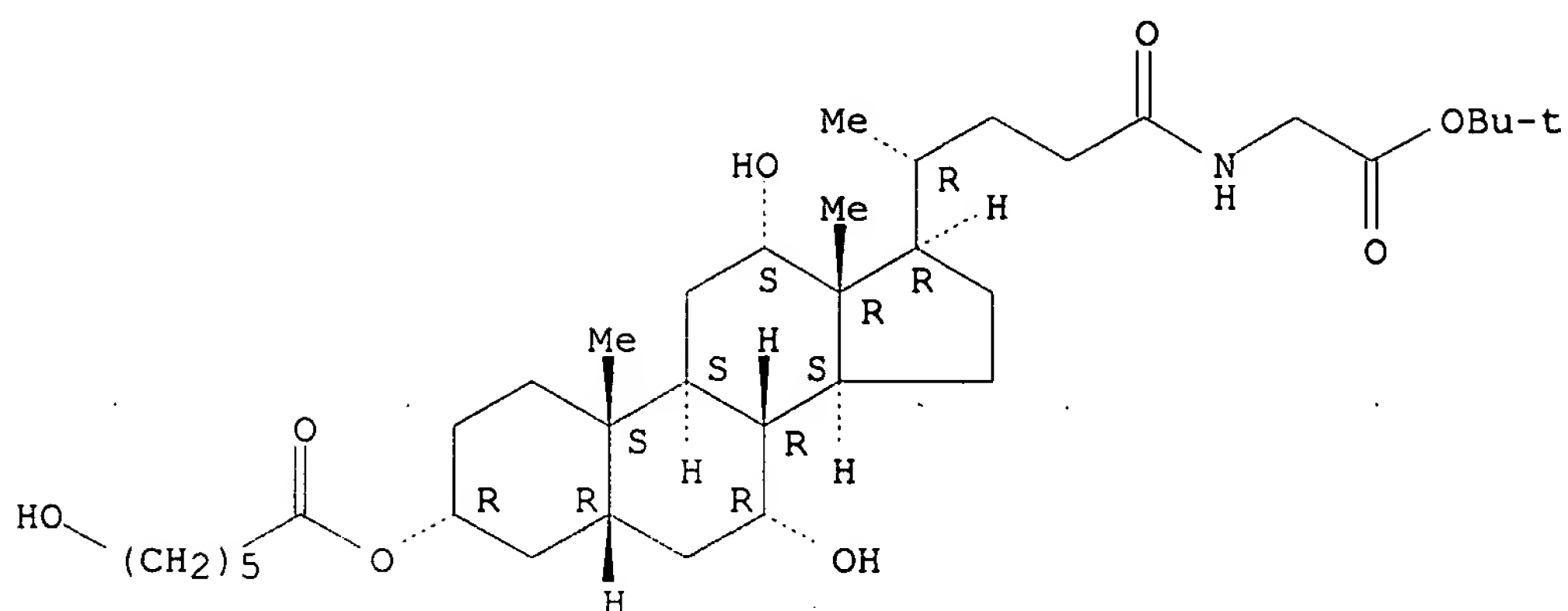
PAGE 1-B

—OBu-t

RN 330795-50-1 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[(6-hydroxy-1-oxohexyl)oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

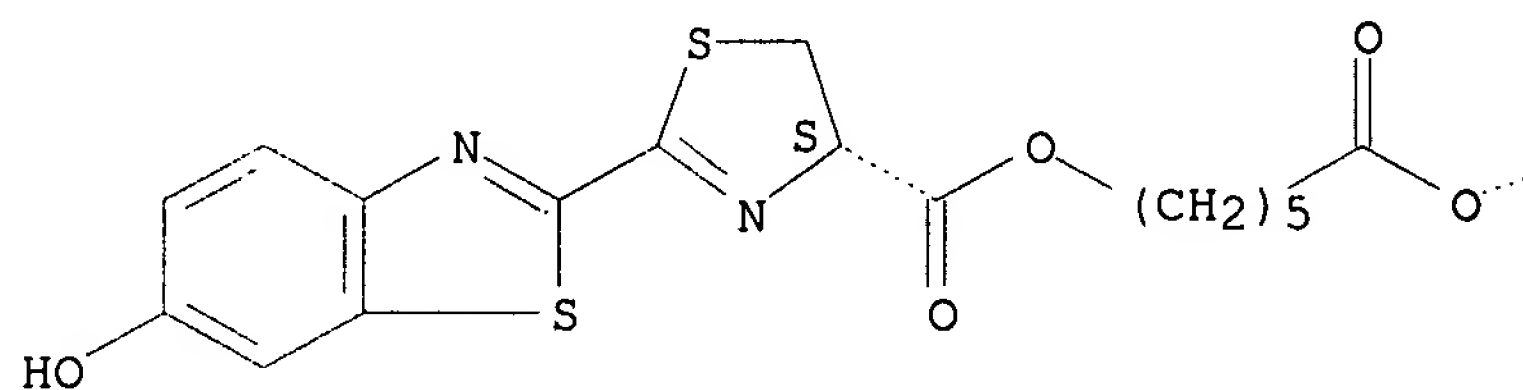


RN 330795-51-2 HCAPLUS

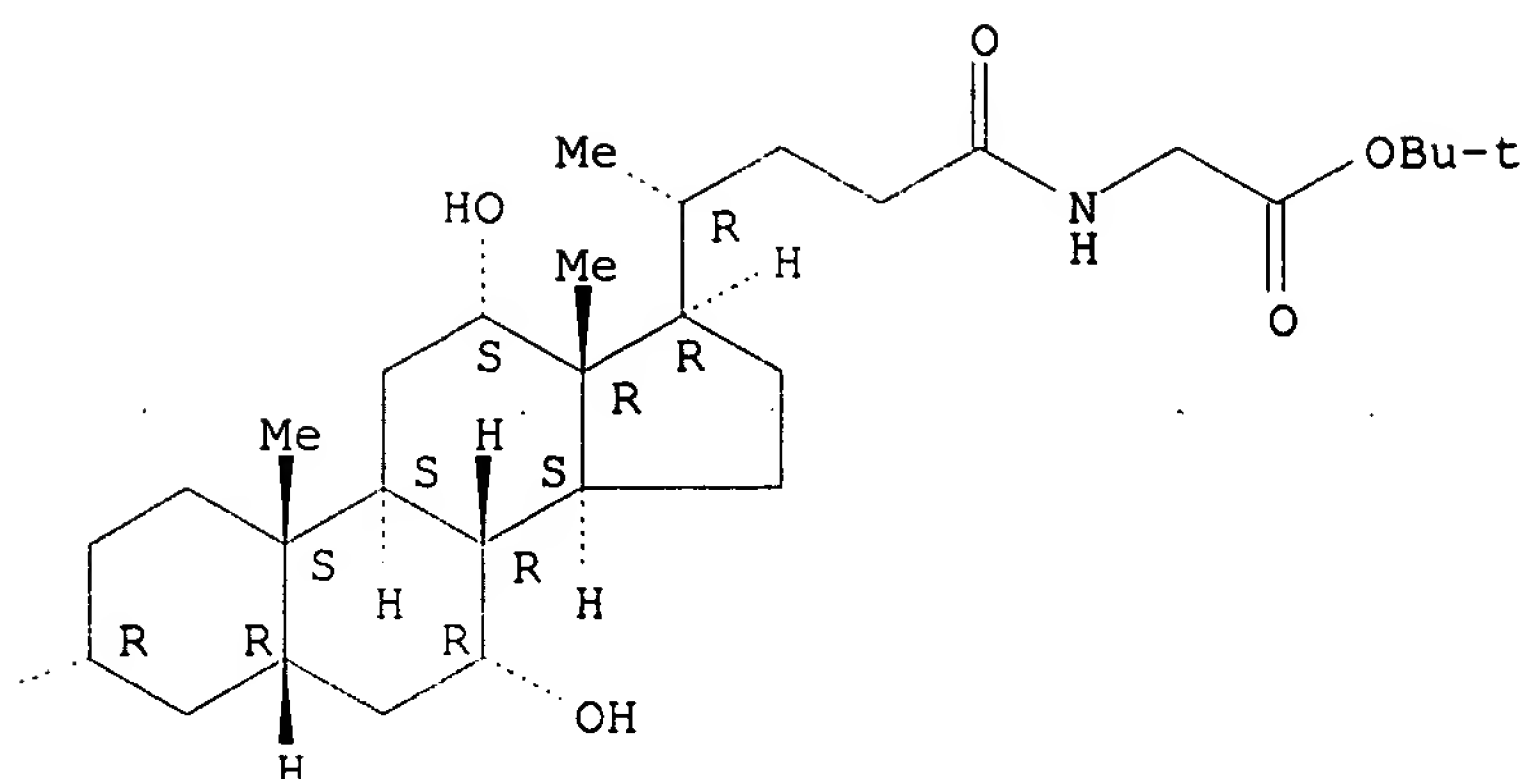
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



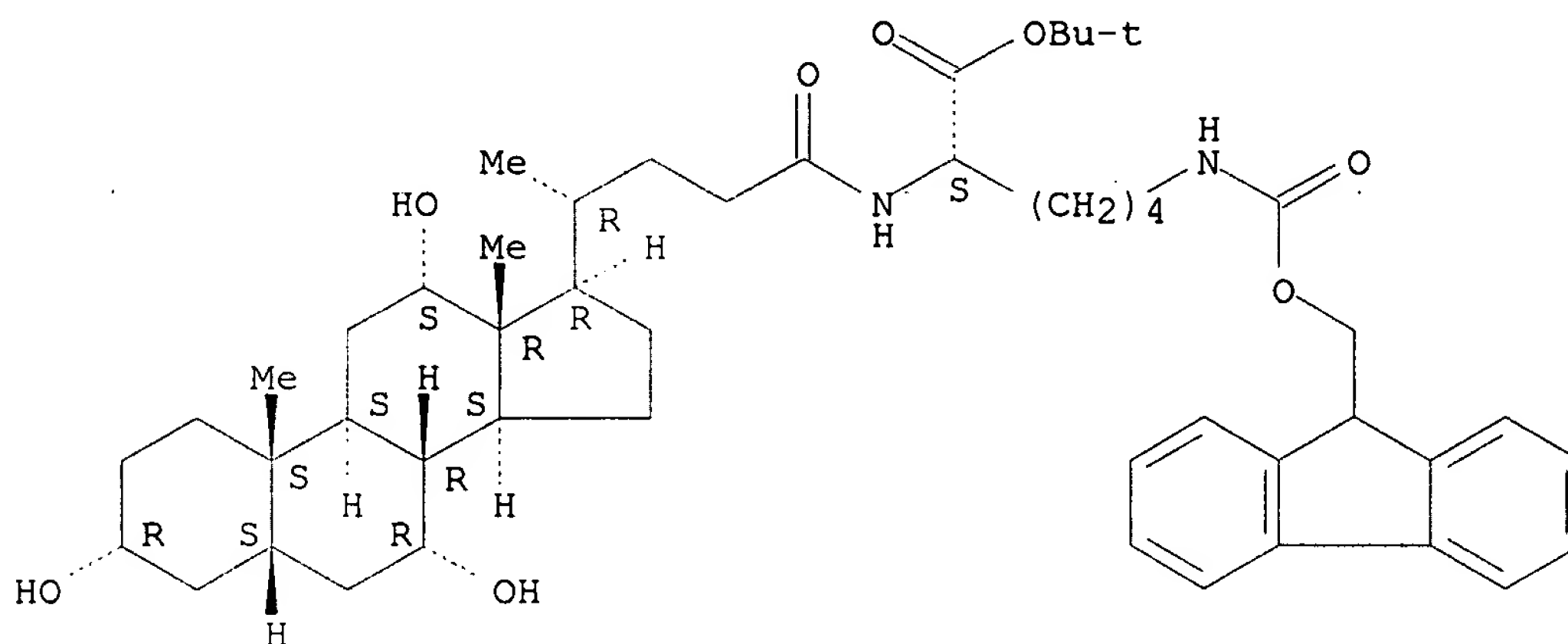
PAGE 1-B



RN 330795-58-9 HCAPLUS

CN L-Lysine, N6-[(9H-fluoren-9-ylmethoxy) carbonyl]-N2-  
 [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
 yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 166301-16-2P 330795-59-0P 330795-60-3P

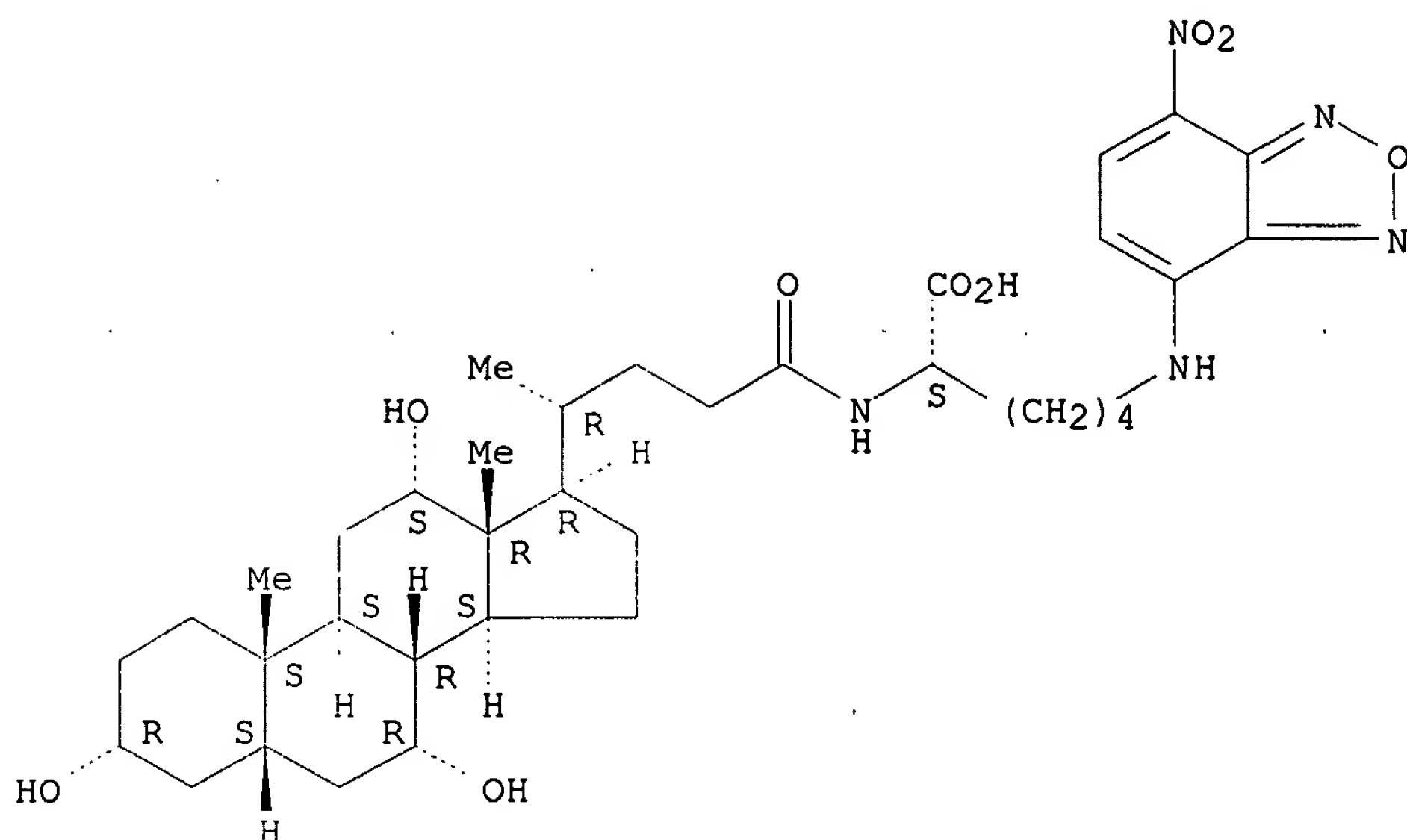
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (substrates and screening methods for transport proteins)

RN 166301-16-2 HCAPLUS

CN L-Lysine, N6-(7-nitro-2,1,3-benzoxadiazol-4-yl)-N2-  
 [(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
 yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



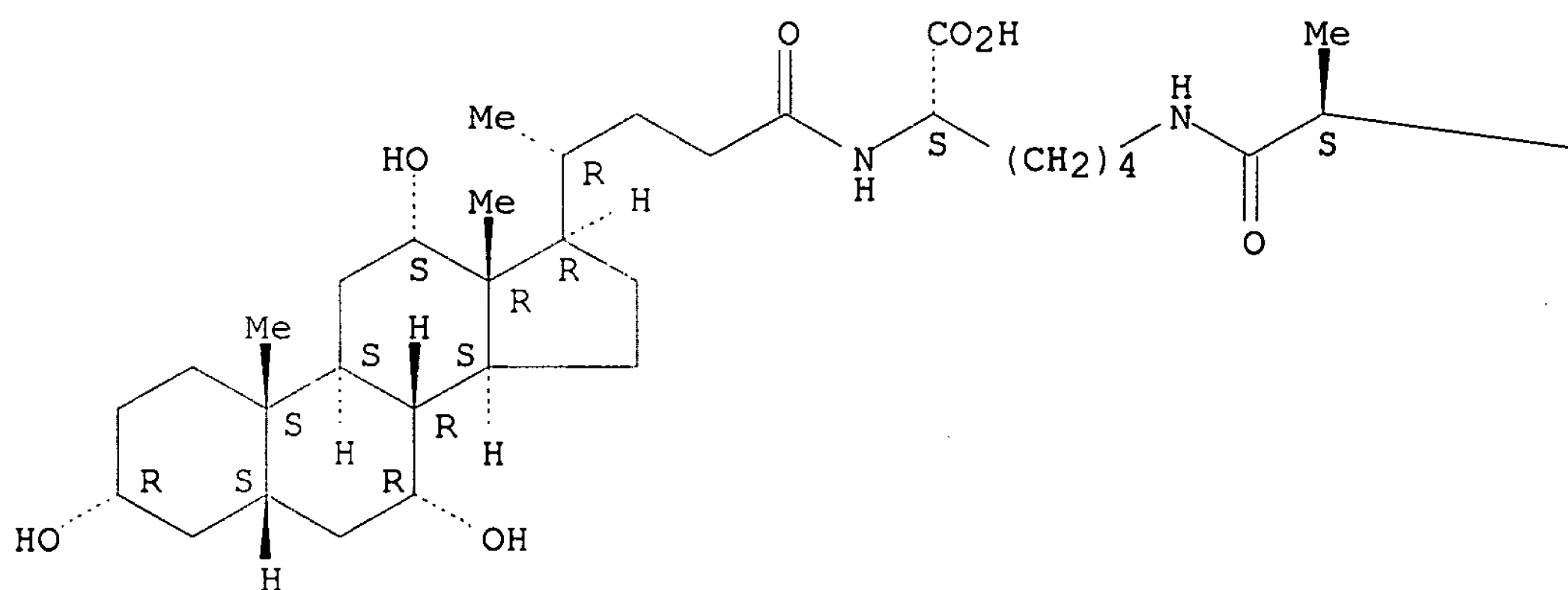


RN 330795-59-0 HCAPLUS

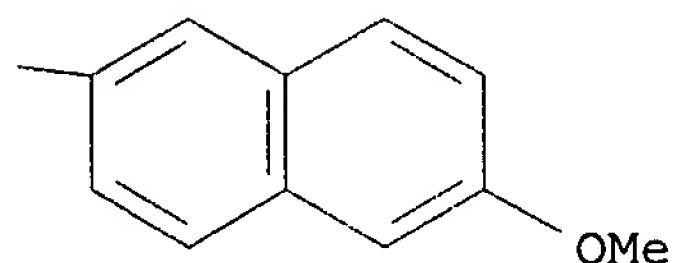
CN L-Lysine, N6-[(2S)-2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]-N2-  
[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-  
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

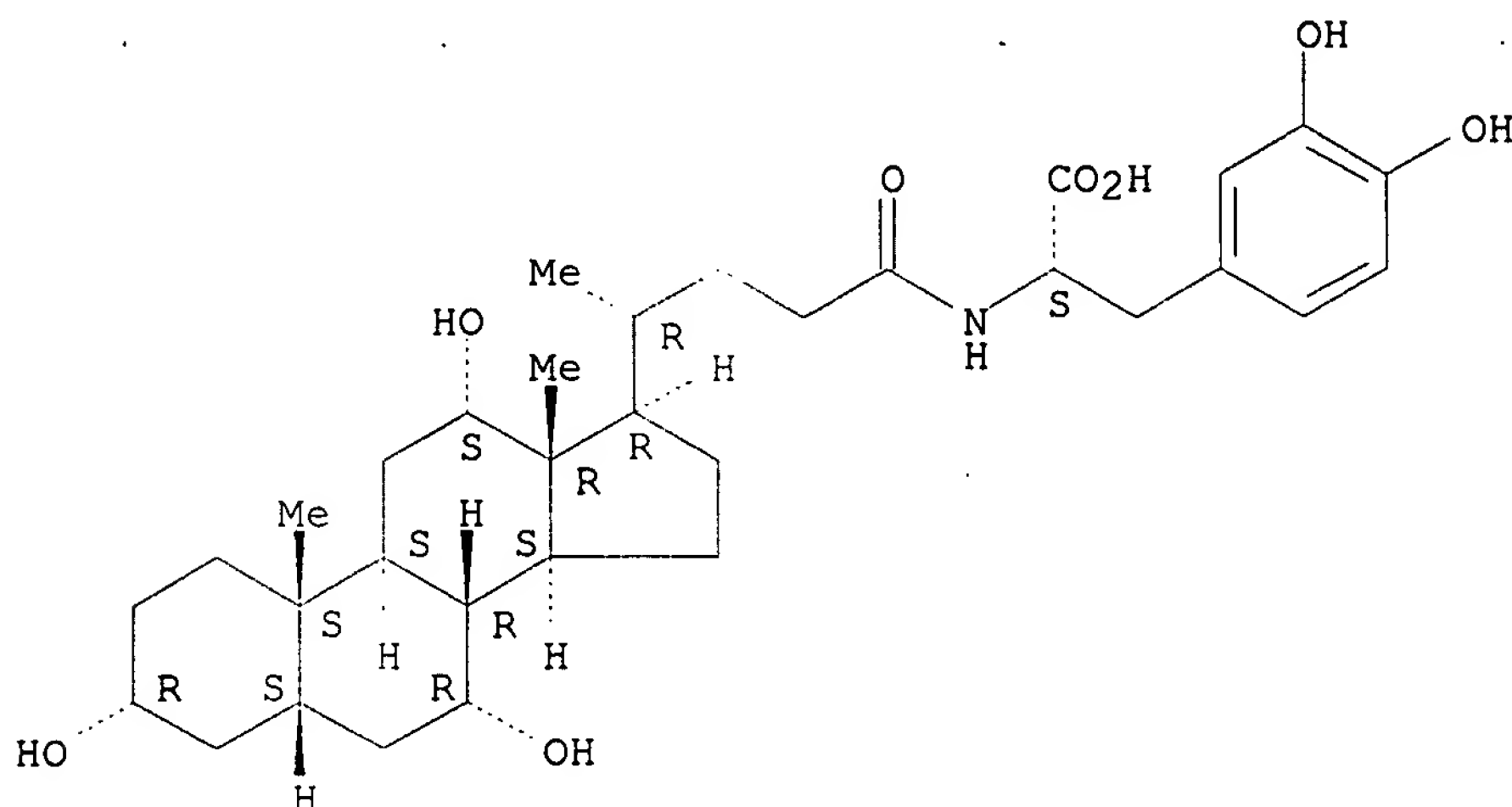


PAGE 1-B



RN 330795-60-3 HCAPLUS  
 CN L-Tyrosine, 3-hydroxy-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:707016 HCAPLUS

DOCUMENT NUMBER: 133:291121

TITLE: Method of affecting cholesterol catabolism using nuclear bile acid receptor, and **screening** method

INVENTOR(S): Forman, Barry M.; Wang, Haibo

PATENT ASSIGNEE(S): City of Hope, USA

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000057915	A1	20001005	WO 2000-US7836	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165135	A1	20020102	EP 2000-918345	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:

US 1999-126334P P 19990326

WO 2000-US7836 W 20000324

AB Methods and compns. are provided for modulating genes which are controlled by the FXR orphan nuclear hormone receptor. In a preferred embodiment, the method involves modulation of the gene encoding Cyp7a, the enzyme responsible for a major pathway in the elimination of cholesterol. The invention also relates to methods for **screening** compds. which bind to and activate or inhibit the FXR nuclear hormone receptor.

IT 475-31-0, Glycocholic acid

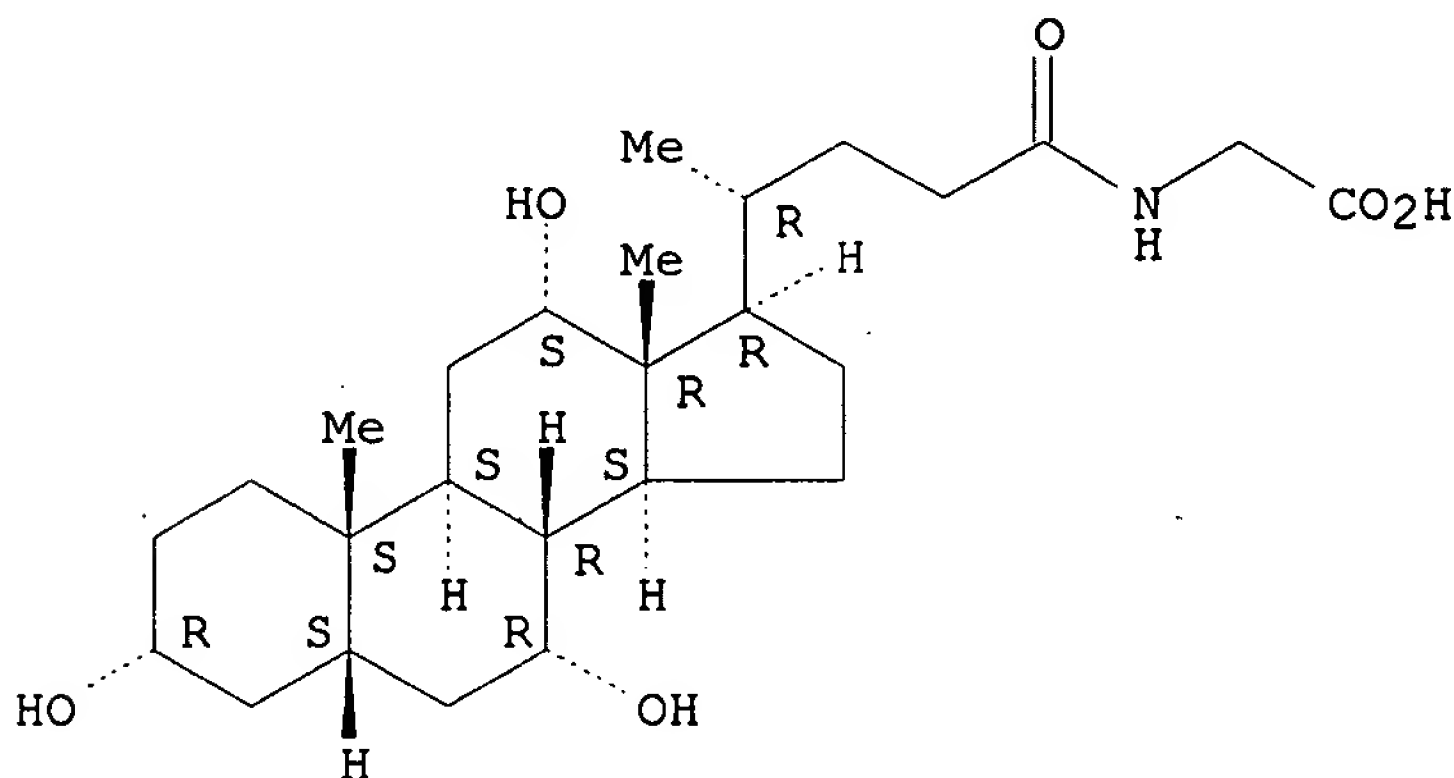
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:405889 HCAPLUS

DOCUMENT NUMBER: 133:219702

TITLE: Cytostar-T Scintillating Microplate Assay for Measurement of Sodium-Dependent Bile Acid Uptake in Transfected HEK-293 Cells

AUTHOR(S): Bonge, Helena; Hallen, Stefan; Fryklund, Jan; Sjostrom, Jan-Eric

CORPORATE SOURCE: Cell Biology and Biochemistry, AstraZeneca R&D Molndal, Moelndal, S-431 83, Swed.

SOURCE: Analytical Biochemistry (2000), 282(1), 94-101 CODEN: ANBCA2; ISSN: 0003-2697

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Real-time measurements of bile acid uptake into HEK-293 cell monolayers expressing the human sodium/bile acid cotransporters have been demonstrated using Cytostar-T microplates with an integral scintillating

base. In these 96-well microplates, which permits culturing and observation of adherent cell monolayers, uptake of  $^{14}\text{C}$ -labeled glycocholate and taurocholate into transfected HEK-293 cells was time-dependent, sodium-stimulated, and saturable. The sodium-activated uptake of  $30 \mu\text{M}$  [ $^{14}\text{C}$ ]glycocholate (GC) via the ileal (IBAT) and liver (LBAT) transporters was 30-40 times higher than GC uptake in a sodium-free background. In addn., ouabain inhibition of the plasma membrane  $\text{Na}^+, \text{K}^+$ -ATPase, causing the sodium gradient to collapse, resulted in total loss of glycocholate transport. Induction of gene expression by sodium butyrate showed that the amt. of labeled bile acid accumulated in the cell monolayers at steady state was a function of the total amt. of transporter expressed. Uptake of labeled bile acids was inhibited both by the specific IBAT inhibitor, 2164U90, and by various bile acids. No major difference was obsd. between IBAT and LBAT in their specificity for the bile acids tested while the dihydroxy bile acids had the highest affinity for both the transporters studied. The Cytostar-T proximity assay has been demonstrated to be an accurate and reproducible method for monitoring specific bile acid transport in transfected mammalian cells and the results are similar to those obtained by traditional methods. We conclude that the technique is an attractive approach to the cellular study of membrane transport of radiolabeled solutes in general and suggest a role in **screening** and characterization of novel transport inhibitors.

(c) 2000 Academic Press.

IT 475-31-0, Glycocholic acid 42459-83-6

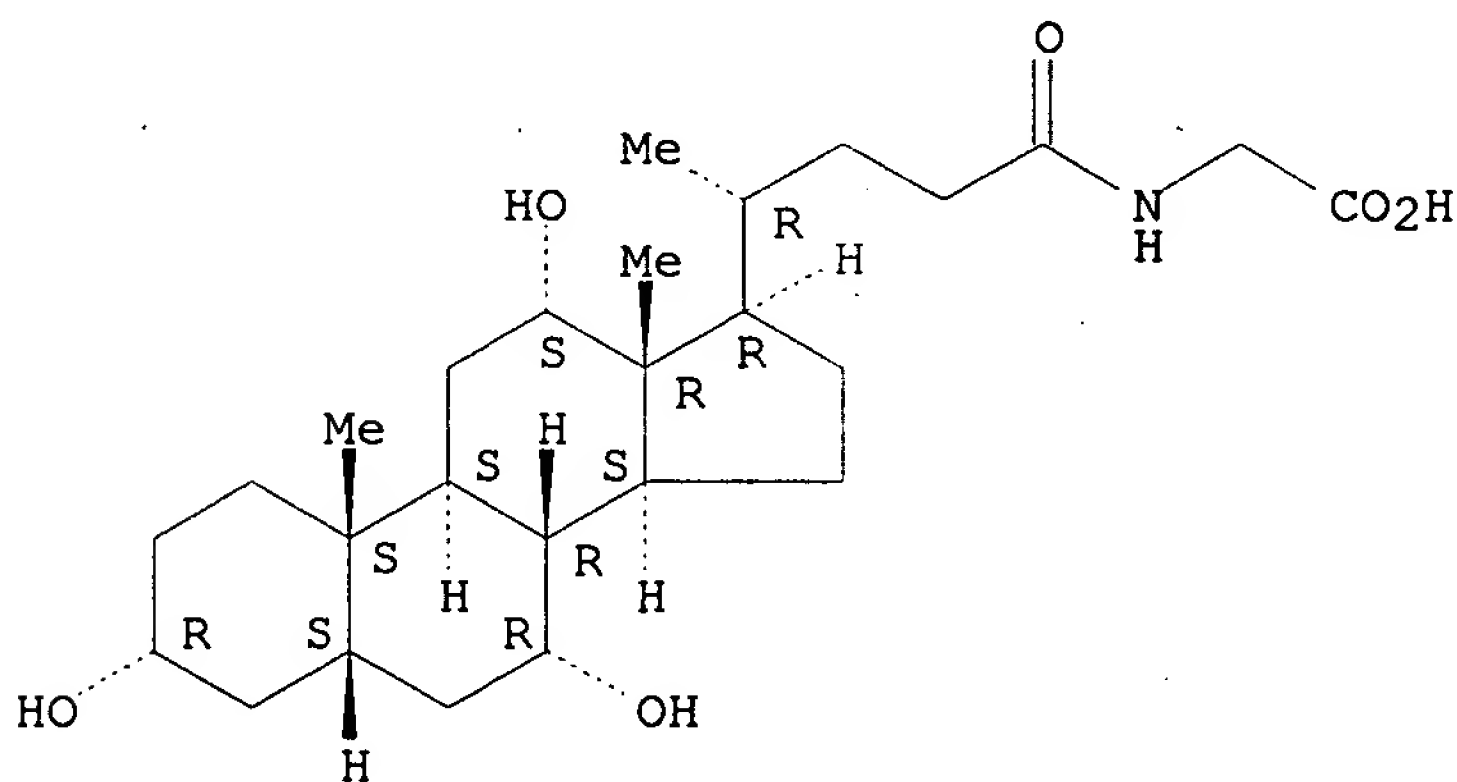
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(Cytostar-T scintillating microplate assay for measurement of sodium-dependent bile acid uptake in transfected HEK-293 cells)

RN 475-31-0 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

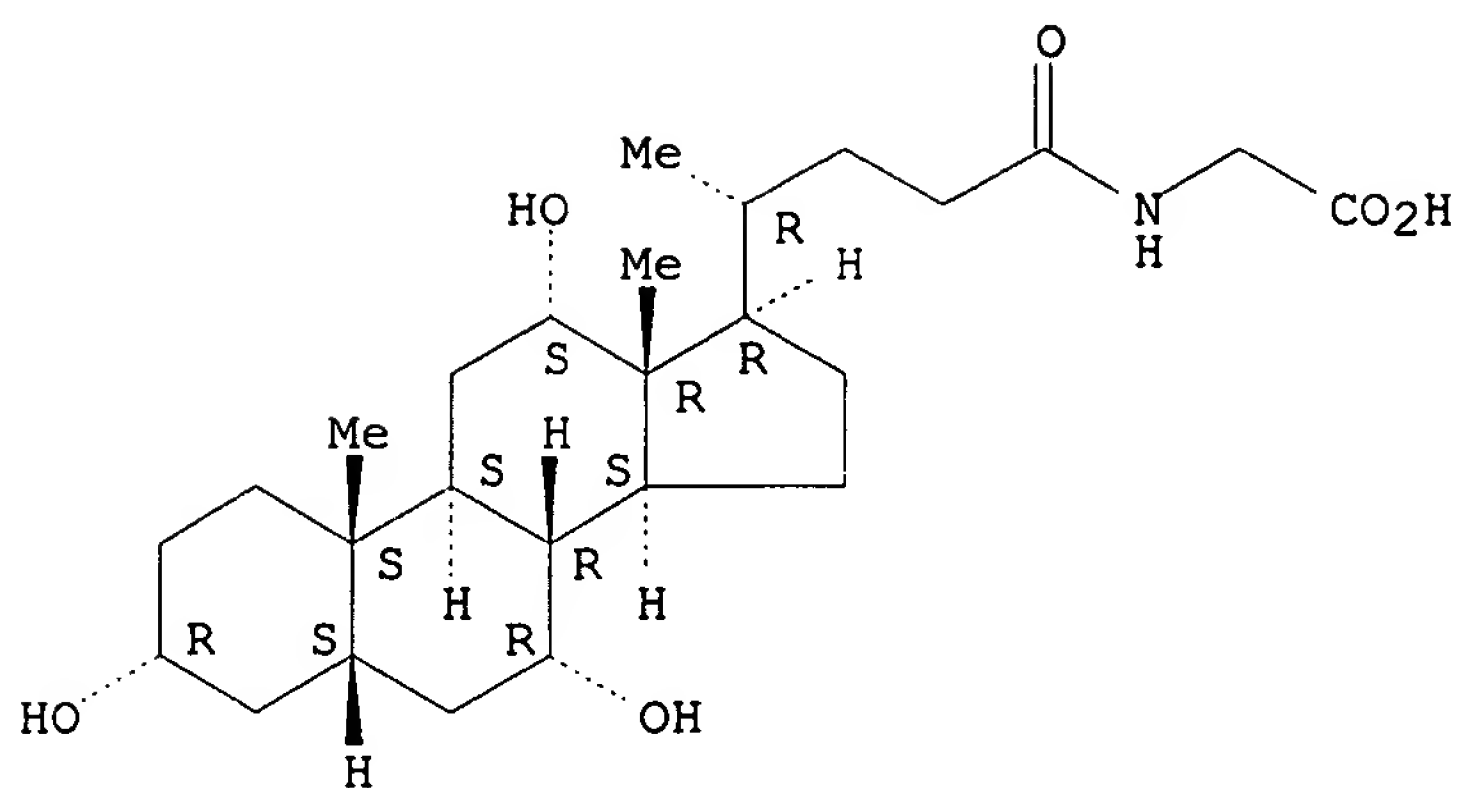
Absolute stereochemistry.



RN 42459-83-6 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-, labeled with carbon-14 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

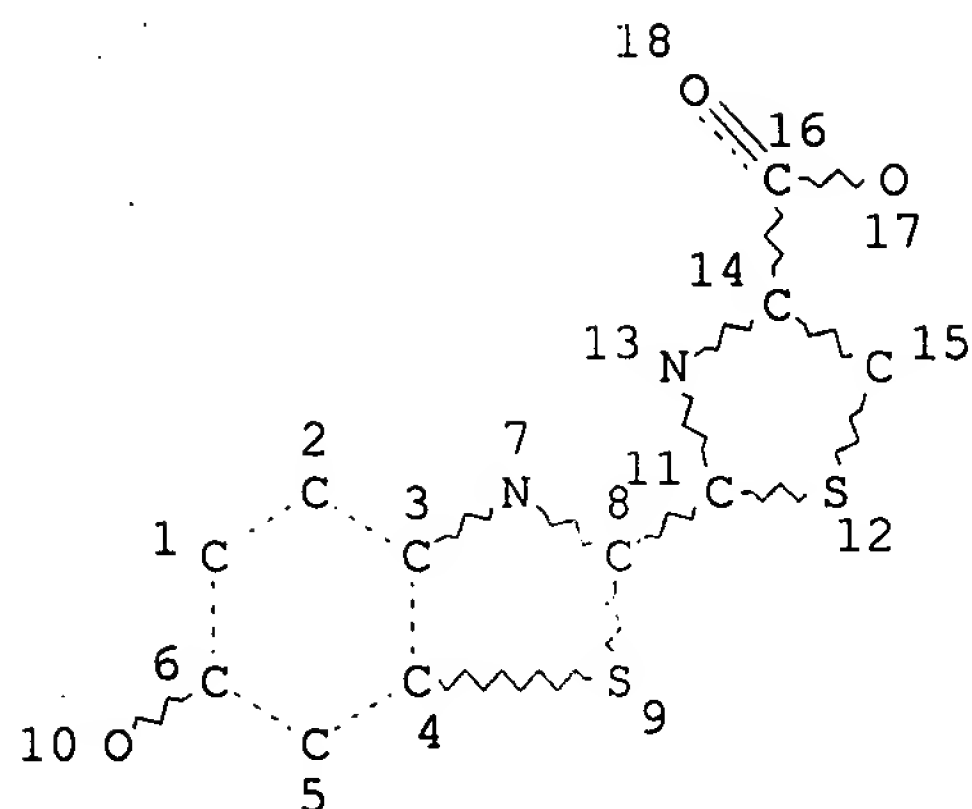
27

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L25

STR



## NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

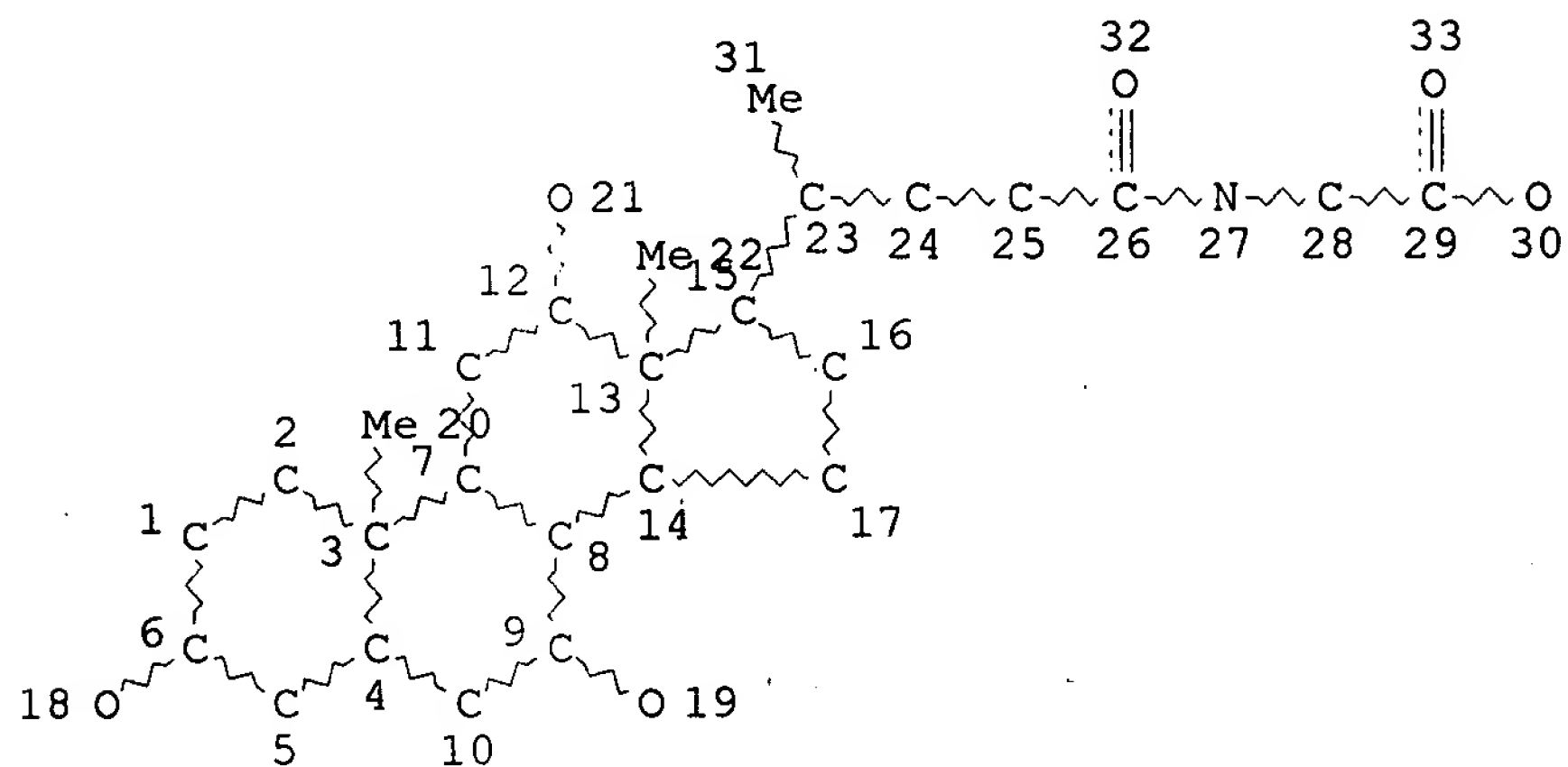
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NUMBER OF NODES IS 18

## STEREO ATTRIBUTES: NONE

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L28 STR



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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

## STEREO ATTRIBUTES: NONE

L30 452 SEA FILE=REGISTRY SSS FUL L28

L31 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 AND L30

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L31 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208508 HCAPLUS

DOCUMENT NUMBER: 134:249215

TITLE: Substrates and screening methods for transport proteins

INVENTOR(S): Dower, William J.; Gallop, Mark; Barrett, Ronald W.; Cundy, Kenneth C.; Chernov-Rogan, Tania

PATENT ASSIGNEE(S): Xenoport, Inc., USA

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001020331	A1	20010322	WO 2000-US25439	20000914
WO 2001020331	C2	20021003		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1212619	A1	20020612	EP 2000-966735	20000914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-154071P P 19990914

WO 2000-US25439 W 20000914

AB A variety of methods for assaying libraries of test compds. as ligands and/or substrates of transport proteins, including both carrier-type and receptor-type transport proteins, are provided. Both in vitro and in vivo screening methods are disclosed. Also provided are methods for screening DNA libraries to identify members that encode transport proteins. Pharmaceutical compns. including compds. identified via the screening methods are also provided. CHO K1 cells expressing PEPT1 transporter of human or rat were prepd. Fluorescent XP10486 was synthesized and used as PEPT1 substrate.

IT 330829-83-9P, GP 5-71

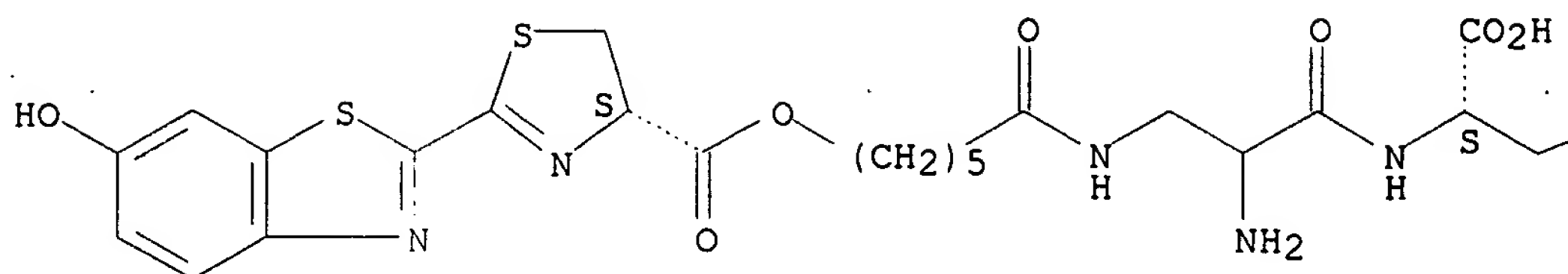
RL: SPN (Synthetic preparation); PREP (Preparation)  
(dipeptide-luciferin conjugate; substrates and screening methods for transport proteins)

RN 330829-83-9 HCAPLUS

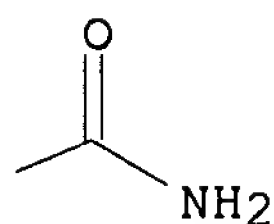
CN L-Asparagine, 3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]amino]alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 330829-85-1P, CZ 15-73

RL: SPN (Synthetic preparation); PREP (Preparation)

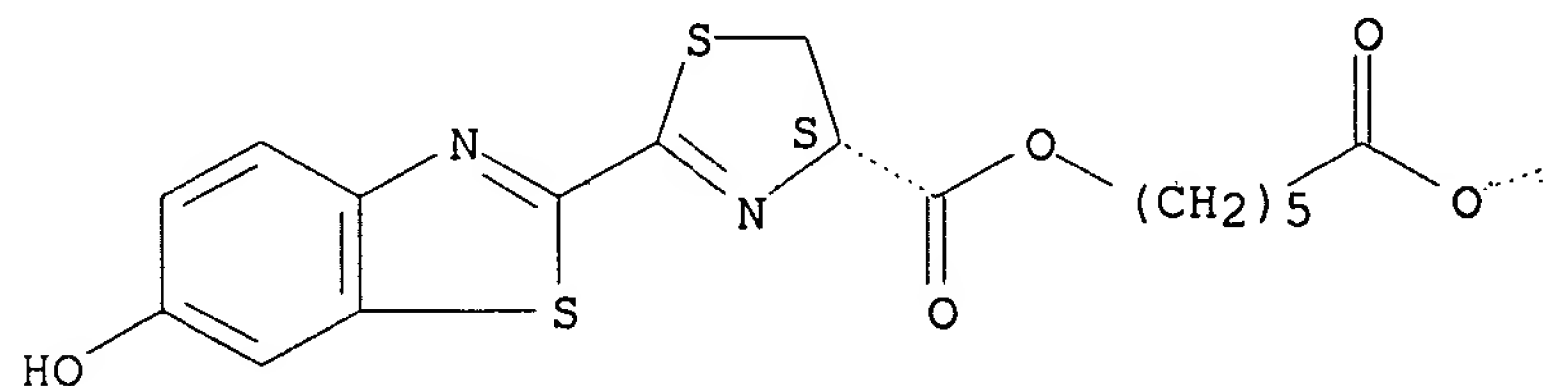
(glycocholate ester-luciferin conjugate; substrates and screening methods for transport proteins)

RN 330829-85-1 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

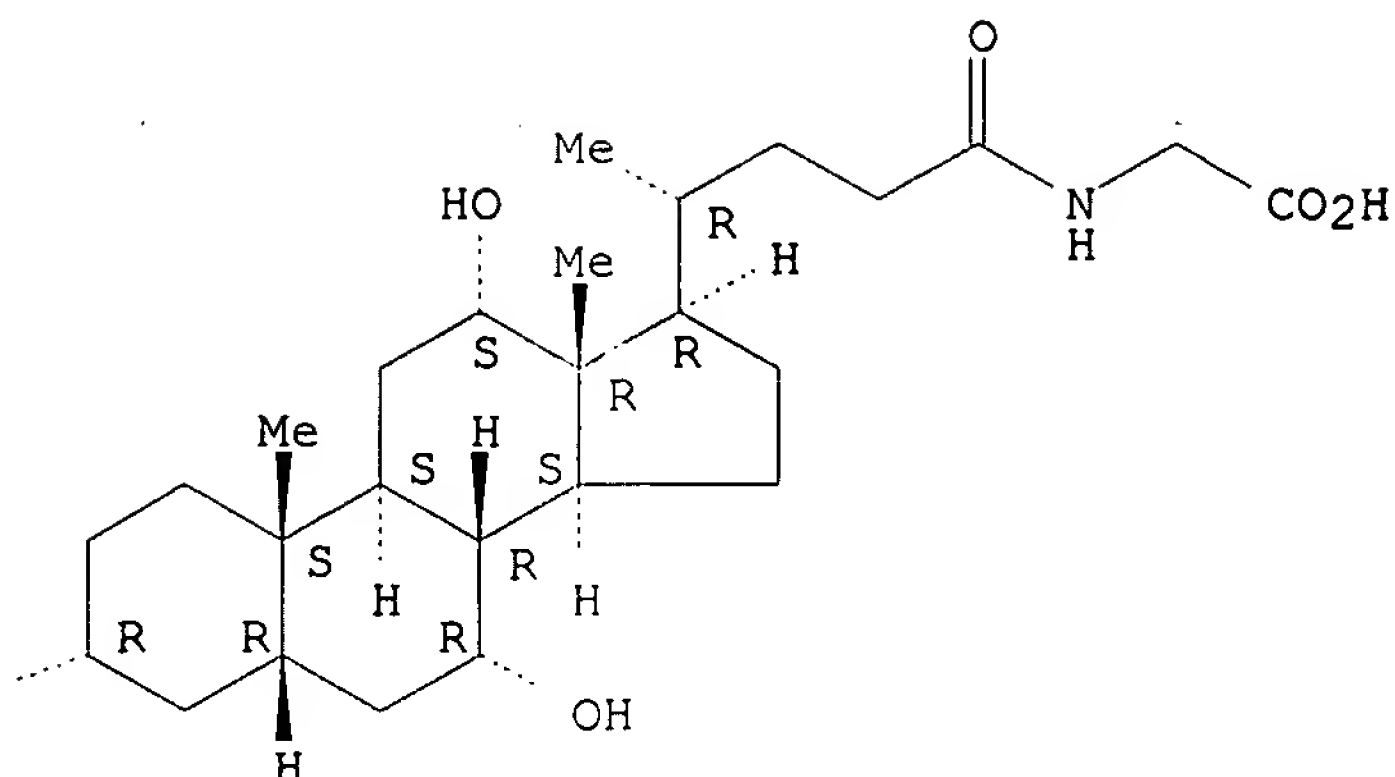
Absolute stereochemistry.

PAGE 1-A



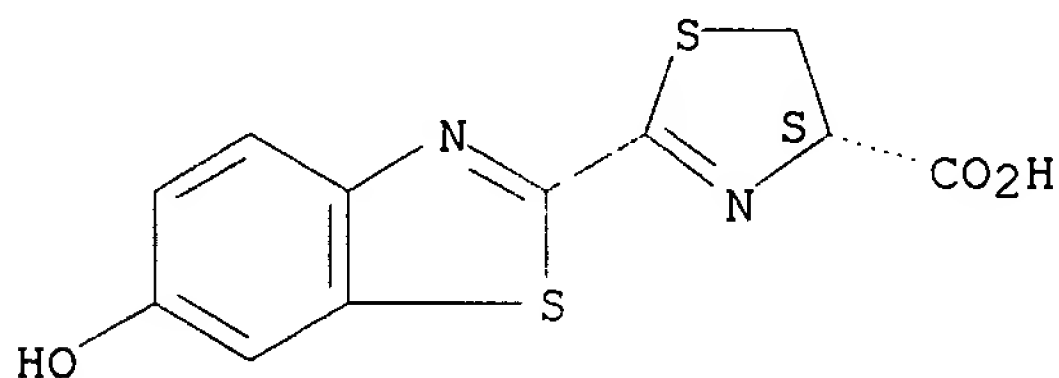


PAGE 1-B



IT 2591-17-5D, Luciferin, polar derivs., complexes or enzyme-cleavable conjugates with substrate/ligand  
 RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (substrates and screening methods for transport proteins)  
 RN 2591-17-5 HCAPLUS  
 CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-, (4S)- (9CI) (CA INDEX NAME)

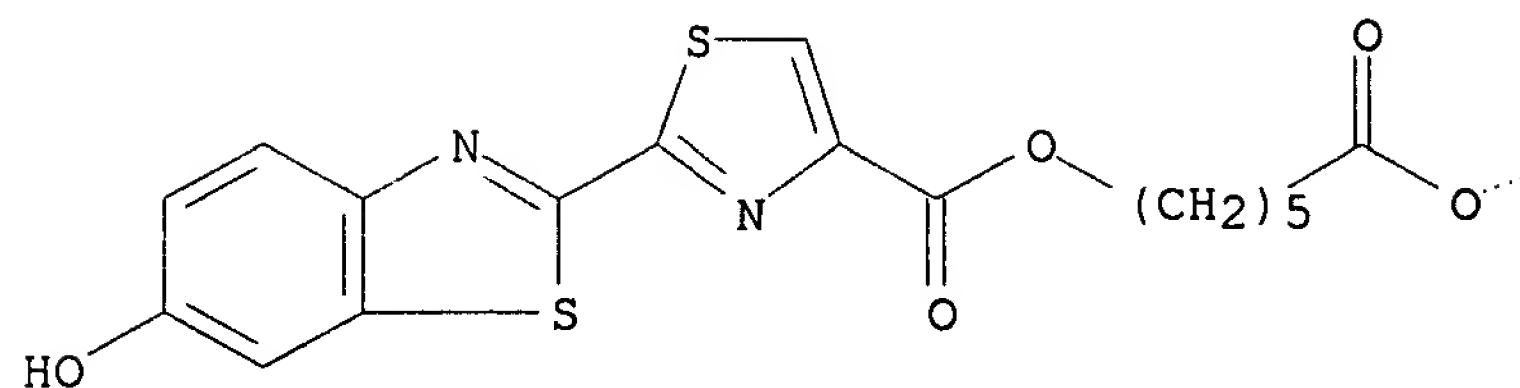
Absolute stereochemistry.



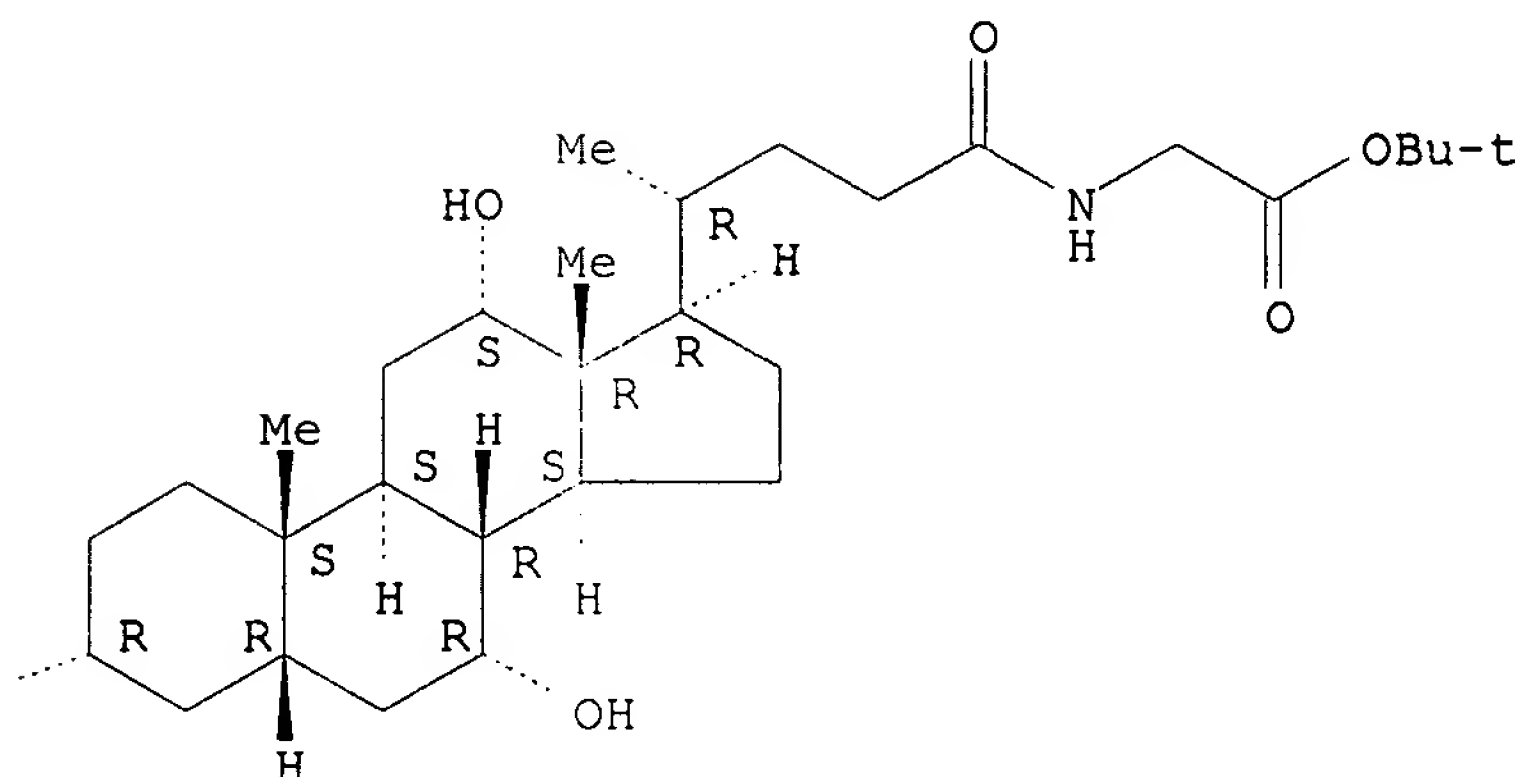
IT 330795-52-3P  
 RL: BYP (Byproduct); PREP (Preparation) (substrates and screening methods for transport proteins)  
 RN 330795-52-3 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[[6-[[[2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 2591-17-5, D-Luciferin

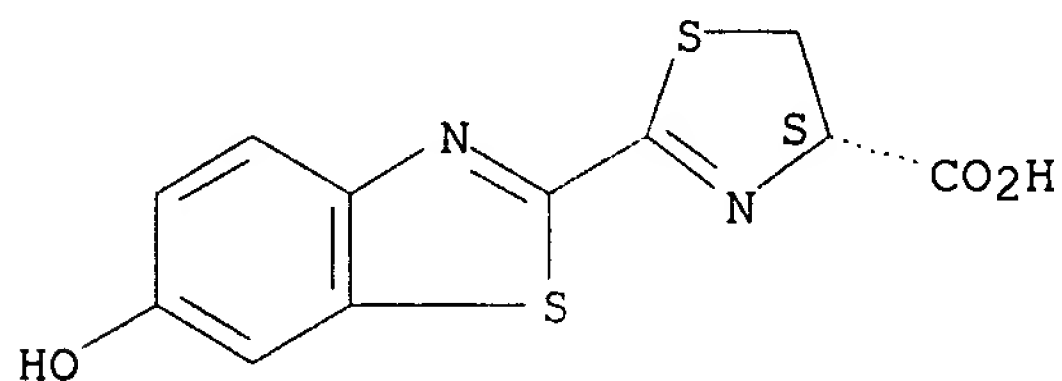
RL: RCT (Reactant); RACT (Reactant or reagent)

(substrates and screening methods for transport proteins)

RN 2591-17-5 HCAPLUS

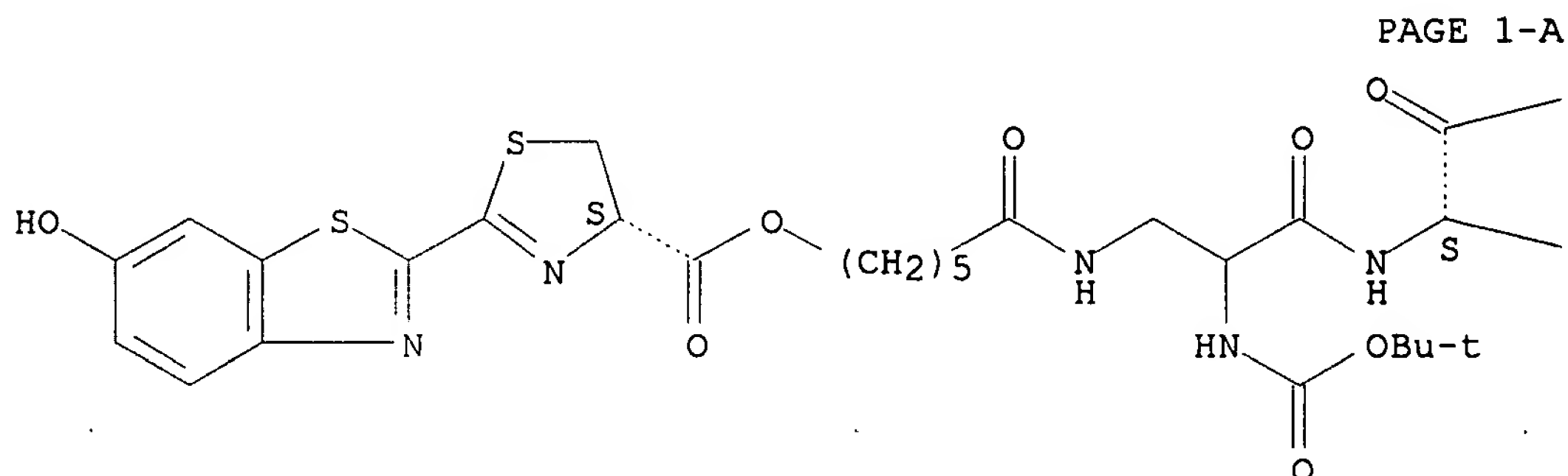
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-,  
(4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

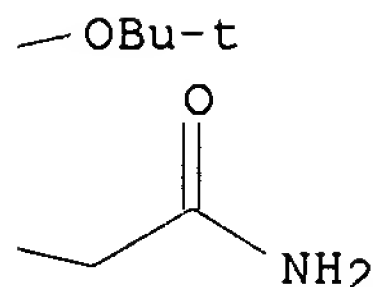


IT 330795-47-6P 330795-48-7P 330795-49-8P  
 330795-50-1P 330795-51-2P 330795-58-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (substrates and screening methods for transport proteins)  
 RN 330795-47-6 HCAPLUS  
 CN L-Asparagine, 3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-  
 thiazolyl]carbonyl]oxy]-1-oxohexyl]amino]-N-[(1,1-  
 dimethylethoxy)carbonyl]alanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.

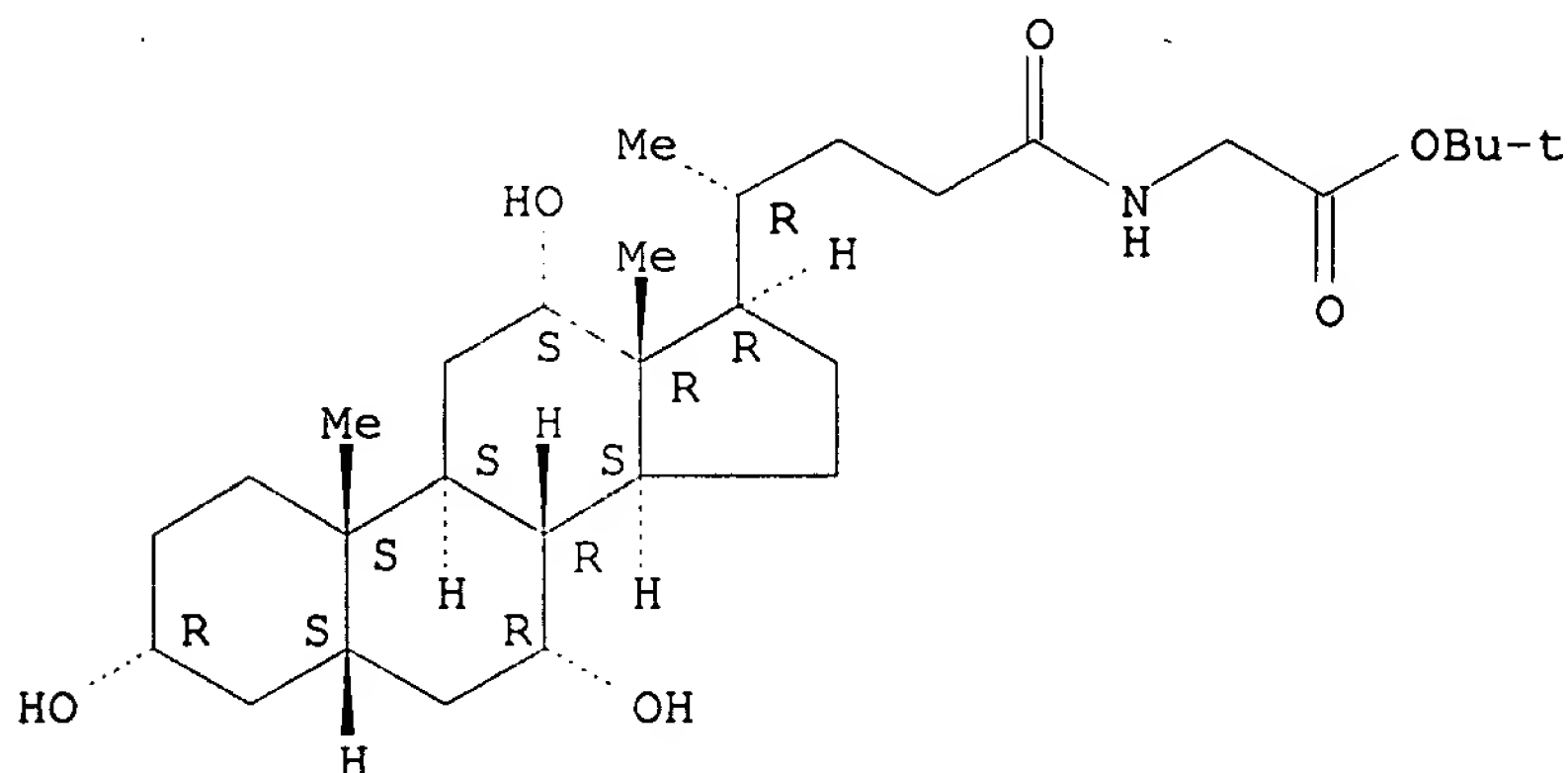


PAGE 1-B



RN 330795-48-7 HCAPLUS  
 CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-  
 oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

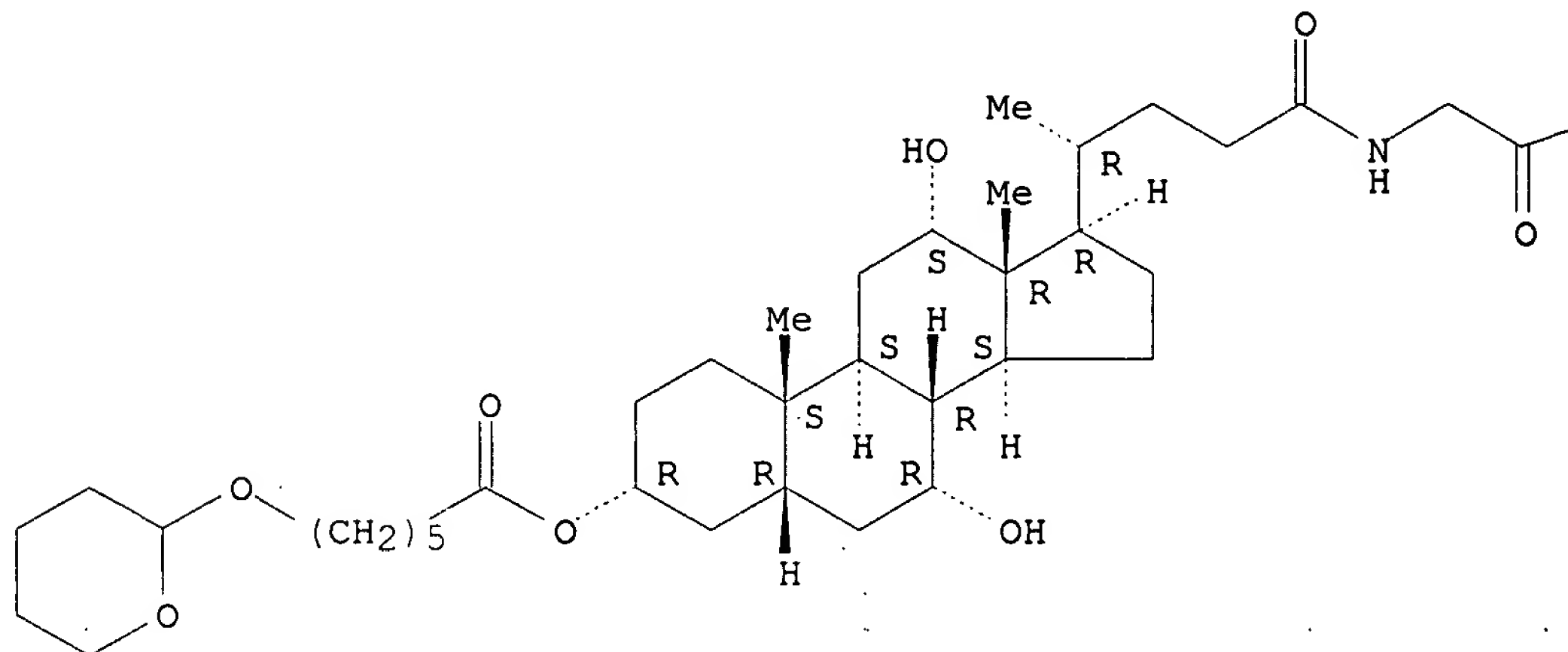


RN 330795-49-8 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-24-oxo-3-  
[[1-oxo-6-[(tetrahydro-2H-pyran-2-yl)oxy]hexyl]oxy]cholan-24-yl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



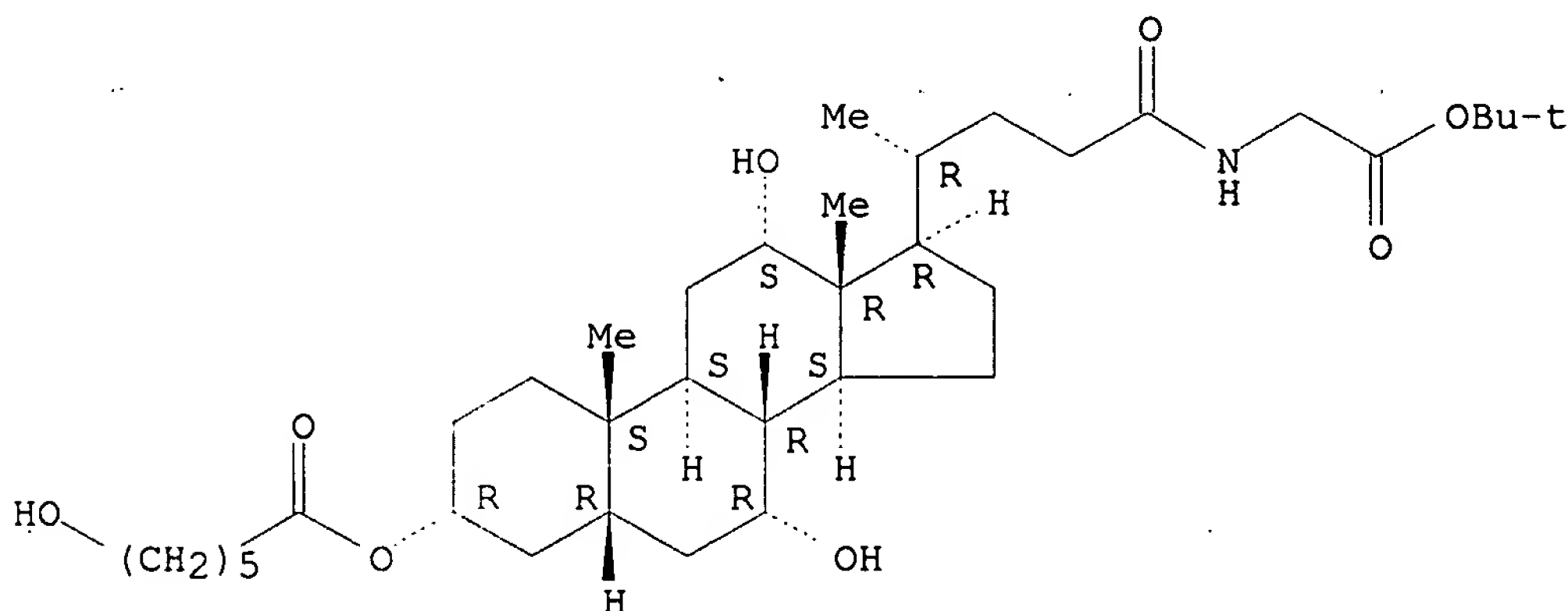
PAGE 1-B

—OBu-t

RN 330795-50-1 HCAPLUS

CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-7,12-dihydroxy-3-[(6-  
hydroxy-1-oxohexyl)oxy]-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

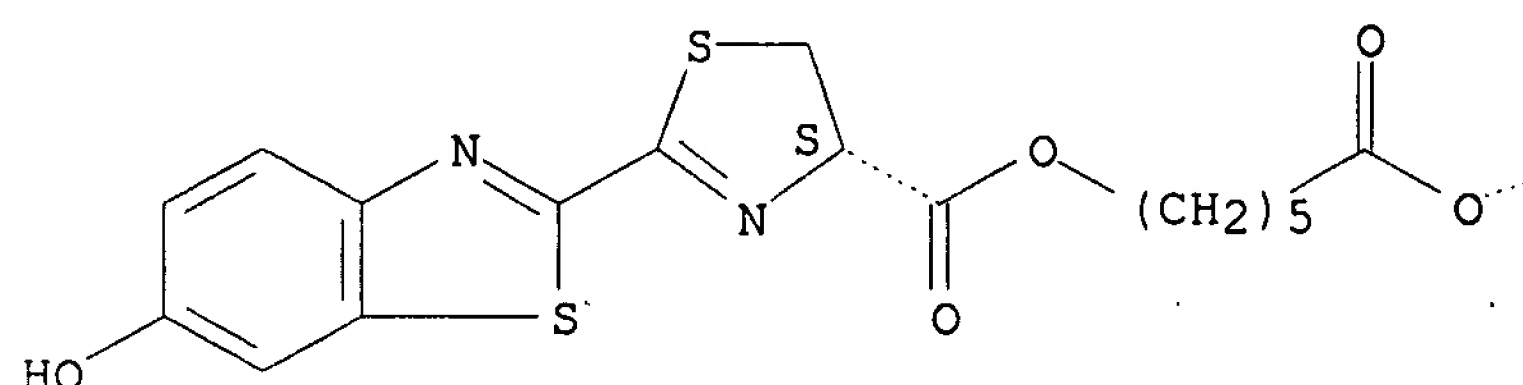


RN 330795-51-2 HCAPLUS

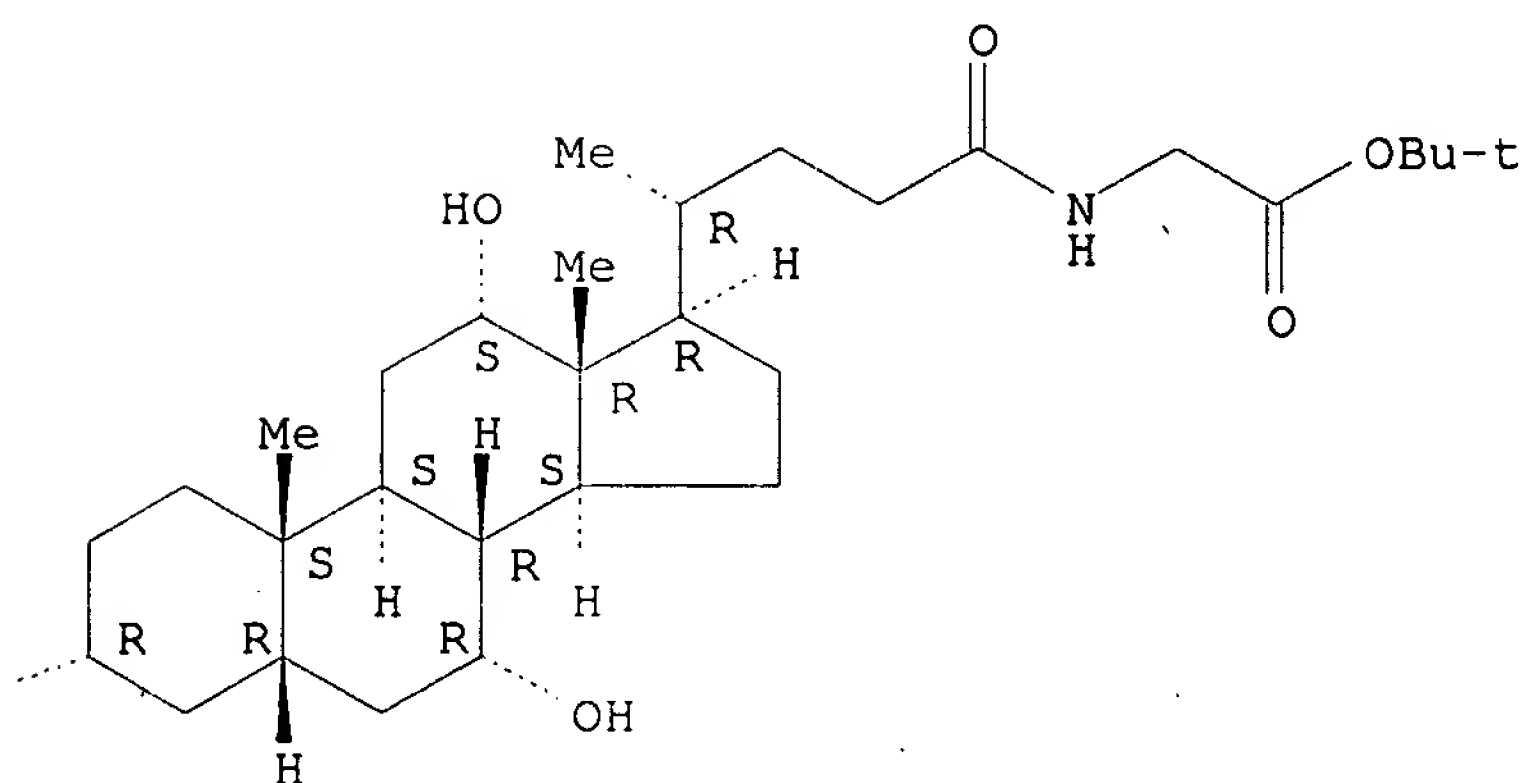
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3-[[6-[[[(4S)-4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-4-thiazolyl]carbonyl]oxy]-1-oxohexyl]oxy]-7,12-dihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



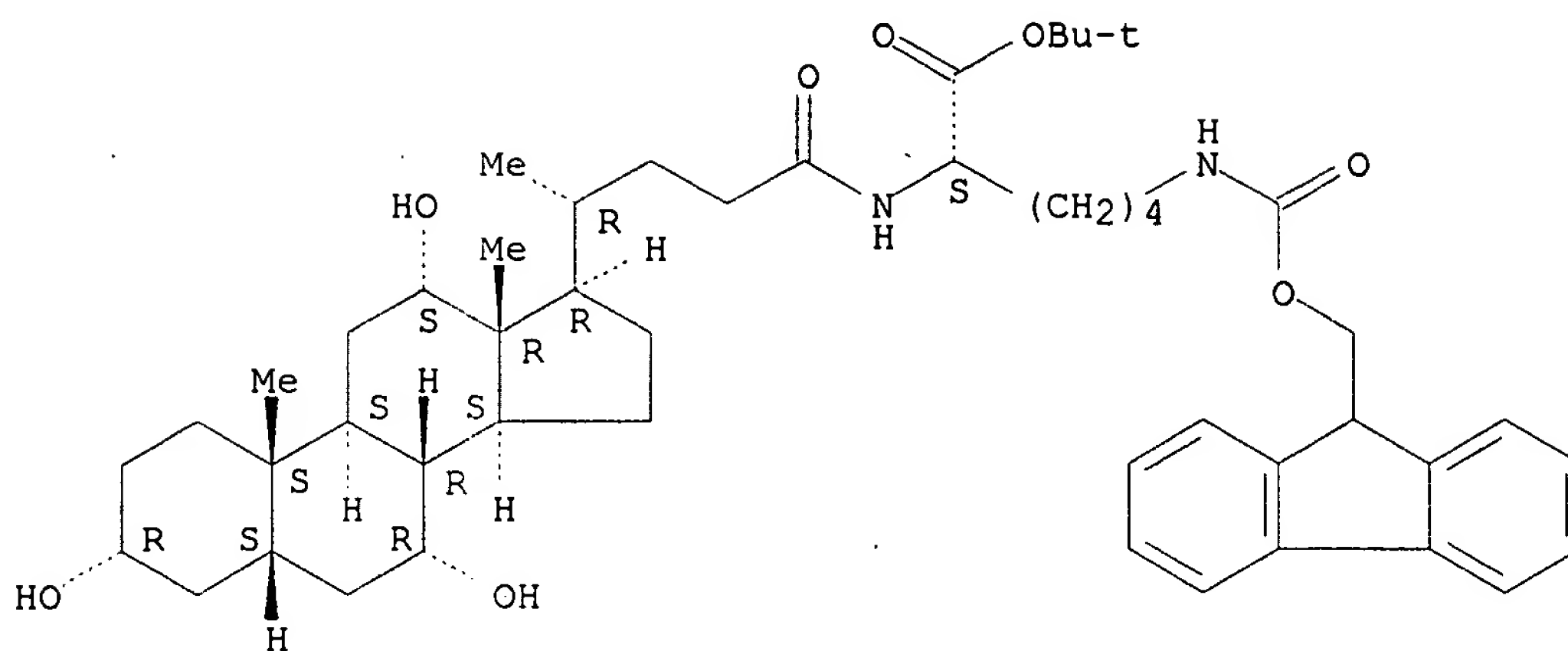
PAGE 1-B



RN 330795-58-9 HCAPLUS

CN L-Lysine, N6-[(9H-fluoren-9-ylmethoxy)carbonyl]-N2-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



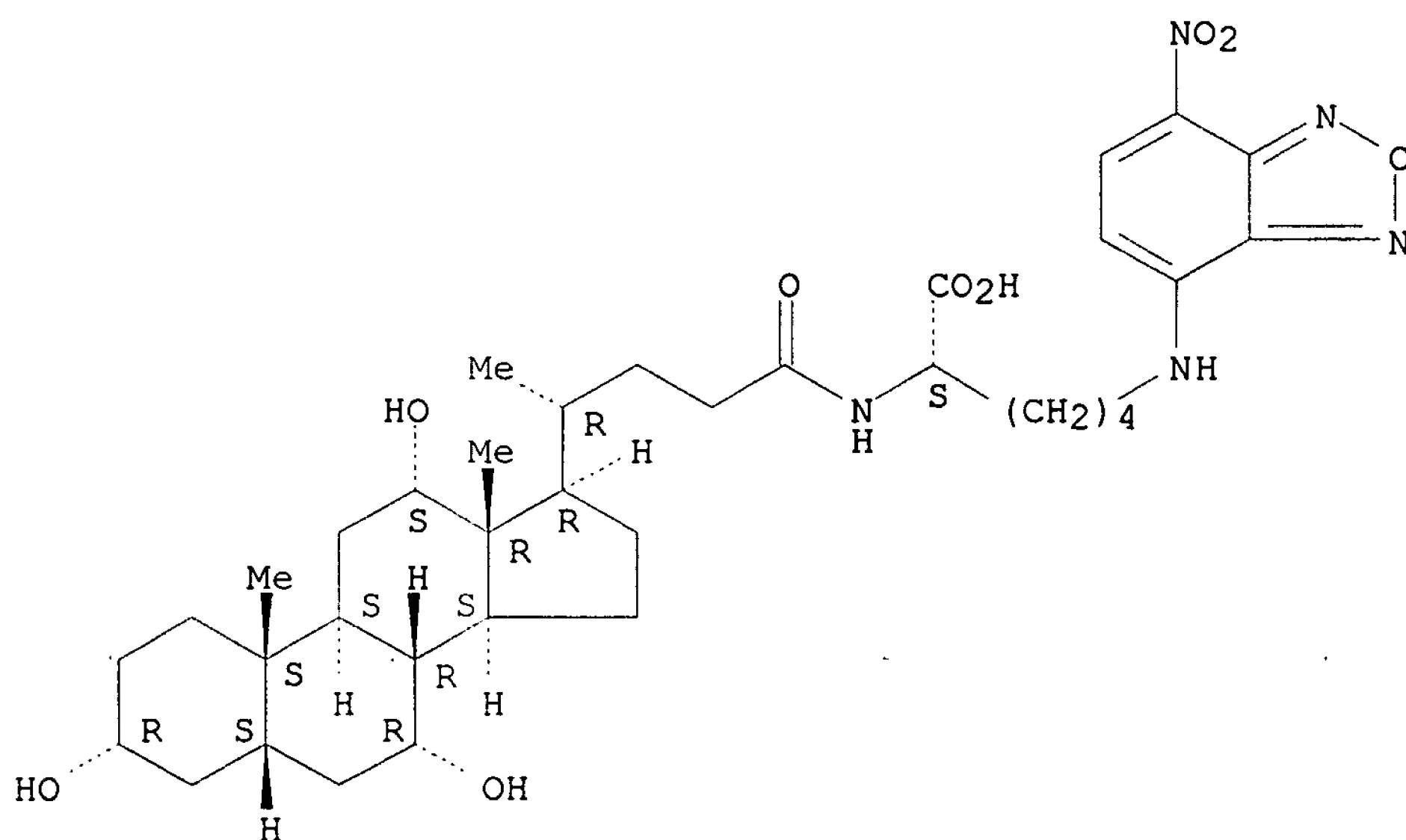
IT 166301-16-2P 330795-59-0P 330795-60-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(substrates and screening methods for transport proteins)

RN 166301-16-2 HCAPLUS

CN L-Lysine, N6-(7-nitro-2,1,3-benzoxadiazol-4-yl)-N2-  
[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

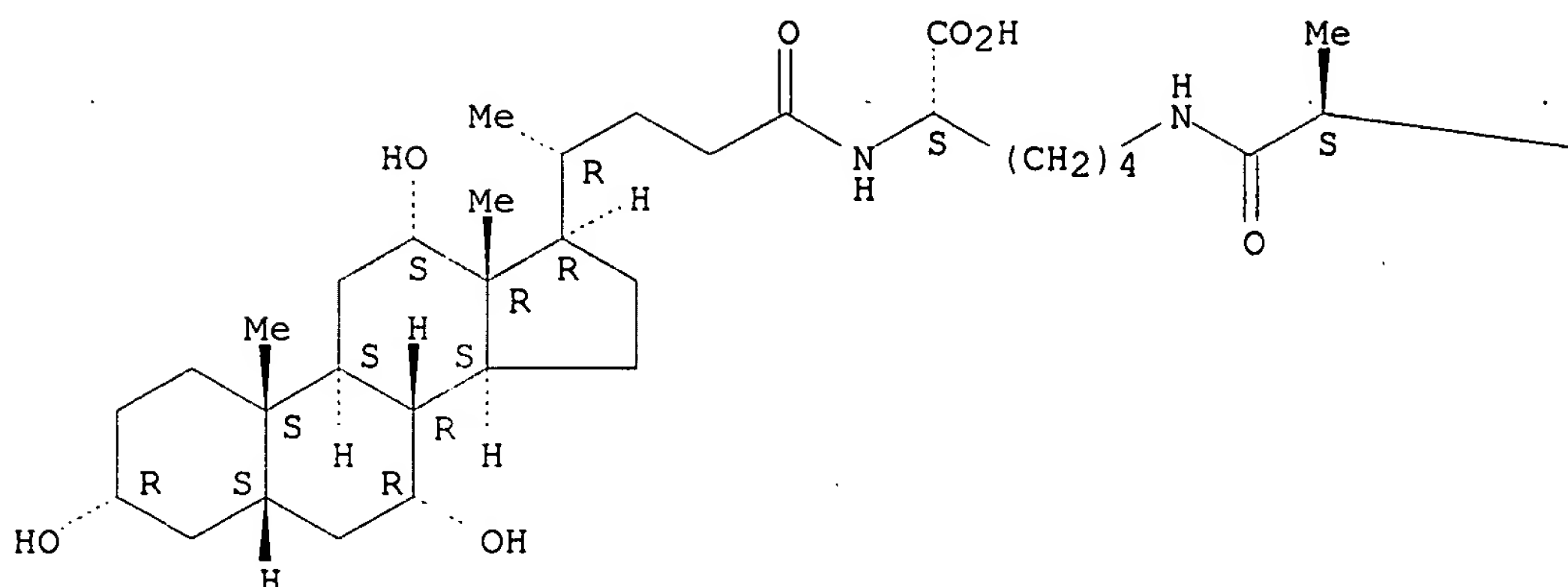


RN 330795-59-0 HCAPLUS

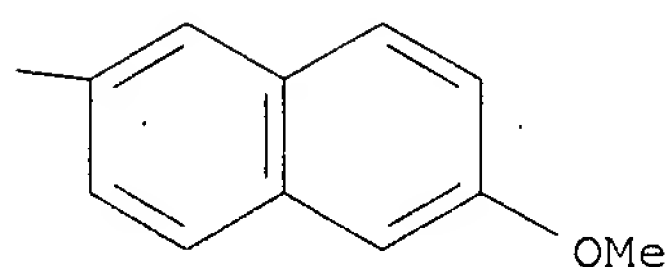
CN L-Lysine, N6-[(2S)-2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]-N2-  
[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



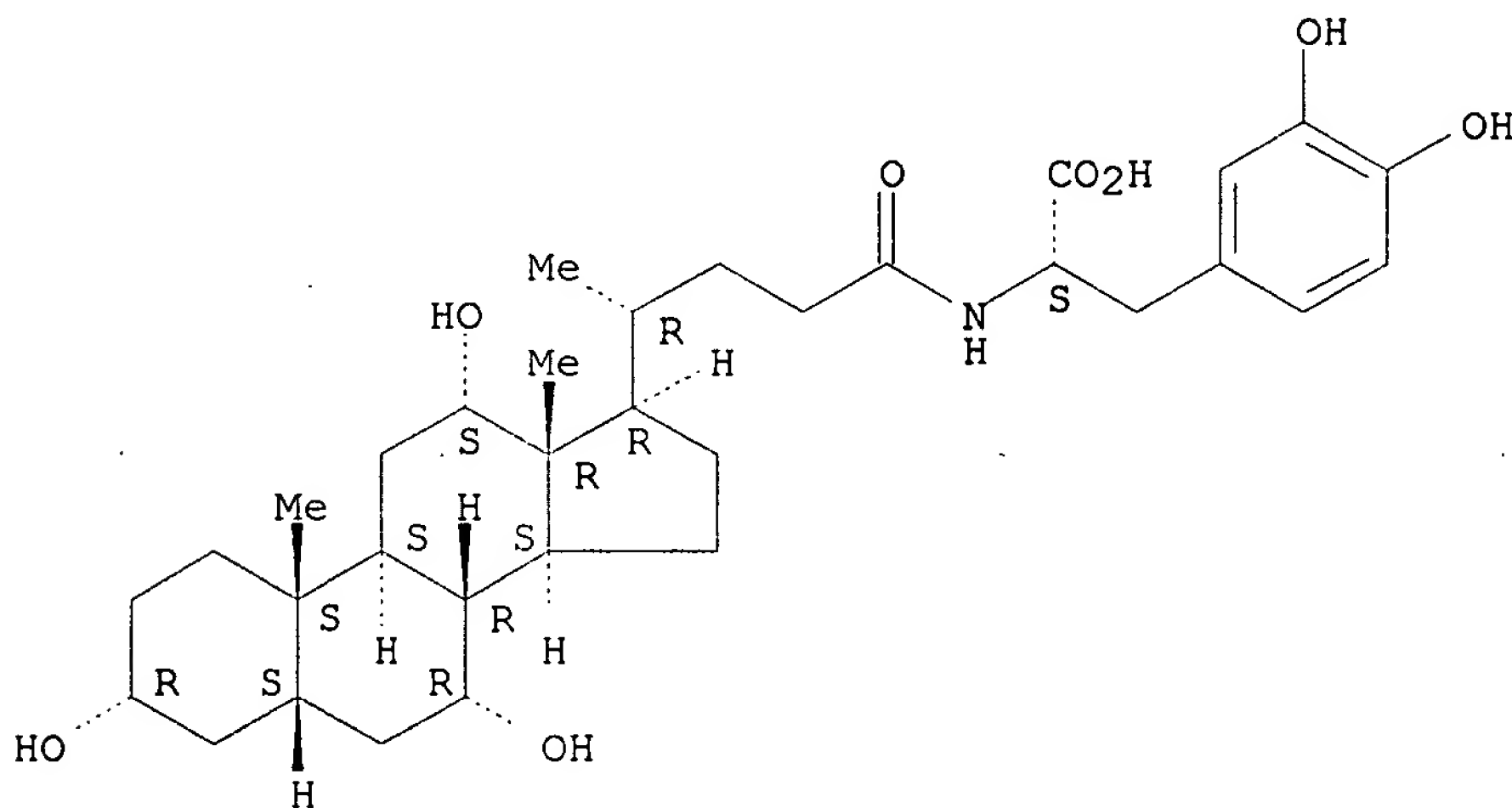
PAGE 1-B



RN 330795-60-3 HCAPLUS

CN L-Tyrosine, 3-hydroxy-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

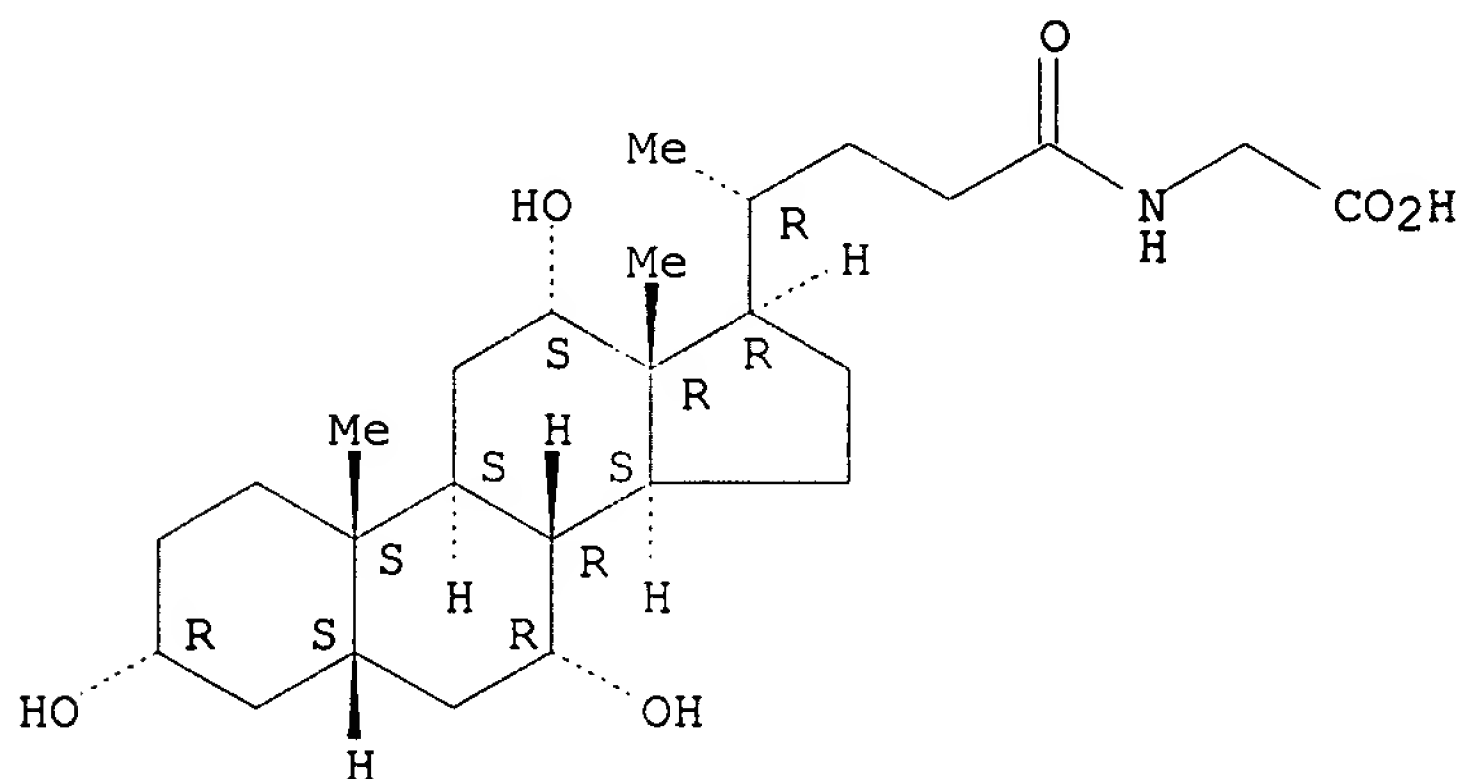
5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:188262 HCAPLUS  
DOCUMENT NUMBER: 100:188262  
TITLE: Rapid assays based on immobilized bioluminescent enzymes and photographic detection of light emission  
AUTHOR(S): Green, K.; Kricka, L. J.; Thorpe, G. H. G.; Whitehead, T. P.  
CORPORATE SOURCE: Dep. Clin. Chem., Univ. Birmingham, Birmingham, B15 2TH, UK  
SOURCE: Talanta (1984), 31(3), 173-6  
CODEN: TLNTA2; ISSN: 0039-9140  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A sensitive assay method was developed for ATP, NADH, cholyglycine, and EtOH with immobilized and coimmobilized preps. of bacterial and firefly luciferase as reagents. With high-speed (ASA 20,000) instant photog. film as detector, picomole amts. of the various analytes can be detected rapidly. The simplicity and convenience of the anal. combination of coimmobilized bioluminescent enzymes and photog. film for the detection of light make this an ideal technique for rapid screening tests.  
IT 475-31-0  
RL: ANT (Analyte); ANST (Analytical study)  
(detn. of, with immobilized luciferase and photog. detection)  
RN 475-31-0 HCAPLUS  
CN Glycine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-trihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

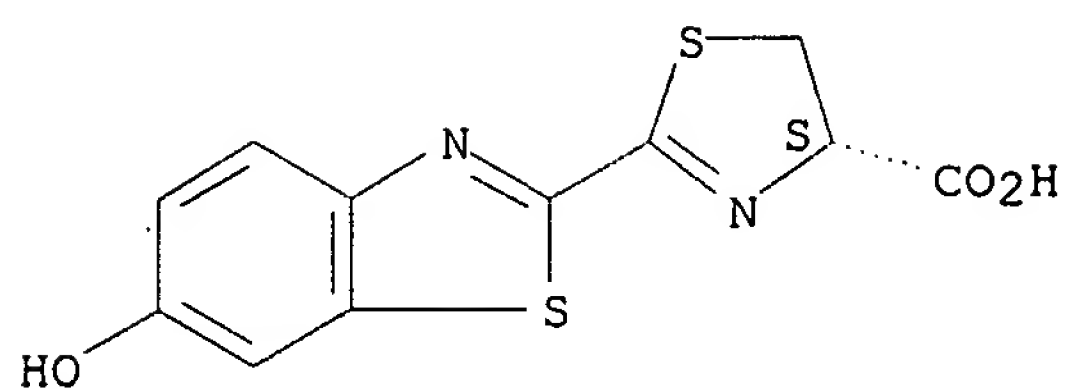
Absolute stereochemistry.



IT 2591-17-5  
RL: ANST (Analytical study)  
(in biochem. anal. with immobilized luciferase and photog. detection)  
RN 2591-17-5 HCAPLUS  
CN 4-Thiazolecarboxylic acid, 4,5-dihydro-2-(6-hydroxy-2-benzothiazolyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





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L1 98 SEA FILE=HCAPLUS ABB=ON PLU=ON DOWER W?/AU  
 L2 85 SEA FILE=HCAPLUS ABB=ON PLU=ON GALLOP M?/AU  
 L3 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L1 AND L2  
 L4 525 SEA FILE=HCAPLUS ABB=ON PLU=ON BARRETT R?/AU  
 L5 11 SEA FILE=HCAPLUS ABB=ON PLU=ON L3 AND L4  
 L6 83 SEA FILE=HCAPLUS ABB=ON PLU=ON CUNDY K?/AU  
 L7 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 AND L6  
 L8 TRANSFER PLU=ON L7 1- RN : 110 TERMS  
 L12 24689 SEA FILE=HCAPLUS ABB=ON PLU=ON TRANSPORT PROTEIN  
 L13 229198 SEA FILE=HCAPLUS ABB=ON PLU=ON SCREEN?  
 L14 320248 SEA FILE=HCAPLUS ABB=ON PLU=ON LIGAND  
 L15 835800 SEA FILE=HCAPLUS ABB=ON PLU=ON SUBSTRATE  
 L16 32035 SEA FILE=HCAPLUS ABB=ON PLU=ON REPORTER  
 L19 1209 SEA FILE=HCAPLUS ABB=ON PLU=ON GLYCOCHOLIC  
 L20 153346 SEA FILE=HCAPLUS ABB=ON PLU=ON L8  
 L21 /2 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND L13 AND (L14 OR L15)  
 AND L16 AND (L7 OR L20) AND L19

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L21 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:716924 HCAPLUS  
 DOCUMENT NUMBER: 137:242183  
 TITLE: Methods for modulating activity of the FXR nuclear  
 receptor  
 INVENTOR(S): Forman, Barry M.; Wang, Haibo  
 PATENT ASSIGNEE(S): City of Hope, USA  
 SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S.  
 Ser. No. 533,862.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002132223	A1	20020919	US 2001-971067	20011005
PRIORITY APPLN. INFO.:			US 1999-126334P	P 19990326
			US 2000-533862	A2 20000324

OTHER SOURCE(S): MARPAT 137:242183

AB The present invention relates to methods and compns. for modulating genes  
 which are controlled by the FXR nuclear hormone receptor such as Cyp7a,  
 Cyp8b, phospholipid transfer protein, ileal bile acid binding protein,  
 sodium taurocholate cotransporter protein, liver fatty acid binding  
 protein and bile salt export pump. In a preferred embodiment, the method  
 involves modulation of the gene encoding Cyp7a, the enzyme responsible for  
 a major pathway in the elimination of cholesterol. The invention also  
 relates to methods for **screening** compds. which bind to and  
 activate or inhibit the FXR nuclear hormone receptor and compds. which  
 activate or inhibit the FXR nuclear hormone receptor.

IC ICM C12Q001-00  
 ICS A61K031-496  
 NCL 435004000

CC 1-10 (Pharmacology)  
Section cross-reference(s): 2, 7, 63

ST modulation FXR nuclear receptor; cholesterol Cyp7a gene modulation FXR nuclear receptor; drug **screening** FXR receptor cholesterol catabolism

IT Transcription factors  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(ACTR, in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)

IT Transcription factors  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(GRIP, in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)

IT Transcription factors  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(GRIP1, in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)

IT Transcription factors  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(PBP/DRIP205/TRAP220, in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)

IT Transcription factors  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(SRC-1 (steroid receptor coactivator-1), in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)

IT **Transport proteins**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(bile salt export pump, gene for, as FXR target; methods for modulating activity of FXR nuclear receptor)

IT **Ligands**  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
(for FXR; methods for modulating activity of FXR nuclear receptor)

IT Probes (nucleic acid)  
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(in **screening** compds. for cholesterol catabolism-modulating activity; methods for modulating activity of FXR nuclear receptor)

IT Protein motifs  
(ligand-binding domain, mutation in, of RXR mutant; methods for modulating activity of FXR nuclear receptor)

IT Animal tissue culture  
Anticholesteremic agents  
Drug delivery systems  
Drug **screening**  
Human  
Structure-activity relationship  
Transcription, genetic

- Transcriptional regulation  
Transformation, genetic  
(methods for modulating activity of FXR nuclear receptor)
- IT **Reporter gene**  
RL: ARG (Analytical reagent use); BPN (Biosynthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(methods for modulating activity of FXR nuclear receptor)
- IT **Retinoid X receptors**  
RL: ARG (Analytical reagent use); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(or mutants, in **screening** compds. modulating FXR-mediated gene transcriptions; methods for modulating activity of FXR nuclear receptor)
- IT **Transport proteins**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(sodium-taurocholate cotransporting, gene for, as FXR target; methods for modulating activity of FXR nuclear receptor)
- IT 81-23-2, Dehydrocholic acid 81-24-3, Taurocholic acid **81-25-4**, Cholic acid **83-44-3**, Deoxycholic acid **128-13-2**, Ursodeoxycholic acid 360-65-6, Glycodeoxycholic acid **434-13-9**, Lithocholic acid 474-74-8, Glycolithocholic acid 475-31-0, **Glycocholic acid** 516-35-8, Taurochenodeoxycholic acid 516-50-7, Taurodeoxycholic acid 516-90-5, Tauroolithocholic acid 547-75-1, Hyocholic acid **640-79-9**, Glycochenodeoxycholic acid 668-49-5, Murocholic acid 2393-58-0, .alpha.-Muricholic acid 4651-67-6, 7-Ketolithocholic acid 22963-93-5, Juvenile hormone III 28332-53-8  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(FXR-RXR mutant activation response to; methods for modulating activity of FXR nuclear receptor)
- IT **474-25-9**, Chenodeoxycholic acid  
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); BIOL (Biological study); OCCU (Occurrence)  
(as bile ext. component binding to and activating FXR; methods for modulating activity of FXR nuclear receptor)
- IT **9031-11-2P**, .beta.-Galactosidase  
RL: ARG (Analytical reagent use); BPN (Biosynthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(methods for modulating activity of FXR nuclear receptor)

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L21 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2000:707016 HCAPLUS  
DOCUMENT NUMBER: 133:291121  
TITLE: Method of affecting cholesterol catabolism using nuclear bile acid receptor, and **screening** method  
INVENTOR(S): Forman, Barry M.; Wang, Haibo  
PATENT ASSIGNEE(S): City of Hope, USA  
SOURCE: PCT Int. Appl., 70 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000057915	A1	20001005	WO 2000-US7836	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1165135	A1	20020102	EP 2000-918345	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

## PRIORITY APPLN. INFO.:

US 1999-126334P P 19990326

WO 2000-US7836 W 20000324

AB Methods and compns. are provided for modulating genes which are controlled by the FXR orphan nuclear hormone receptor. In a preferred embodiment, the method involves modulation of the gene encoding Cyp7a, the enzyme responsible for a major pathway in the elimination of cholesterol. The invention also relates to methods for **screening** compds. which bind to and activate or inhibit the FXR nuclear hormone receptor.

IC ICM A61K045-00

ICS C12Q001-68; C12Q001-60; C12Q001-26; A61P009-10; C07K014-705; G01N033-74

CC 1-10 (Pharmacology)

Section cross-reference(s): 2, 63

ST nuclear bile acid receptor cholesterol catabolism; Cyp7a gene modulation  
 cholesterol catabolism; FXR receptor cholesterol catabolism drug

**screening**

IT Receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(CAR.beta.; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Transcription factors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(CBP (CREB-binding protein); cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Gene, animal

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(Cyp7a; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(DAX; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

- (Biological study); PROC (Process)  
(ERR2; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Nuclear receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(FXR; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(GAL4, fusion products; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(GCNF; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(GRIP-1; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(LXR.alpha.; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(Nurrl (Nur-related factor 1); cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(PDB/DRIP205/TRAP220; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Retinoid receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(ROR.alpha. (retinoid orphan receptor .alpha.); cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Ligands**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(RXR ligand-binding domain; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Mutation  
(RXR mutant; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT Receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(SF1; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)



IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(SRC-1; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Steroid receptors  
Steroid receptors  
Thyroid hormone receptors  
Thyroid hormone receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(TR2-11 (thyroid/steroid hormone receptor 2-11); cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Transcription factors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(VP16, transactivation domain, fusion products; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT DNA  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(and DNA-binding domain; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT **Transport proteins**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(bile acid-transporting; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Metabolism  
(catabolic; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Animal tissue culture  
Anticholesteremic agents  
Drug delivery systems  
Drug **screening**  
Liver  
Structure-activity relationship  
Transcription, genetic  
(cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Bile acids  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Orphan receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

IT Promoter (genetic element)  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)

- IT **Reporter gene**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Retinoid X receptors**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Thyroid hormone receptors**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Bile**  
 (ext.; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Peroxisome proliferator-activated receptors**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (.alpha.; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT **Peroxisome proliferator-activated receptors**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (.delta.; cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT 81-23-2, Dehydrocholic acid 81-24-3, Taurocholic acid **81-25-4**, Cholic acid **83-44-3** 128-13-2, Ursodeoxycholic acid 360-65-6, Glycodeoxycholic acid **434-13-9**, Lithocholic acid **474-25-9**, Chenodeoxycholic acid 474-74-8, Glycolithocholic acid 475-31-0, **Glycocholic** acid 516-35-8, Taurochenodeoxycholic acid 516-50-7, Taurodeoxycholic acid 516-90-5, Tauroolithocholic acid 547-75-1, Hyocholic acid **640-79-9**, Glycochenodeoxycholic acid 668-49-5, Murocholic acid 859-97-2 2393-58-0, .alpha.-Muricholic acid 4651-67-6, 7-Ketolithocholic acid 22963-93-5, Juvenile hormone III 153559-76-3, LG 268  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT 57-88-5, Cholesterol, biological studies  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT 299488-29-2 299488-30-5  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process); USES (Uses)  
 (cholesterol catabolism modulation with nuclear bile acid receptor, and **screening** method)
- IT 9037-53-0, Cholesterol 7.alpha.-hydroxylase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (gene; cholesterol catabolism modulation with nuclear bile acid



receptor, and **screening** method)  
IT 299999-44-3, 2: PN: WO0057915 PAGE: 19 unclaimed DNA 299999-45-4, 3: PN:  
WO0057915 PAGE: 39 unclaimed DNA  
RL: PRP (Properties)  
(unclaimed nucleotide sequence; method of affecting cholesterol  
catabolism using nuclear bile acid receptor, and **screening**  
method)  
IT 300766-48-7  
RL: PRP (Properties)  
(unclaimed sequence; method of affecting cholesterol catabolism using  
nuclear bile acid receptor, and **screening** method)  
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L11 23410 SEA FILE=HCAPLUS ABB=ON PLU=ON TRANSPORT PROTEINS+OLD/CT  
 L22 1288 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (SCREEN? OR LIBRAR?(3A  
 )ASSAY?)  
 L23 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND CARRIER? AND RECEPTOR?  
 L24 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND (FLUORES? OR LUMINES?)

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L24 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:750531 HCAPLUS

DOCUMENT NUMBER: 137:257617

TITLE: Method using a vesicle-membrane protein system for  
 pharmacologically active site and/or active substance  
 testing

INVENTOR(S): Bamberg, Ernst

PATENT ASSIGNEE(S): Max-Planck-Institut fur Biophysik, Germany

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10113914	A1	20021002	DE 2001-10113914	20010322
PRIORITY APPLN. INFO.:			DE 2001-10113914	20010322
AB In order to be able to test active sites and/or active substances quickly and reliably, the invention discloses a system using primary <b>carrier</b> vesicles having a first and a second membrane protein in which one membrane protein is activated based on surrounding conditions and/or function of the other membrane protein. The proteins may be e.g. bacteriorhodopsin and uncoupling protein (UCP).				
IC	ICM C12Q001-00			
CC	1-1 (Pharmacology)			
	Section cross-reference(s): 9			
ST	drug <b>screening</b> vesicle membrane protein activation; pharmacol active site vesicle membrane protein activation			
IT	Apparatus			
	Bacteria (Eubacteria)			
	Biological materials			
	Cell			
	Drug <b>screening</b>			
	Dyes			
	Electrodes			
	Electromagnetic wave			
	Emulsions			
	<b>Fluorescent</b> substances			
	Fluorometry			
	Immobilization, molecular			
	Ionophores			
	Liposomes			

Micelles  
Pharmacology  
Spectroscopy  
Suspensions  
Virus

(vesicle-membrane protein system for pharmacol. active site and/or active substance testing)

IT Bacteriorhodopsins

**Receptors**

**Transport proteins**

Uncoupling protein

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(vesicle-membrane protein system for pharmacol. active site and/or active substance testing)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:817063 HCAPLUS

DOCUMENT NUMBER: 135:339203

TITLE: Method and compositions for drug discovery

INVENTOR(S): Pidgeon, Charles

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001084154	A1	20011108	WO 2001-US14091	20010502
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-201545P P 20000503

US 2000-611626 A 20000707

AB Methods are disclosed for **screening** test compds. to identify those compds. exhibiting a potential biol. activity. A drug-binding substrate formed or identified using a drug substance having a predetd. biol. activity is used to **screen** and identify test compds. likely to exhibit the predetd. biol. activity. The potential biol. active test compds. are identified by their specific binding to the drug-binding substrates as detected by any of a wide variety of techniques using labeled or unlabeled assay components. In one embodiment a monoclonal antibody raised against a drug substance is used as a drug-binding substrate to identify and isolate test compds. in a natural product ext. or a combinatorial chem. library. Preferably the monoclonal antibody is characterized by its ability to bind specifically to at least one other

drug substance having the same or similar biol. activity as the drug substance against which it was raised. The invention finds use inter alia in drug discovery protocols, in toxicity profiling of drug substances and in assaying com. natural products.

IC ICM G01N033-566  
 CC 1-1 (Pharmacology)  
 ST drug **screening assay** natural product combinatorial  
**library**  
 IT Optical detectors  
 (fluorescence; method and compns. for drug discovery)  
 IT Apparatus  
 Bacteria (Eubacteria)  
 Bioassay  
 Biochemical molecules  
 Capillary zone electrophoresis  
**Carriers**  
 Chromatography  
 Combinatorial library  
 Drug design  
 Drug **screening**  
**Fluorescent** indicators  
 Fungi  
 HPLC  
 Marine microorganism  
 Mass spectrometers  
 Mass spectrometry  
 Phage display library  
 Plant (Embryophyta)  
 (method and compns. for drug discovery)  
 IT Antibodies  
 Enzymes, biological studies  
 Ion channel  
 Nucleic acids  
 Polymers, biological studies  
**Receptors**  
**Transport proteins**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (method and compns. for drug discovery)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:338715 HCAPLUS

DOCUMENT NUMBER: 134:349692

TITLE: Determining interactions of cyclophilin D and the  
 adenine nucleotide translocator to assess  
 mitochondrial permeability and in **screening**  
 permeability altering substances

INVENTOR(S): Murphy, Anne N.; Clevenger, William; Wiley, Sandra E.;  
 Andreyev, Alexander Y.; Frigeri, Luciano G.;  
 Velicelebi, Gonul; Davis, Robert E.

PATENT ASSIGNEE(S): Mitokor, USA

SOURCE: PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001032876	A2	20010510	WO 2000-US30535	20001103
WO 2001032876	A3	20020117		
W:				
			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,	
			CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,	
			HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,	
			LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,	
			SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,	
			YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,	
			DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,	
			BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
EP 1228206	A2	20020807	EP 2000-975595	20001103
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,	
			IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	

## PRIORITY APPLN. INFO.:

US 1999-434354 A 19991103

WO 2000-US30535 W 20001103

AB A method of measuring transitions in mitochondrial membrane permeability by assessing the interaction of the mitochondrial adenine nucleotide translocator and cyclophilin D is described. The method can be used to **screen** for permeability altering agents for use, for example, in the treatment of a variety of conditions assocd. with altered mitochondrial function. Hexahistidine-labeled ANT3 adenine nucleotide translocator manufd. by expression of the cloned gene in Trichoplusia ni cells was immobilized on nickel-contg. agarose beads. Cyclophilin D was manufd. as a fusion protein with glutathione-S-transferase. The cyclophilin D fusion product was incubated with the bead immobilized ANT3 and the bound cyclophilin D was detd. by immunoassay of the glutathione-S-transferase moiety. The interaction showed the expected properties.

IC ICM C12N015-12

ICS C12N015-61; C12N015-62; C12N009-90; C12N005-10; C12N001-21

CC 6-1 (General Biochemistry)

Section cross-reference(s): 1, 3

IT Animal cell line

(293, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT Cyclophilins

RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(A; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT **Transport proteins**

RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(ADP/ATP **carrier**; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability

- and in **screening** permeability altering substances)
- IT Cyclophilins  
 RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (B; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Cyclophilins  
 RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (C; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Proteins, specific or class  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (CAML, in mitochondrial transition pore complexes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
 (CHO, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
 (COS-7, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Cyclophilins  
 RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (Cyp-60; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Cyclophilins  
 RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (D; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Peptides, biological studies  
 RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (FLASH, fusion products with adenine nucleotide translocator and cyclophilin D; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
 (HEp-2, expression host; detg. interactions of cyclophilin D and



- adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
(JURKAT, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
(MDCK, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Proteins, specific or class  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(PRAX-1, in mitochondrial transition pore complexes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Animal cell line  
(SF9, expression host; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Proteins, specific or class  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(apoptosis-regulating, as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Ionophores  
pH  
(as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Oxidative stress, biological  
(effectors of, as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Amino acids, biological studies  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(excitatory, as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Drug **screening**  
(for modulators of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Aequorins  
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(fusion products with adenine nucleotide translocator and cyclophilin D; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in

- screening** permeability altering substances)
- IT Proteins, specific or class  
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(green **fluorescent**, fusion products with adenine nucleotide translocator and cyclophilin D; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Fluorometry  
(in measurement of protein interactions; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Porins  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(in mitochondrial transition pore complexes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Mitochondrial DNA  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(integration of reporter gene construct into; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Mitochondria  
(membrane, detn. of permeability of; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Membrane, biological  
(mitochondrial, detn. of permeability of; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Molecular association  
(of cyclophilin D and adenine nucleotide translocator; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Molecular cloning  
(of genes for mitochondrial membrane transition pore components; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Plasmid vectors  
(pBAD-His, expression vector; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Plasmid vectors  
(pECFP-N1, expression vector; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Plasmid vectors  
(pEYFP-C1, expression vector; detg. interactions of cyclophilin D and



- adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Benzodiazepine **receptors**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (peripheral-type, in mitochondrial transition pore complexes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Mitochondria  
 (permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Biological transport  
 (potassium, effectors of, as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Liposomes  
 (proteoliposomes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT Antibodies  
 RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (to with adenine nucleotide translocator and cyclophilin D; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT 51-83-2, Carbachol 56-86-0, L-Glutamic acid, biological studies  
 58-27-5, Menadione 58-54-8, Ethacrynic acid 75-91-2, tert-Butyl hydroperoxide 637-03-6, Phenylarsine oxide 5072-26-4, Buthionine sulfoximine 6384-92-5, NMDA 10102-43-9, Nitric oxide, biological studies 10465-78-8, Diamide 11076-19-0, Bongkreik acid 17754-44-8, Atractyloside 56092-81-0, Ionomycin 59865-13-3, Cyclosporin A 67526-95-8, Thapsigargin  
 RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
 (as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT 9001-15-4, Creatine kinase 9001-51-8, Hexokinase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (in mitochondrial transition pore complexes; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)
- IT 50812-37-8DP, Glutathione-S-transferase, fusion products with adenine nucleotide translocator and cyclophilin D 64134-30-1DP, Hexa-L-histidine, fusion products with cyclophilin D  
 RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT 7440-09-7, Potassium, biological studies  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES  
(Uses)  
(transport, effectors of, as modulator of mitochondrial membrane permeability; detg. interactions of cyclophilin D and adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT 145110-52-7 268533-61-5 268534-28-7, 1: PN: WO0026370 SEQID: 4 unclaimed DNA 268534-29-8, 2: PN: WO0026370 SEQID: 5 unclaimed DNA 268534-30-1, 3: PN: WO0026370 SEQID: 6 unclaimed DNA 268534-31-2, 4: PN: WO0026370 SEQID: 7 unclaimed DNA 268534-32-3, 5: PN: WO0026370 SEQID: 8 unclaimed DNA 268534-33-4, 6: PN: WO0026370 SEQID: 9 unclaimed DNA 268534-34-5, 7: PN: WO0026370 SEQID: 10 unclaimed DNA 268534-35-6, 8: PN: WO0026370 SEQID: 11 unclaimed DNA 268534-36-7, 9: PN: WO0026370 SEQID: 12 unclaimed DNA 268534-37-8 268534-38-9 268534-39-0 268534-40-3 268534-41-4 268534-42-5 268534-43-6 268534-44-7 268534-45-8 268534-46-9 268534-47-0 268534-48-1 268534-49-2 268534-52-7 268534-53-8, GenBank AX134746 268534-54-9 268534-55-0 268534-56-1 339327-64-9, 2: PN: WO0132876 SEQID: 2 unclaimed DNA 339327-65-0, 3: PN: WO0132876 SEQID: 3 unclaimed DNA 339327-66-1 339327-67-2 339327-68-3 339327-69-4 339327-70-7 339327-71-8 339327-72-9 339327-73-0 339327-74-1 339327-75-2 339327-76-3  
RL: PRP (Properties)  
(unclaimed nucleotide sequence; detg. interactions of cyclophilin D and the adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT 108778-97-8 109370-06-1 113285-74-8 125724-85-8 145110-53-8  
RL: PRP (Properties)  
(unclaimed protein sequence; detg. interactions of cyclophilin D and the adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

IT 182374-54-5 268230-34-8 339263-77-3 339263-78-4 339263-79-5 339263-80-8  
RL: PRP (Properties)  
(unclaimed sequence; detg. interactions of cyclophilin D and the adenine nucleotide translocator to assess mitochondrial permeability and in **screening** permeability altering substances)

L24 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:208508 HCAPLUS  
DOCUMENT NUMBER: 134:249215  
TITLE: Substrates and **screening** methods for transport proteins  
INVENTOR(S): Dower, William J.; Gallop, Mark; Barrett, Ronald W.; Cundy, Kenneth C.; Chernov-Rogan, Tania  
PATENT ASSIGNEE(S): Xenoport, Inc., USA  
SOURCE: PCT Int. Appl., 144 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001020331 A1 20010322 WO 2000-US25439 20000914  
 WO 2001020331 C2 20021003  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 EP 1212619 A1 20020612 EP 2000-966735 20000914  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.:

US 1999-154071P P 19990914

WO 2000-US25439 W 20000914

- AB A variety of methods for **assaying libraries** of test  
 compds. as ligands and/or substrates of transport proteins, including both  
**carrier**-type and **receptor**-type transport proteins, are  
 provided. Both in vitro and in vivo **screening** methods are  
 disclosed. Also provided are methods for **screening** DNA  
 libraries to identify members that encode transport proteins.  
 Pharmaceutical compns. including compds. identified via the  
**screening** methods are also provided. CHO K1 cells expressing  
 PEPT1 transporter of human or rat were prepd. **Fluorescent**  
 XP10486 was synthesized and used as PEPT1 substrate.
- IC ICM G01N033-566  
 ICS G01N033-48; C12Q001-68; C12N001-68; C12N015-63; C12N015-85;  
 C07H021-04
- CC 9-2 (Biochemical Methods)  
 Section cross-reference(s): 3, 34, 63
- ST substrate ligand **screening** transport protein; peptide  
 transporter **fluorescence** substrate
- IT **Transport proteins**  
 RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process);  
 BSU (Biological study, unclassified); THU (Therapeutic use); ANST  
 (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
 (ABC (ATP-binding cassette-contg.); substrates and **screening**  
 methods for transport proteins)
- IT **Transport proteins**  
 RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process);  
 BSU (Biological study, unclassified); THU (Therapeutic use); ANST  
 (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
 (ASBT (apical sodium bile acid transporter), ileal; substrates and  
**screening** methods for transport proteins)
- IT Animal cell line  
 (CHO-K1; substrates and **screening** methods for transport  
 proteins)
- IT Animal cell line  
 (CHO; substrates and **screening** methods for transport  
 proteins)
- IT Animal cell line  
 (COS-7; substrates and **screening** methods for transport  
 proteins)
- IT Animal cell line  
 (Caco-2; substrates and **screening** methods for transport  
 proteins)

IT Cytometry  
(FACS (**fluorescence**-activated cell sorting); substrates and **screening** methods for transport proteins)

IT Animal cell line  
(HCT-8; substrates and **screening** methods for transport proteins)

IT Animal cell line  
(HEK; substrates and **screening** methods for transport proteins)

IT Animal cell line  
(HT-29; substrates and **screening** methods for transport proteins)

IT Animal cell line  
(MDCK; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(NTCP (Na<sup>+</sup>/taurocholate cotransporting polypeptide), liver; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(PEPT1; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(SGLT1 (sodium-dependent glucose-transporting, 1); substrates and **screening** methods for transport proteins)

IT Animal cell line  
(T84; substrates and **screening** methods for transport proteins)

IT Animal cell line  
(Vero; substrates and **screening** methods for transport proteins)

IT Intestine  
(absorption by; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(amino acid-transporting; substrates and **screening** methods for transport proteins)

IT Chromophores  
**Luminescent** substances  
Radioactive substances  
Spin labels  
(as reporter labels; substrates and **screening** methods for transport proteins)

IT Magnetic particles  
(as reporter; substrates and **screening** methods for transport

proteins)

IT Magnetic materials  
(as reporters; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(bile acid-transporting; substrates and **screening** methods for transport proteins)

IT Microscopy  
(bright-field; substrates and **screening** methods for transport proteins)

IT Biological transport  
(**carrier**-mediated; substrates and **screening** methods for transport proteins)

IT Chemistry  
(chem. complexes, of reporter and substrate/ligand; substrates and **screening** methods for transport proteins)

IT Drugs  
(complexes with substrate/ligand; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(dipeptide-transporting; substrates and **screening** methods for transport proteins)

IT Nucleic acid library  
(encoding transport proteins; substrates and **screening** methods for transport proteins)

IT Intestine  
(epithelium, transport protein of human; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(fatty acid-transporting; substrates and **screening** methods for transport proteins)

IT **Fluorescent** substances  
(fluorophore, substrate-reporter complex contg. quencher and; substrates and **screening** methods for transport proteins)

IT Biological transport  
(internalization; substrates and **screening** methods for transport proteins)

IT Antibodies  
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(labeled; substrates and **screening** methods for transport proteins)

IT Mass  
(labels; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process);



BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (monocarboxylic acid-transporting; substrates and **screening** methods for transport proteins)

IT Enzymes, biological studies  
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (multimeric, reporter promoting aggregation of subunits of; substrates and **screening** methods for transport proteins)

IT Gene, microbial  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (neo, as selectable marker in selection of transporter-expressing cell lines; substrates and **screening** methods for transport proteins)

IT Dyes  
(nucleic acid-binding, substrate/ligand complexes with; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (nucleoside-transporting; substrates and **screening** methods for transport proteins)

IT Immobilization, biochemical  
(of reporter-substrate/ligand complexes; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (oligopeptide-transporting; substrates and **screening** methods for transport proteins)

IT Epitopes  
(on cells; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (org. anion-transporting; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses) (org. cation-transporting; substrates and **screening** methods for transport proteins)

IT Antacids  
Buffers  
(pharmaceutical nanoparticle contg.; substrates and **screening** methods for transport proteins)

IT Organelle  
(pharmaceutical nanoparticles contg. compd. targeting; substrates and **screening** methods for transport proteins)

IT Microscopy

(phase-contrast; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(phosphate-transporting; substrates and **screening** methods for transport proteins)

IT Biological transport  
(**receptor**-mediated; substrates and **screening** methods for transport proteins)

IT Cell morphology  
(reporter causing change in; substrates and **screening** methods for transport proteins)

IT Cell  
(reporter conferring selective advantage for growth of; substrates and **screening** methods for transport proteins)

IT Cytoskeleton  
(reporter inhibiting formation of; substrates and **screening** methods for transport proteins)

IT Transcription, genetic  
(reporter promoting; substrates and **screening** methods for transport proteins)

IT Nanoparticles  
(reporter-substrate/ligand complexes bound to; substrates and **screening** methods for transport proteins)

IT Combinatorial chemistry  
(reporter-substrate/ligand complexes including tag encoding steps of synthesis; substrates and **screening** methods for transport proteins)

IT Dipeptides  
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(**screening** of **fluorescent** library of; substrates and **screening** methods for transport proteins)

IT Peptides, analysis  
RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(**screening** of; substrates and **screening** methods for transport proteins)

IT Genomic library  
(**screening**; substrates and **screening** methods for transport proteins)

IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(simple sugar-transporting; substrates and **screening** methods for transport proteins)

IT Molecules  
(small, **screening** of; substrates and **screening** methods for transport proteins)

IT **Fluorescence quenching**  
(substrate-reporter complex contg. fluorophore and substance for; substrates and **screening** methods for transport proteins)

- IT Coupling agents  
(substrate-reporter complex contg. fluorophore linked to quencher via cleavable; substrates and **screening** methods for transport proteins)
- IT Enzymes, analysis  
RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); CAT (Catalyst use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(substrate-reporter complex contg. fluorophore linked to quencher via linker cleavable by; substrates and **screening** methods for transport proteins)
- IT Nucleic acids  
RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)  
(substrate/ligand dye complexes binding to; substrates and **screening** methods for transport proteins)
- IT Affinity  
Affinity chromatography  
Animal tissue  
Bioassay  
Body, anatomical  
Body fluid  
Cell membrane  
Combinatorial library  
Confocal laser scanning microscopy  
Drug delivery systems  
Drug **screening**  
    **Fluorescence** microscopy  
Fluorometry  
HeLa cell  
Magnetic separation  
Molecular cloning  
Pharmaceutical analysis  
Scintigraphy  
Stains, biological  
(substrates and **screening** methods for transport proteins)
- IT Ligands  
    **Receptors**  
    **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(substrates and **screening** methods for transport proteins)
- IT Molecular structure  
(tag defining; substrates and **screening** methods for transport proteins)
- IT Biological transport  
(uptake; substrates and **screening** methods for transport proteins)
- IT Organelle  
(vesicle; substrates and **screening** methods for transport proteins)
- IT **Transport proteins**  
RL: ANT (Analyte); ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST



(Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
 (vitamin-transporting; substrates and **screening** methods for  
 transport proteins)

IT Transformation, genetic  
 (with DNA library encoding transport proteins; substrates and  
**screening** methods for transport proteins)

IT Lactams  
 RL: BPR (Biological process); BSU (Biological study, unclassified); SPN  
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC  
 (Process)  
 (.beta.-, **screening** of library of; substrates and  
**screening** methods for transport proteins)

IT 330829-81-7P, XP 10486  
 RL: ARG (Analytical reagent use); BPR (Biological process); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); ANST  
 (Analytical study); BIOL (Biological study); PREP (Preparation); PROC  
 (Process); USES (Uses)  
 (as **fluorescent** PEPT1 substrate; substrates and  
**screening** methods for transport proteins)

IT 181494-14-4, Zeocin  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (as selectable marker in selection of luciferase-expressing cell lines;  
 substrates and **screening** methods for transport proteins)

IT 330829-87-3P, GP 5-75-2 330829-89-5P, GP 5-77 330829-91-9P, GP 5-00  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (conditionally-**fluorescent dipeptide**; substrates  
 and **screening** methods for transport proteins)

IT 330829-83-9P, GP 5-71  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (dipeptide-luciferin conjugate; substrates and **screening**  
 methods for transport proteins)

IT 139110-80-8P, Zanamivir  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (**fluorescent** bile acid derivs.; substrates and  
**screening** methods for transport proteins)

IT 330829-85-1P, CZ 15-73  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (glycocholate ester-luciferin conjugate; substrates and  
**screening** methods for transport proteins)

IT 49863-47-0, G418  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (in selection of transporter-expressing cell lines; substrates and  
**screening** methods for transport proteins)

IT 9001-45-0, .beta.-Glucuronidase 9001-78-9 9014-00-0, Luciferase  
 9031-11-2, .beta.-Galactosidase  
 RL: ARU (Analytical role, unclassified); BAC (Biological activity or  
 effector, except adverse); BSU (Biological study, unclassified); CAT  
 (Catalyst use); ANST (Analytical study); BIOL (Biological study); USES  
 (Uses)  
 (substrate for, as reporter complexed with ligand; substrates and  
**screening** methods for transport proteins)

IT 9027-41-2, Hydrolase  
 RL: ARU (Analytical role, unclassified); BAC (Biological activity or  
 effector, except adverse); BSU (Biological study, unclassified); CAT

(Catalyst use); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (substrate-reporter complex contg. fluorophore linked to quencher via linker cleavable by; substrates and **screening** methods for transport proteins)

IT 2591-17-5D, Luciferin, polar derivs., complexes or enzyme-cleavable conjugates with substrate/ligand  
 RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
 (substrates and **screening** methods for transport proteins)

IT 640-79-9 66790-55-4 70779-05-4  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (substrates and **screening** methods for transport proteins)

IT 81-25-4, Cholic acid  
 RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)  
 (substrates and **screening** methods for transport proteins)

IT 330795-52-3P  
 RL: BYP (Byproduct); PREP (Preparation)  
 (substrates and **screening** methods for transport proteins)

IT 59-92-7, reactions 83-44-3, Deoxycholic acid 98-01-1, 2-Furyl aldehyde, reactions 98-03-3, 2-Thiophene aldehyde 100-52-7, Benzaldehyde, reactions 104-55-2, Cinnamaldehyde 110-87-2, 3,4-Dihydro-2H-pyran 128-13-2, Ursodeoxycholic acid 156-87-6, 3-Aminopropan-1-ol 434-13-9, Lithocholic acid 474-25-9, Chenodeoxycholic acid 590-97-6, Bromomethyl acetate 1121-60-4, 2-Pyridinecarboxaldehyde 1571-08-0, 4-Carbomethoxybenzaldehyde 2043-61-0, Cyclohexanecarboxaldehyde 2508-29-4, 5-Aminopentan-1-ol 2591-17-5, D-Luciferin 2747-04-8, 7-Acetoxy-4-(bromomethyl)coumarin 3218-36-8, 4-Biphenylaldehyde 3326-32-7, **Fluorescein** -5-isothiocyanate 5299-60-5, Ethyl 6-hydroxyhexanoate 6287-38-3, 3,4-Dichlorobenzaldehyde 6780-38-7, Phthalimidoacetyl chloride 10199-89-0, 4-Chloro-7-nitrobenzofurazan 13669-42-6, 3-Quinolinecarboxaldehyde 20887-95-0 22204-53-1, Naproxen 27532-96-3, Glycine tert-butyl ester hydrochloride 29022-11-5 29022-11-5D, resin-bound 35661-39-3 35661-39-3D, resin-bound 35661-40-6 35661-40-6D, resin-bound 35661-60-0 35661-60-0D, resin-bound 63094-81-5 68858-20-8 68858-20-8D, resin-bound 71989-14-5 71989-14-5D, resin-bound 71989-18-9 71989-18-9D, resin-bound 71989-23-6 71989-23-6D, resin-bound 71989-26-9 71989-26-9D, resin-bound 71989-28-1 71989-28-1D, resin-bound 71989-31-6 71989-31-6D, resin-bound 71989-33-8 71989-33-8D, resin-bound 71989-35-0 71989-35-0D, resin-bound 71989-38-3 71989-38-3D, resin-bound 81017-23-4 84793-07-7 102423-16-5, Allyl 1-benzotriazolyl carbonate 103213-32-7 103213-32-7D, resin-bound 109425-51-6 109425-51-6D, resin-bound 109745-15-5 120718-52-7 129460-09-9 130851-23-9D, resin-bound 132327-80-1 132327-80-1D, resin-bound 132388-59-1 132388-59-1D, resin-bound 134098-70-7 143824-78-6 143824-78-6D, resin-bound 146616-66-2, BODIPY FL, SE 146982-27-6 150321-92-9 159002-16-1 159002-17-2 214852-52-5 214852-52-5D, resin-bound 330795-39-6 330795-40-9 330795-57-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (substrates and **screening** methods for transport proteins)

IT 32437-88-0P 32677-23-9P 156801-29-5P 221895-82-5P 330795-41-0P

330795-42-1P 330795-43-2P 330795-44-3P 330795-45-4P 330795-46-5P  
 330795-47-6P 330795-48-7P 330795-49-8P 330795-50-1P 330795-51-2P  
 330795-53-4P 330795-54-5P 330795-55-6P 330795-56-7P 330795-58-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(substrates and **screening** methods for transport proteins)

IT 166301-16-2P 330795-59-0P 330795-60-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substrates and **screening** methods for transport proteins)

IT 29816-01-1, Gly-Sar 75847-73-3, Enalapril 330795-61-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(uptake; substrates and **screening** methods for transport proteins)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:208442 HCAPLUS

DOCUMENT NUMBER: 134:231892

TITLE: Altered mitochondrial function indicator-based methods and compositions for diagnosing and treating arthritic disorders and regulating bone mass

INVENTOR(S): Murphy, Anne N.; Dykens, James A.; Ghosh, Soumitra S.; Davis, Robert E.; Granston, Andrew E., Jr.; Terkeltaub, Robert

PATENT ASSIGNEE(S): Mitokor, USA

SOURCE: PCT Int. Appl., 141 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001020018	A2	20010322	WO 2000-US25317	20000915
WO 2001020018	A3	20020711		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1236044 A2 20020904 EP 2000-965038 20000915

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.: US 1999-154145P P 19990915  
WO 2000-US25317 W 20000915

AB Improved diagnostic methods are provided for early detection of a risk for developing an arthritic disorder in humans, as are **screening** assays for therapeutic agents useful in the treatment of arthritic disorders, by comparing the levels of one or more indicators of altered

mitochondrial function. Indicators of altered mitochondrial function include enzymes e.g. mitochondrial enzymes and ATP biosynthesis factors. Other indicators of altered mitochondrial function include mitochondrial mass, mitochondrial no. and mitochondrial DNA content, cellular responses to elevated intracellular calcium and to apoptogens, and free radical prodn. Methods of treating, and of stratifying, human patients as such methods relate to disclosed indicators of altered mitochondrial function are also provided.

IC C12Q001-00

CC 1-12 (Pharmacology)

Section cross-reference(s): 9

IT **Transport proteins**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(ADP/ATP carrier; altered mitochondrial function indicator-based methods and compns. for diagnosing and treating arthritic disorders)

IT Antiarthritics

Antirheumatic agents

Arthritis

Chondrocyte

Drug screening

Extracellular matrix

Fluorescent substances

Gout

Hematopoietic precursor cell

Lupus erythematosus

Lymphocyte

Mitochondria

Monocyte

Nucleic acid hybridization

Osteoarthritis

PCR (polymerase chain reaction)

Platelet (blood)

Polymorphonuclear leukocyte

RFLP (restriction fragment length polymorphism)

Rheumatoid arthritis

Test kits

(altered mitochondrial function indicator-based methods and compns. for diagnosing and treating arthritic disorders)

IT **Transport proteins**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(dicarboxylate-transporting; altered mitochondrial function indicator-based methods and compns. for diagnosing and treating arthritic disorders)

IT Benzodiazepine receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(peripheral-type; altered mitochondrial function indicator-based methods and compns. for diagnosing and treating arthritic disorders)

IT **Transport proteins**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(tricarboxylate-transporting; altered mitochondrial function indicator-based methods and compns. for diagnosing and treating arthritic disorders)

L24 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:911534 HCAPLUS

DOCUMENT NUMBER: 134:66121

TITLE: Compositions and methods for assaying subcellular conditions and processes using energy transfer for drug **screening**

INVENTOR(S): Dykens, James A.; Velicelebi, Gonul; Ghosh, Soumitra S.

PATENT ASSIGNEE(S): Mitokor, USA

SOURCE: PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000079274	A2	20001228	WO 2000-US17380	20000622
WO 2000079274	A3	20020110		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6323039	B1	20011127	US 1999-338122	19990622
US 6280981	B1	20010828	US 2000-514569	20000223
EP 1210596	A2	20020605	EP 2000-943119	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506014	T2	20030218	JP 2001-505191	20000622
PRIORITY APPLN. INFO.:				
			US 1999-140433P	P 19990622
			US 1999-338122	A 19990622
			US 2000-176383P	P 20000114
			WO 2000-US17380	W 20000622
AB	The invention provides compns. and methods for monitoring subcellular compartments such as organelles by energy transfer techniques that do not require specific intermol. affinity binding events between energy transfer donor and energy transfer acceptor mols. pH. Provided are methods for assaying cellular membrane potential, including mitochondrial membrane potential, by energy transfer methodologies including <b>fluorescence</b> resonance energy transfer (FRET). Diagnostic and drug <b>screening</b> assays are also provided.			
IC	ICM G01N033-50			
CC	1-1 (Pharmacology)			
ST	<b>fluorescence</b> resonance energy transfer FRET drug <b>screening</b> cell mitochondrion			
IT	<b>Transport proteins</b>			
	RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)			
	(ADP/ATP <b>carrier</b> ; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug			



- screening)
- IT **Fluorescent probes**  
(LysoSensor and LysoTracker; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Membrane potential**  
(biol.; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Alzheimer's disease**  
Animal tissue culture  
Apoptosis  
Drug screening  
Fluorometry  
Ion channel blockers  
Mitochondria  
Parkinson's disease  
Permeability  
Plant tissue culture  
pH  
(compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Natural products, pharmaceutical**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Calcium channel**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Glutamate receptors**  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Resonant energy transfer**  
(fluorescence; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Proteins, specific or class**  
RL: ARG (Analytical reagent use); BPN (Biosynthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(green fluorescent, blue shifted; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Proteins, specific or class**  
RL: ARG (Analytical reagent use); BPN (Biosynthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(green fluorescent, cyan shifted; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT **Proteins, specific or class**  
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

- (green fluorescent, red shifted; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Proteins, specific or class  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (green fluorescent, yellow shifted; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Proteins, specific or class  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (green fluorescent; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Polarization  
 (hyperpolarization, biol., of mitochondria; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Mitochondria  
 (membrane; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Membrane, biological  
 (mitochondrial; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT Diabetes mellitus  
 (non-insulin-dependent; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT 199116-50-2, MitoTracker Orange CMTMRos  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (MitoTracker Orange CMTMRos; compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT 81-88-9, Rhodamine B 959-81-9 989-38-8, Rhodamine 6G 1239-45-8, Ethidium bromide 2156-29-8 2315-97-1, Lucigenin 3520-43-2, JC-1 3785-01-1, DASPEI 6837-70-3, Rosamine 14806-50-9 41085-99-8 47165-04-8, DAPI 53213-81-3 53213-82-4 53213-83-5 59865-13-3, Cyclosporin A 62669-70-9, Rhodamine 123 75168-11-5, 10-Nonyl acridine orange 84109-11-5 86701-10-2 94885-04-8 115532-49-5, Tetramethylrhodamine, methyl ester 139626-15-6, Tetramethylrhodamine ethylester 161057-69-8, FUN-1 201860-17-5, MitoTracker Green FM 212118-77-9, Spiro[isobenzofuran-1(3H),9'-[9H]xanthen]-3-one, 4',5'-bis(1,3,2-dithiarsolan-2-yl)-3',6'-dihydroxy- 273720-46-0, MitoFluor green 314266-84-7, SNAFL calcein 314266-85-8 314730-55-7, SYTO 18  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (compns. and methods for assaying subcellular conditions and processes using energy transfer for drug screening)
- IT 56-86-0, L-Glutamic acid, biological studies 370-86-5, Carbonyl cyanide p-(trifluoromethoxy)phenyl hydrazone 487-79-6, Kainic acid 555-60-2, Carbonyl cyanide m-chlorophenyl hydrazone 1404-19-9, Oligomycin 3106-85-2, NAAG 6384-92-5, NMDA 11076-19-0, Bongkreic acid 17754-44-8, Atractyloside 28380-24-7, Nigericin 33286-30-5, Carboxyatractyloside 48134-75-4, 1-Methyl-4-phenylpyridinium

52665-69-7, A23187 60132-21-0, Isobongkreikic acid 67526-95-8,  
 Thapsigargin 77521-29-0, 4-Isoxazolepropanoic acid, .alpha.-amino-2,3-  
 dihydro-5-methyl-3-oxo- 154461-69-5  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); BIOL (Biological study)  
 (compns. and methods for assaying subcellular conditions and processes  
 using energy transfer for drug **screening**)  
 IT 7440-70-2, Calcium, biological studies  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
 (Biological study); PROC (Process)  
 (compns. and methods for assaying subcellular conditions and processes  
 using energy transfer for drug **screening**)  
 IT 25125-46-6  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);  
 ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (ruthenium red; compns. and methods for assaying subcellular conditions  
 and processes using energy transfer for drug **screening**)  
 IT 83796-96-7, Tetrabromo-rhodamine 123  
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);  
 ANST (Analytical study); BIOL (Biological study); USES (Uses)  
 (tetrabromorhodamine 123; compns. and methods for assaying subcellular  
 conditions and processes using energy transfer for drug  
**screening**)

L24 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:768995 HCAPLUS

DOCUMENT NUMBER: 133:319305

TITLE: Indicators of altered mitochondrial function in  
 predictive methods for determining risk of type 2  
 diabetes mellitus

INVENTOR(S): Anderson, Christen M.; Davis, Robert E.

PATENT ASSIGNEE(S): Mitokor, USA

SOURCE: U.S., 31 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140067	A	20001031	US 1999-303816	19990430
US 6280966	B1	20010828	US 2000-521407	20000308
WO 2000066762	A2	20001109	WO 2000-US10498	20000419
WO 2000066762	A3	20010412		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1181388	A2	20020227	EP 2000-923506	20000419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				



JP 2002543422 T2 20021217 JP 2000-615784 20000419  
 US 2002031759 A1 20020314 US 2001-924313 20010807  
 PRIORITY APPLN. INFO.: US 1999-303816 A1 19990430  
 US 2000-521407 A1 20000308  
 WO 2000-US10498 W 20000419

AB The present invention relates to improved diagnostic methods for early detection of a risk for developing type 2 diabetes mellitus in humans, and **screening** assays for therapeutic agents useful in the treatment of type 2 diabetes mellitus, by comparing the levels of one or more indicators of altered mitochondrial function. Indicators of altered mitochondrial function include enzymes such as mitochondrial enzymes and ATP biosynthesis factors. Other indicators of altered mitochondrial function include mitochondrial mass, mitochondrial no. and mitochondrial DNA content, cellular responses to elevated intracellular calcium and to apoptogens, and free radical prodn. Methods of treating, and of stratifying, human patients as such methods relate to disclosed indicators of altered mitochondrial function are also provided.

IC ICM C12Q001-32  
 ICS C12Q001-48; C12Q001-00; C12Q001-54

NCL 435026000

CC 9-16 (Biochemical Methods)  
 Section cross-reference(s): 1, 14

IT **Transport proteins**  
 RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)  
 (ADP/ATP carrier; indicators of altered mitochondrial function in predictive methods for detg. risk of type 2 diabetes mellitus)

IT Apoptosis  
 Diagnosis  
 Drug **screening**  
 Electron transport system, biological  
**Fluorescent** substances  
 Glycosylation  
 Mass  
 Mitochondria  
 Nucleic acid hybridization  
 PCR (polymerase chain reaction)  
 RFLP (restriction fragment length polymorphism)  
 Transcription, genetic  
 Tricarboxylic acid cycle  
 (indicators of altered mitochondrial function in predictive methods for detg. risk of type 2 diabetes mellitus)

IT Benzodiazepine **receptors**  
 RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)  
 (peripheral-type; indicators of altered mitochondrial function in predictive methods for detg. risk of type 2 diabetes mellitus)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:227858 HCAPLUS

DOCUMENT NUMBER: 132:260666

TITLE: Identifying agents that alter mitochondrial permeability transition pores and cell death for diagnostic and therapeutic use

INVENTOR(S): Dykens, James A.; Miller, Scott W.; Ghosh, Soumitra  
S.; Davis, Robert E.  
PATENT ASSIGNEE(S): Mitokor, USA  
SOURCE: PCT Int. Appl., 88 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000019200	A1	20000406	WO 1999-US22261	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2345066 AA 20000406 CA 1999-2345066 19990924 AU 9961628 A1 20000417 AU 1999-61628 19990924 EP 1116027 A1 20010718 EP 1999-948458 19990924 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002525630 T2 20020813 JP 2000-572655 19990924 US 1998-161172 A 19980925 WO 1999-US22261 W 19990924				
PRIORITY APPLN. INFO.: AB Methods are provided for identifying agents that affect mitochondrial functions and cell death. Such agents are useful for treating diseases assocd. with mitochondrial dysfunction and in methods of identifying a risk or presence of such diseases. In particular, the invention relates to the loss of mitochondrial membrane potential (.DELTA..PSI.m) during mitochondrial permeability transition (MPT) and further provides a measurable rate loss function, changes in which are useful e.g. for detecting agents that affect one or more mitochondrial functions, for detecting mitochondrial diseases, and for studying mol. components of mitochondria that regulate MPT. IC ICM G01N033-50 ICS G01N033-68; A61K031-00; C07C279-26 CC 1-1 (Pharmacology) Section cross-reference(s): 63 IT <b>Transport proteins</b> RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (ADP/ATP carrier; identification of agents that alter mitochondrial permeability transition pores and cell death for diagnostic and therapeutic use) IT <b>Transport proteins</b> RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (calcium-transporting, mitochondrial calcium uniporter; identification of agents that alter mitochondrial permeability transition pores and cell death for diagnostic and therapeutic use) IT Affinity labeling				

Alzheimer's disease  
 Anti-Alzheimer's agents  
 Antidiabetic agents  
 Antiparkinsonian agents  
 Antipsychotics  
 Antitumor agents  
 Apoptosis  
 Brain, disease  
 Cell death  
 Cytotoxic agents  
 Diagnosis  
 Drug delivery systems  
 Drug **screening**  
 Electron transport system, biological  
 Fluorometry  
 Genotypes  
 Insect (Insecta)  
 Ionophores  
 Lepidoptera  
 Mitochondria  
 Necrosis  
 Neoplasm  
 Nucleic acid library  
 Parkinson's disease  
 Plant (Embryophyta)  
 Psoriasis  
 Schizophrenia

(identification of agents that alter mitochondrial permeability  
 transition pores and cell death for diagnostic and therapeutic use)

IT Benzodiazepine **receptors**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(peripheral; identification of agents that alter mitochondrial  
 permeability transition pores and cell death for diagnostic and  
 therapeutic use)

IT 2156-29-8 3520-43-2, JC-1 18198-39-5, Tetraphenylphosphonium  
 27072-45-3D, **Fluorescein** isothiocyanate, annexin V conjugates  
 30827-04-4, Rhodamine B hexyl ester 53213-82-4, DiOC6(3) 62669-70-9,  
 Rhodamine 123 115532-49-5 137993-41-0, Rhodamine 800 139626-15-6,  
 Tetramethylrhodamine ethyl ester

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU  
 (Biological use, unclassified); BIOL (Biological study); PROC (Process);  
 USES (Uses)

(identification of agents that alter mitochondrial permeability  
 transition pores and cell death for diagnostic and therapeutic use)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT